Connecting via Winsock to STN

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* * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

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=> file reg

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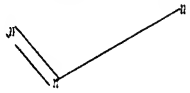

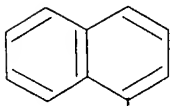

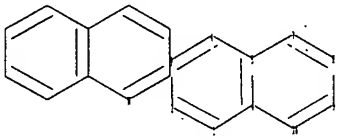

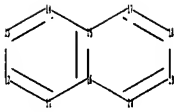

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21 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20
chain bonds :
21-23 21-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 15-17 16-20 17-18 18-19 19-20
exact/norm bonds :
21-23 21-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 15-17 16-20 17-18 18-19 19-20
isolated ring systems :
containing 1 : 11 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:CLASS 22:Atom 23:CLASS

L1 STRUCTURE UPLOADED

=> s quinoline
L2 398380 QUINOLINE

=> d rsd

L2 ANSWER 1 OF 398380 REGISTRY COPYRIGHT 2007 ACS on STN

Ring System Data

Elemental Analysis EA	Elemental Sequence ES	Size of the Rings SZ	Ring System Formula RF	Ring Identifier RID	RID Occurrence Count
C3	C3	3	C3	1.13.1	1 in CM 1
C5N	NC5	6	C5N	46.156.1	1 in CM 1
C5N-C6	NC5-C6	6-6	C9N	591.79.40	1 in CM 1

10/773803

=> d 1 all

L2 ANSWER 1 OF 398380 REGISTRY COPYRIGHT 2007 ACS on STN
RN 953089-77-5 REGISTRY
ED Entered STN: 12 Nov 2007
CN Butanedioic acid, 2-hydroxy-, compd. with 7-[(3S,5S)-3-amino-5-methyl-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid, hydrate (1:1:?) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H25 N3 O4 . C4 H6 O5 . x H2 O
SR CA
LC STN Files: CAPLUS
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PRP (Properties); USES (Uses)

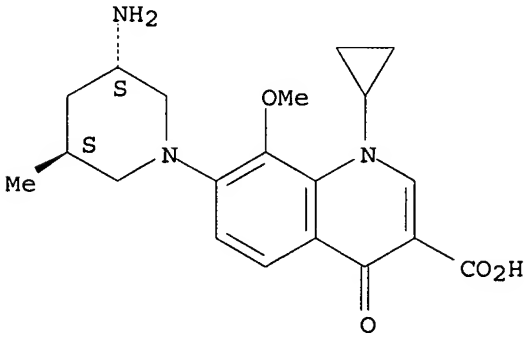
Ring System Data

Elemental Analysis EA	Elemental Sequence ES	Size of the Rings SZ	Ring System Formula RF	Ring Identifier RID	RID Occurrence Count
C3	C3	3	C3	1.13.1	1 in CM 1
C5N	NC5	6	C5N	46.156.1	1 in CM 1
C5N-C6	NC5-C6	6-6	C9N	591.79.40	1 in CM 1

CM 1

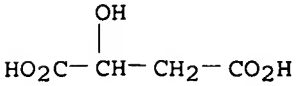
CRN 378746-64-6
CMF C20 H25 N3 O4

Absolute stereochemistry.



CM 2

CRN 6915-15-7
CMF C4 H6 O5



1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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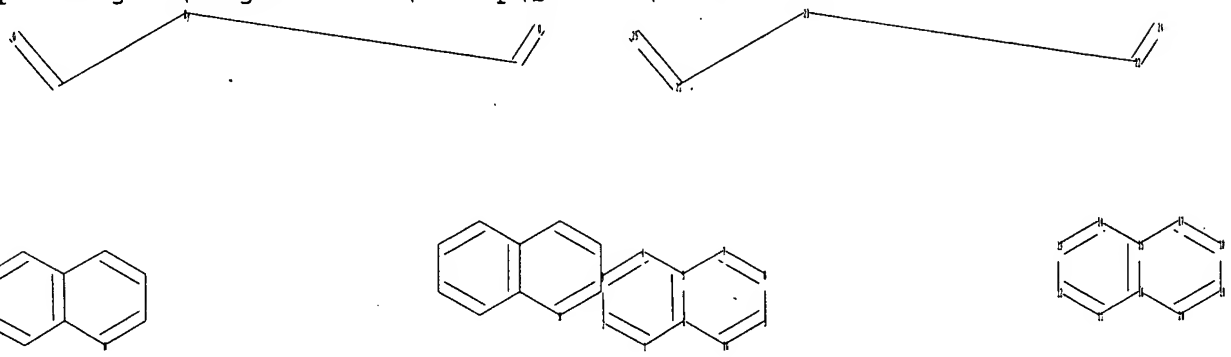

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21 22 23 24 25
ring nodes :
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chain bonds :
21-25 21-23 22-24 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 15-17 16-20 17-18 18-19 19-20
exact/norm bonds :
21-25 21-23 22-24 22-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 15-17 16-20 17-18 18-19 19-20
isolated ring systems :
containing 1 : 11 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:CLASS 22:CLASS 23:Atom 24:CLASS 25:CLASS

L4 STRUCTURE UPLOADED

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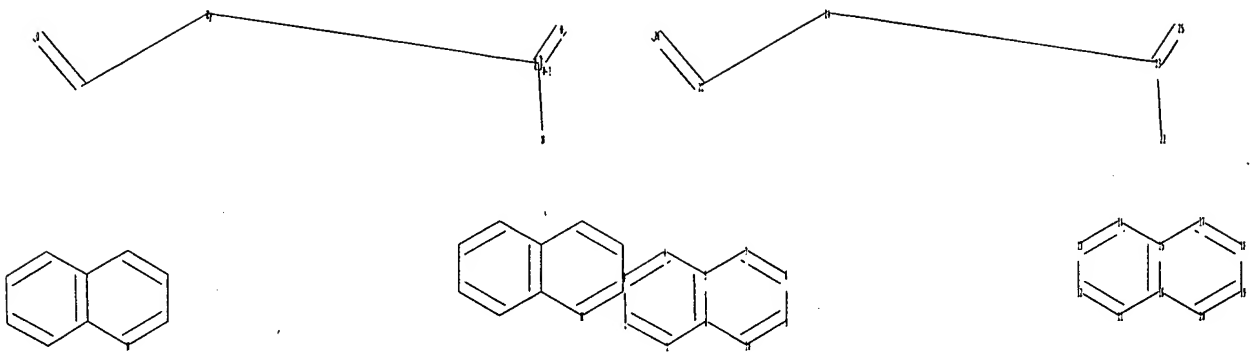

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ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20
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21-23 22-26 22-24 23-24 23-25
ring bonds :
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14-15 15-16 15-17 16-20 17-18 18-19 19-20
exact/norm bonds :
21-23 22-26 22-24 23-24 23-25
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 15-17 16-20 17-18 18-19 19-20
isolated ring systems :
containing 1 : 11 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
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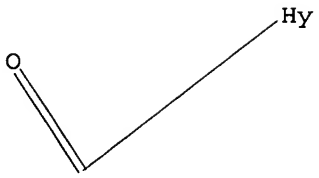
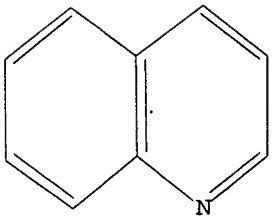
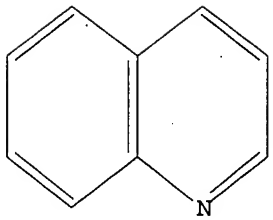
L1 STR







Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED    22962 ITERATIONS                          738 ANSWERS
SEARCH TIME: 00.00.01

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=> file ca


=> s l7
L8          221 L7

=> s l8 and telomeras?
          8228 TELOMERAS?
L9           6 L8 AND TELOMERAS?

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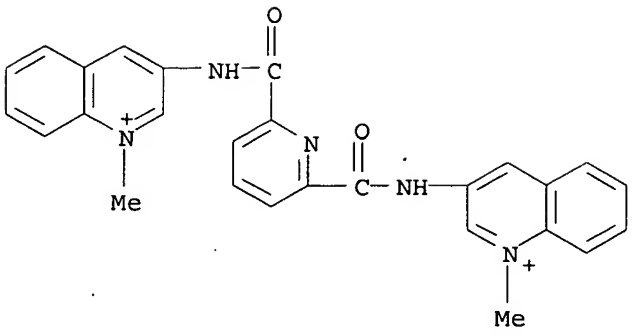
L9 ANSWER 1 OF 6 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 143:417203 CA
TITLE: Preferential binding of a G-quadruplex ligand to human chromosome ends
AUTHOR(S): Granotier, Christine; Pennarun, Gaelle; Riou, Lydia; Hoffschir, Francoise; Gauthier, Laurent R.; De Cian, Anne; Gomez, Dennis; Mandine, Eliane; Riou, Jean-Francois; Mergny, Jean-Louis; Mailliet, Patrick; Dutrillaux, Bernard; Boussin, Francois D.
CORPORATE SOURCE: LRP, DRR, CEA, Fontenay-aux-Roses, 92265, Fr.
SOURCE: Nucleic Acids Research (2005), 33(13), 4182-4190
CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The G-overhangs of telomeres are thought to adopt particular conformations, such as T-loops or G-quadruplexes. It has been suggested that G-quadruplex structures could be stabilized by specific ligands in a new approach to cancer treatment consisting in inhibition of telomerase, an enzyme involved.in telomere maintenance and cell immortality. Although the formation of G-quadruplexes was demonstrated in vitro many years ago, it has not been definitively demonstrated in living human cells. We therefore investigated the chromosomal binding of a tritiated G-quadruplex ligand, 3H-360A (2,6-N,N'-methyl-quinolinio-3-yl)-pyridine dicarboxamide [methyl-3H]. We verified the in vitro selectivity of 3H-360A for G-quadruplex structures by equilibrium dialysis. We then showed by binding expts. with human genomic DNA that 3H-360A has a very potent selectivity toward G-quadruplex structures of the telomeric 3'-overhang. Finally, we performed autoradiog. of metaphase spreads from cells cultured with 3H-360A. We found that 3H-360A was preferentially bound to chromosome terminal regions of both human normal (peripheral blood lymphocytes) and tumor cells (T98G and CEM1301). In conclusion, our results provide evidence that a specific G-quadruplex ligand interacts with the terminal ends of human chromosomes. They support the hypothesis that G-quadruplex ligands induce and/or stabilize G-quadruplex structures at telomeres of human cells.

IT 868159-44-8
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(preferential binding of G-quadruplex ligand to chromosome ends in human tumor and normal cells)
RN 868159-44-8 CA
CN Quinolinium, 3,3'-[2,6-pyridinediylbis(carbonylimino)]bis[1-methyl-, diiodide, labeled with tritium (9CI) (CA INDEX NAME)



●2 I⁻

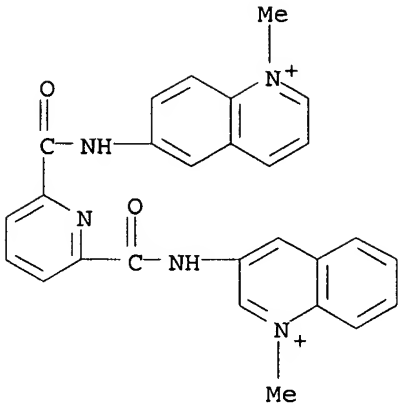
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 6 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 143:53056 CA
TITLE: Apoptosis related to telomere instability and cell cycle alterations in human glioma cells treated by new highly selective G-quadruplex ligands
AUTHOR(S): Pennarun, Gaelle; Granotier, Christine; Gauthier, Laurent R.; Gomez, Dennis; Hoffschir, Francoise; Mandine, Eliane; Riou, Jean-Francois; Mergny, Jean-Louis; Mailliet, Patrick; Boussin, Francois D.
CORPORATE SOURCE: Laboratoire de Radiopathologie, DSV/DRR, CEA, Fontenay-aux-Roses, 92265, Fr.
SOURCE: Oncogene (2005), 24(18), 2917-2928
CODEN: ONCNES; ISSN: 0950-9232
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Telomerase represents a relevant target for cancer therapy. Mols. able to stabilize the G-quadruplex (G4), a structure adopted by the 3'-overhang of telomeres, are thought to inhibit telomerase by blocking its access to telomeres. We investigated the cellular effects of four new 2,6-pyridine-dicarboxamide derivs. displaying strong selectivity for G4 structures and strong inhibition of telomerase in in vitro assays. These compds. inhibited cell proliferation at very low concns. and then induced a massive apoptosis within a few days in a dose-dependent manner in cultures of three telomerase-pos. glioma cell lines, T98G, CB193 and U118-MG. They had also antiproliferative effects in SAOS-2, a cell line in which telomere maintenance involves an alternative lengthening of telomeres (ALT) mechanism. We show that apoptosis was preceded by multiple alterations of the cell cycle: activation of S-phase checkpoints, dramatic increase of metaphase duration and cytokinesis defects. These effects were not associated with telomere shortening, but they were directly related to telomere instability involving telomere end fusion and anaphase bridge formation. Pyridine-based G-quadruplex ligands are therefore promising agents for the treatment of various tumors including malignant gliomas.

IT 737763-35-8, 307A
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(apoptosis related to telomere instability and cell cycle alterations in human glioma cells treated by selective G-quadruplex ligands)

RN 737763-35-8 CA
CN Quinolinium, 1-methyl-3-[[[6-[[(1-methylquinolinium-6-yl)amino]carbonyl]-2-pyridinyl]carbonyl]amino]-, iodide (1:2) (CA INDEX NAME)



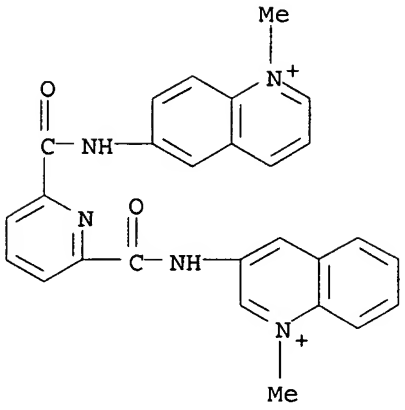
●2 I⁻

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 6 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 142:1569 CA
TITLE: Stabilization of the c-myc gene promoter quadruplex by specific ligands' inhibitors of telomerase
AUTHOR(S): Lemarteleur, Thibault; Gomez, Dennis; Paterski, Rajaa; Mandine, Eliane; Mailliet, Patrick; Riou, Jean-Francois
CORPORATE SOURCE: Laboratoire d'Onco-Pharmacologie, UFR de Pharmacie, Universite de Reims Champagne-Ardenne, Reims, 51096, Fr.
SOURCE: Biochemical and Biophysical Research Communications (2004), 323(3), 802-808
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A parallel G-quadruplex structure was recently identified in the NHE III1 element of the c-myc gene promoter that functioned as a transcriptional repressor. Different series of telomeric G-quadruplex interacting ligands reported to block telomerase activity were evaluated in a new PCR stop assay on the c-myc quadruplex (Pu22myc). Results indicated that the cationic porphyrin TMPyP4 previously described to stabilize c-myc quadruplex and to cause transcription inhibition efficiently inhibited the assay but with a narrow selectivity when parallel expts. were performed with an oligonucleotide (Pu22mu) containing mutations in the guanine repeat which is unable to form a quadruplex. Other ligands presented potent inhibitory properties with IC50 in the submicromolar range. 307A, a new 2,6-pyridin-dicarboxamide derivative was found to present the highest selectivity as compared to Pu22mu oligonucleotide (>90-fold). Comparison with telomeric G-quadruplex using TRAP-G4 and PCR stop assays also indicated that ligands 307A, telomestatin, and TMPyP4 are equipotent against both c-myc and telomeric sequences while other ligands displayed some partial selectivity (2- to 6-fold) towards one of these sequences. This work provides evidence that G-quadruplex ligands reported as telomerase inhibitors efficiently stabilized c-myc promoter intramol. quadruplex and may also potentially be used to inhibit c-myc gene transcription in tumor cells.

IT 737763-35-8, 307A
RL: BSU (Biological study, unclassified); BIOL (Biological study) (stabilization of c-myc gene promoter quadruplex by specific ligands' inhibitors of telomerase)
RN 737763-35-8 CA
CN Quinolinium, 1-methyl-3-[[[6-[[(1-methylquinolinium-6-yl)amino]carbonyl]-2-pyridinyl]carbonyl]amino]-, iodide (1:2) (CA INDEX NAME)



●2 I^-

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 6 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:185084 CA
TITLE: G-quadruplex-binding quaternary nitrogen-containing heterocyclic compounds, their preparation, and their use as antitumor agents
INVENTOR(S): Hittinger, Augustin; Caulfield, Thomas; Maillet, Patrick; Bouchard, Herve; Mandine, Eliane; Belmokhtar, Chafke; Mergny, Jean Louis; Guittat, Lionel; Riou, Jean Francois; Gomez, Dennis
PATENT ASSIGNEE(S): Aventis Pharma S. A., Fr.; Centre National de la Recherche Scientifique CNRS; Museum National d'Histoire Naturelle; Institut Curie; Commissariat a l'Energie Atomique; Universite de Reims Champagne Ardenne
SOURCE: Fr. Demande, 57 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2850970	A1	20040813	FR 2003-1478	20030207
FR 2850970	B1	20060707		
AU 2004210753	A1	20040826	AU 2004-210753	20040205
CA 2514105	A1	20040826	CA 2004-2514105	20040205
WO 2004072027	A2	20040826	WO 2004-FR260	20040205
WO 2004072027	A3	20040923		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
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EP 1603898	A2	20051214	EP 2004-708378	20040205
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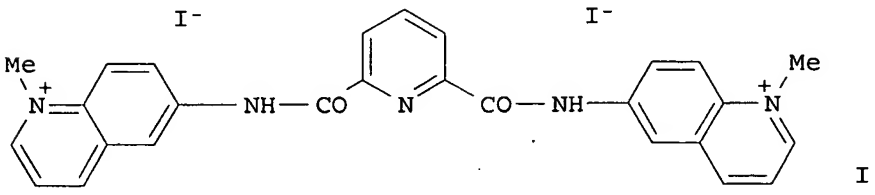
BR 2004007320	A	20060221	BR 2004-7320	20040205
JP 2006518726	T	20060817	JP 2006-502131	20040205
US 2007232572	A1	20071004	US 2004-773806	20040206
MX 2005PA07648	A	20061215	MX 2005-PA7648	20050718

PRIORITY APPLN. INFO.:

FR 2003-1478	A	20030207
US 2003-467213P	P	20030501
WO 2004-FR260	W	20040205

OTHER SOURCE(S): MARPAT 141:185084
GI



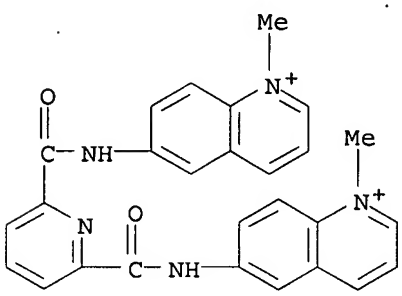
I

AB The invention provides G-quadruplex-binding quaternary nitrogen-containing heterocyclic compds. for use as antitumor agents in humans. Preparation of e.g. 2,6-pyridine dicarboxylic acid bis[(1-methylquinolin-6-yl)amide] diiodide (I) is described. The compds of the invention have telomerase-inhibitory activity.

IT 737763-27-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(G-quadruplex-binding quaternary nitrogen-containing heterocyclic compound preparation and use as antitumor agents)

RN 737763-27-8 CA

CN Quinolinium, 6,6'-[2,6-pyridinediylbis(carbonylimino)]bis[1-methyl-, diiodide (9CI) (CA INDEX NAME)



●2 I⁻

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 6 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:24646 CA
TITLE: Heterocyclic diamides and related compounds as telomerase inhibitors
INVENTOR(S): Bouchard, Herve; Hittinger, Augustin
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096903	A2	20021205	WO 2002-FR1767	20020527
WO 2002096903	A3	20030417		
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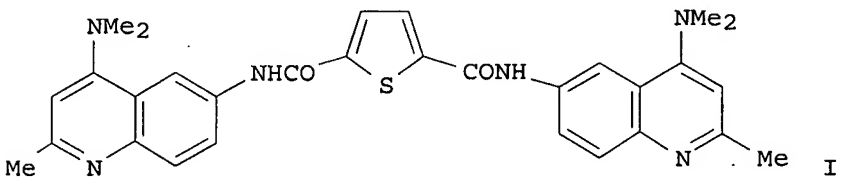
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2825090	A1	20021129	FR 2001-6909	20010528
FR 2825090	B1	20030801		
AU 2002314252	A1	20021209	AU 2002-314252	20020527
EP 1401833	A2	20040331	EP 2002-740814	20020527
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534046	T	20041111	JP 2003-500082	20020527
US 2004138257	A1	20040715	US 2003-721210	20031125
US 6995175	B2	20060207		
US 2006199840	A1	20060907	US 2005-222322	20050908

PRIORITY APPLN. INFO.:	FR 2001-6909	A	20010528
	FR 2002-1256	A	20020204
	WO 2002-FR1767	W	20020527
	US 2003-721210	A3	20031125

OTHER SOURCE(S): MARPAT 138:24646
GI



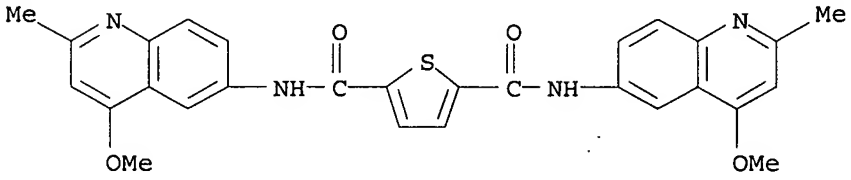
I

AB Heterocyclic diamides and related compds. were prepared for use as telomerase inhibitors. Thus, 2,5-thiophenedicarboxylic acid was treated with 6-amino-4-dimethylamino-2-methylquinoline to give the diamide I which had a fluorescence Tm of 10.5 at 1 mM and an IC50 for inhibition of telomerase of 0.9 μM.
IT 477219-39-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic diamides and related compds. as telomerase inhibitors)

RN 477219-39-9 CA

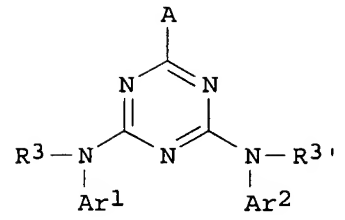
CN 2,5-Thiophenedicarboxamide, N,N'-bis(4-methoxy-2-methyl-6-quinolinyl)- (9CI) (CA INDEX NAME)



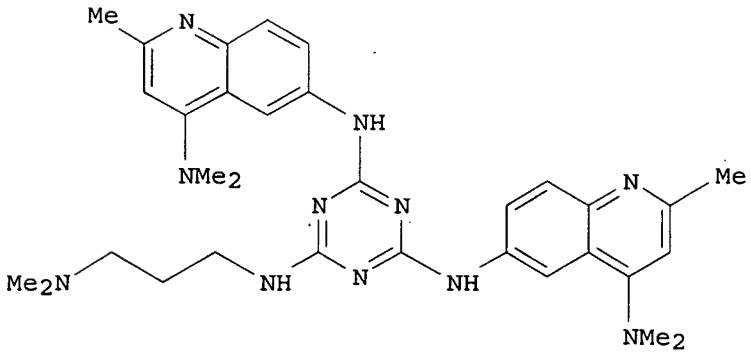
L9 ANSWER 6 OF 6 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:263071 CA
TITLE: Preparation of trisubstituted 2,4,6-triamino[1,3,5]triazines as anti-telomerase agents
INVENTOR(S): Mailliet, Patrick; Laoui, Abdelazize; Riou, Jean-Francois; Doerflinger, Gilles; Mergny, Jean-Louis; Hamy, Francois; Caulfield, Thomas
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002076975	A1	20021003	WO 2002-FR1005		20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
FR 2822468	A1	20020927	FR 2001-3916		20010323
CA 2442012	A1	20021003	CA 2002-2442012		20020322
AU 2002251140	A1	20021008	AU 2002-251140		20020322
AU 2002251140	B2	20070315			
EP 1373252	A1	20040102	EP 2002-720068		20020322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004524349	T	20040812	JP 2002-576233		20020322
US 2003087931	A1	20030508	US 2002-103883		20020325
US 6887873	B2	20050503			
MX 2003PA08269	A	20041015	MX 2003-PA8269		20030912
US 2005070571	A1	20050331	US 2004-993637		20041119
PRIORITY APPLN. INFO.:			FR 2001-3916	A	20010323
			FR 2001-10370	A	20010802
			US 2001-332009P	P	20011123
			WO 2002-FR1005	W	20020322
			US 2002-103883	A3	20020325

OTHER SOURCE(S): MARPAT 137:263071
GI



I



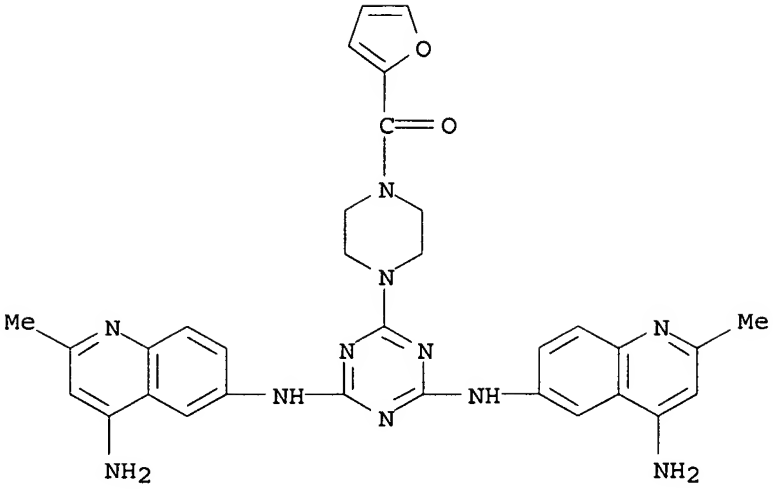
II

AB Title compds. I [A = XR1R2; X = N, O, S, alkyl radical; R1-2 = H, alkyl, heterocyclyl, etc.; R3-3' = H, alkyl, isoquinolinyl, quinolinyl, etc.; Ar1-2 = (un)substituted Ph, etc., and derivs. thereof] were prepared For instance, 2,4-bis[(4-(dimethylamino)-2-methylquinolin-6-yl)amino]-6-chloro[1,3,5]triazine (prior art) was reacted with N,N-dimethyl-1,3-propanediamine in DMF with K2CO3 for 15 h at 100° to afford II. Examples include evaluation of all compds. of the invention for telomerase activity. I are anti-cancer agents.

IT 462649-74-7P, 2-[[4-Amino-2-methylquinolin-6-yl]amino]-4-[[4-amino-2-methylquinolin-6-yl]amino]-6-[4-[[furan-2-yl]carbonyl]piperazinyl]triazine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of trisubstituted 2,4,6-triamino[1,3,5]triazines as anti-telomerase agents)

RN 462649-74-7 CA

CN Piperazine, 1-[4,6-bis[(4-amino-2-methyl-6-quinolinyl)amino]-1,3,5-triazin-2-yl]-4-(2-furanylcarbonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs fhitstr 1-15

L12 ANSWER 1 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 147:235488 CA
TITLE: Development and biological assessment of fully water-soluble helical aromatic amide foldamers
AUTHOR(S): Gillies, Eliabeth R.; Deiss, Frederique; Staedel, Cathy; Schmitter, Jean-Marie; Huc, Ivan
CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Universite Bordeaux 1-CNRS UMR5248, Pessac, 33607, Fr.
SOURCE: Angewandte Chemie, International Edition (2007), 46(22), 4081-4084
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:235488

AB The authors have prepared water-soluble oligoamides of 8-amino-2-quinolinecarboxylic acid as amphipathic helixes bearing both hydrophilic and hydrophobic residues. These peptidomimetic oligomers are equipped with multiple cationic side chains to improve their hydrosoly., and they are structurally able to assist in processes such as DNA transfection and membrane transport. The cytotoxicity of these oligomers were tested in HeLa cells. In addition, the oligomers were examined in a DNA transfection assay.

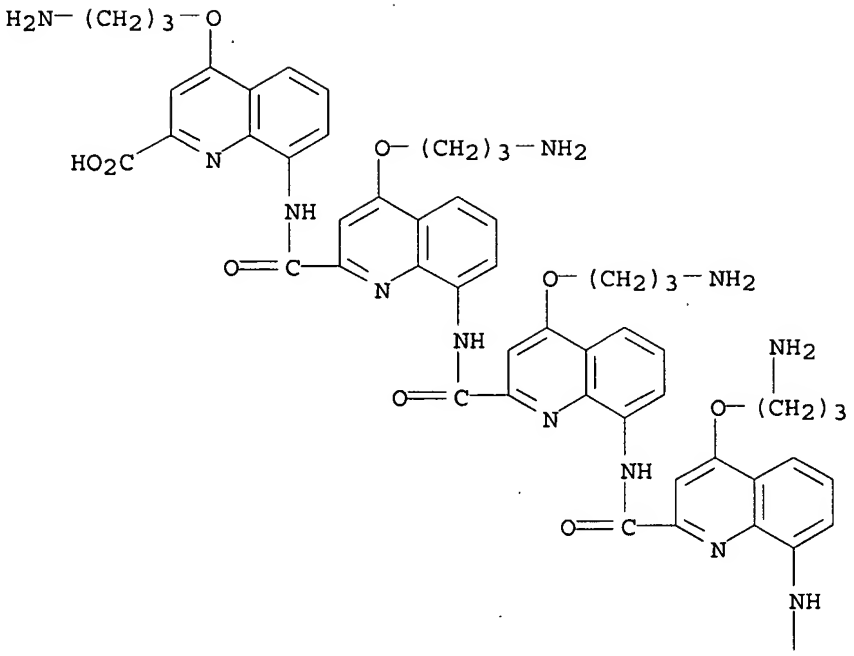
IT 945496-51-5P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of aminoquinolinecarboxylic acid-based oligomers as water-soluble
helical peptidomimetic foldamers, and evaluation of their biol. activity in cell cytotoxicity and DNA transfection assays)

RN 945496-51-5 CA
CN 2-Quinolinecarboxylic acid, 4-(3-aminopropoxy)-8-[[[4-(3-aminopropoxy)-8-[[[4-(3-aminopropoxy)-8-[[[4-(3-aminopropoxy)-8-[[[4-(3-aminopropoxy)-8-[[[4-(3-aminopropoxy)-8-[[[4-(3-aminopropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-, 2,2,2-trifluoroacetate (1:8) (CA INDEX NAME)

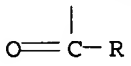
CM 1

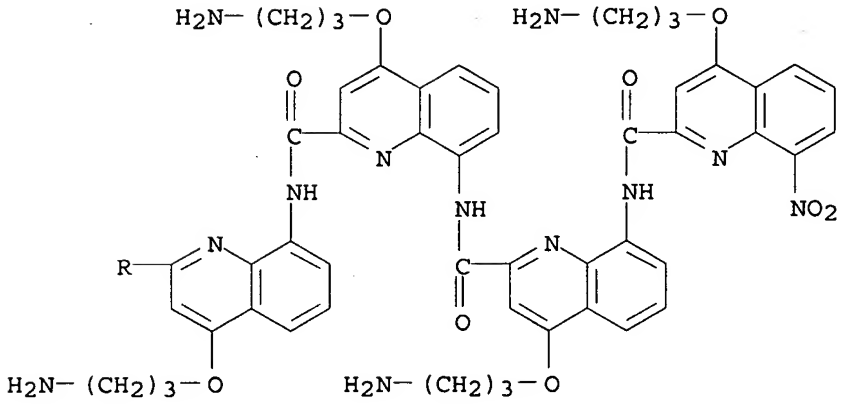
CRN 945496-50-4
CMF C104 H104 N24 O19

PAGE 1-A



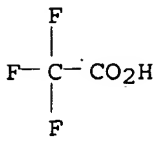
PAGE 2-A





CM 2

CRN 76-05-1
CMF C2 H F3 O2

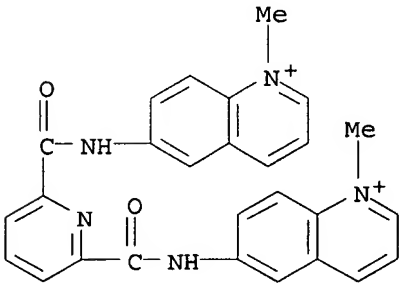


REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 147:206058 CA
TITLE: Quadruplex ligands may act as molecular chaperones for tetramolecular quadruplex formation
AUTHOR(S): De Cian, Anne; Mergny, Jean-Louis
CORPORATE SOURCE: Laboratoire de Biophysique, Museum National d'Histoire Naturelle USM 503, INSERM UR 565, CNRS UMR 5153, Paris, 75231/05, Fr.
SOURCE: Nucleic Acids Research (2007), 35(8), 2483-2493
CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB G-quadruplexes are a family of four-stranded DNA structures, stabilized by G-quartets, that form in the presence of monovalent cations. Efforts are currently being made to identify ligands that selectively bind to G-quadruplex motifs as these compds. may interfere with the telomere structure, telomere elongation/replication and proliferation of cancer cells. The kinetics of quadruplex-ligands interactions are poorly understood: it is not clear whether quadruplex ligands lock into the preformed structure (i.e. increase the lifetime of the structure by lowering the dissociation constant, koff) or whether ligands actively promote the formation of the complex and act as quadruplex chaperones by increasing the association constant, kon. We studied the effect of a selective quadruplex ligand, a bisquinolinium pyridine dicarboxamide compound called 360A, to distinguish these two possibilities. We demonstrated that, in addition to binding to and locking into preformed quadruplexes, this mol. acted as a chaperone for tetramol. complexes by acting on kon. This observation has implications for in vitro and in vivo applications of quadruplexes and should be taken into account when evaluating the cellular responses to these agents.

IT 794458-47-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(quadruplex ligands may act as mol. chaperones for tetramol. quadruplex DNA formation)
RN 794458-47-2 CA
CN Quinolinium, 6,6'-[2,6-pyridinediylbis(carbonylimino)]bis[1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 86 THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 146:353154 CA
TITLE: Highly Efficient G-Quadruplex Recognition by Bisquinolinium Compounds
AUTHOR(S): De Cian, Anne; DeLemos, Elsa; Mergny, Jean-Louis; Teulade-Fichou, Marie-Paule; Monchaud, David
CORPORATE SOURCE: Laboratoire de Chimie des Interactions Moleculaires, CNRS UPR285, College de France, Paris, 75005, Fr.
SOURCE: Journal of the American Chemical Society (2007), 129(7), 1856-1857
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:353154

AB Syntheses and telomeric G-quadruplex-DNA binding properties of novel bisquinolinium compds. are reported. This series exhibits remarkable efficiency both in terms of stabilization and selectivity, thus combining the performances of the most potent quadruplex binders reported so far. These bisquinolinium compds. then represent an ideal tradeoff between rapid synthetic access and efficient target recognition. The study also highlights important structural parameters that lead to the design of highly selective G-quadruplex binders.

IT 929895-43-2P
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(highly efficient G-quadruplex recognition by bisquinolinium compds.)

RN 929895-43-2 CA
CN Quinolinium, 3,3'-[[2,2'-bipyridine]-6,6'-diylbis(carbonylimino)]bis[1-methyl-, 1,1,1-trifluoromethanesulfonate (1:2) (CA INDEX NAME)

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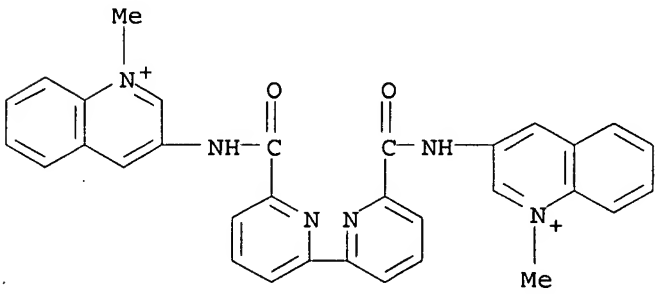
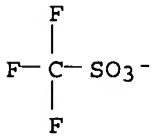
CRN 942936-73-4
CMF C32 H26 N6 O2



CM 2

CRN 37181-39-8
CMF C F3 O3 S



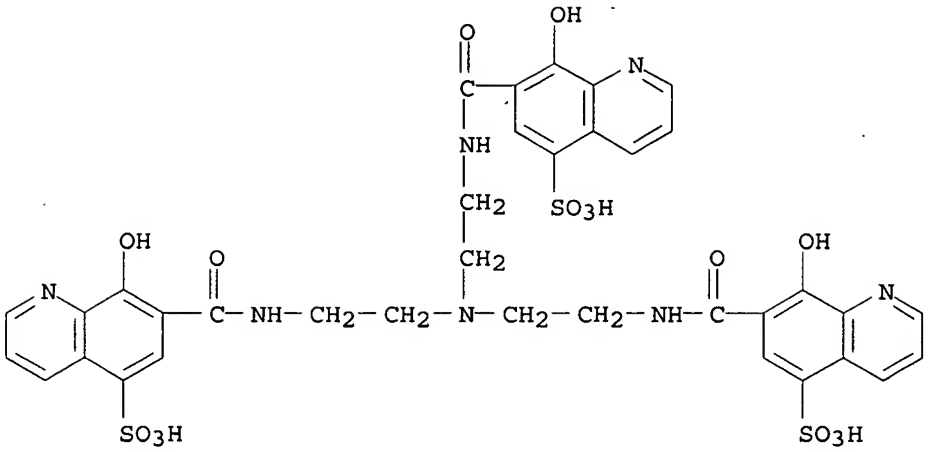
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 146:54935 CA
TITLE: Modulation of cell proliferation and polyamine metabolism in rat liver cell cultures by the iron chelator O-trensox
AUTHOR(S): Gaboriau, Francois; Laupen-Chassay, Cindy; Pasdeloup, Nicole; Pierre, Jean-Louis; Brissot, Pierre; Lescoat, Gerard
CORPORATE SOURCE: Inserm, U522, Hopital Pontchaillou, Rennes, F-35033, Fr.
SOURCE: BioMetals (2006), 19(6), 623-632
CODEN: BOMEEH; ISSN: 0966-0844
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The antiproliferative effects of the iron chelator O-trensox and the ornithine-decarboxylase (ODC) inhibitor alpha-difluoromethylornithine (DFMO) were characterized in the rat hepatoma cell line FAO, the rat liver epithelial cell line (RLEC), and the primary rat hepatocyte cultures stimulated by EGF. We observed that O-trensox and DFMO decreased cell viability and DNA replication in the 3 culture models. The cytostatic effect of O-trensox was correlated to a cytotoxicity, higher than for DFMO, and to a cell cycle arrest in G0/G1 or S phases. Moreover, O-trensox and DFMO decreased the intracellular concentration of spermidine in the 3 models without changing significantly the spermine level. We concluded that iron, but also polyamine depletion, decrease cell growth. However, the drop in cell proliferation obtained with O-trensox was stronger compared to DFMO effect. Altogether, our data provide insights that, in the 3 rat liver cell culture models, the cytostatic effect of the iron chelator O-trensox may be the addition of 2 mechanisms: iron and polyamine depletion.

IT 169209-68-1
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(O-Trensox; modulation of cell proliferation and polyamine metabolism in rat liver cell cultures by iron chelator O-trensox)

RN 169209-68-1 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy-, trisodium salt (CA INDEX NAME)



●3 Na

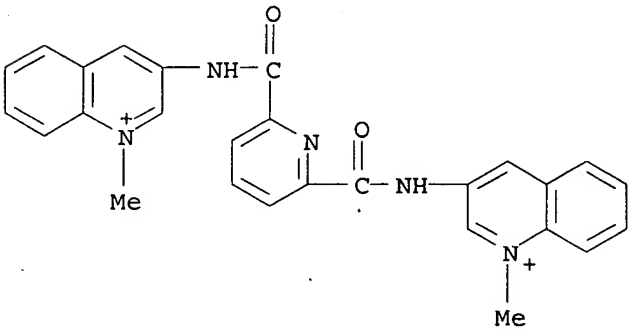
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:413412 CA
TITLE: Development of a fluorescent intercalator displacement assay (G4-FID) for establishing quadruplex-DNA affinity and selectivity of putative ligands
AUTHOR(S): Monchaud, David; Allain, Clemence; Teulade-Fichou, Marie-Paule
CORPORATE SOURCE: Laboratoire de Chimie des Interactions Moleculaires, CNRS UPR285, College de France, Paris, 75005, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(18), 4842-4845
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A fluorescent intercalator displacement assay (G4-FID) has been designed based on the displacement of thiazole orange (TO) positioned onto a quadruplex-forming oligonucleotide by putative ligands. This technique was validated by the use of a set of representative and fully characterized G-quadruplex binders (ranging from pyridodicarboxamide to macrocyclic ligands). To further extend its applicability, a comparative version has been developed which allows a rapid and viable determination of quadruplex- over duplex-selectivity.

IT 794458-56-3
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)
(development of fluorescent intercalator displacement assay for establishing quadruplex-DNA affinity and selectivity of putative ligands)

RN 794458-56-3 CA
CN Quinolinium, 3,3'-[2,6-pyridinediylbis(carbonylimino)]bis[1-methyl- (9CI) (CA INDEX NAME)



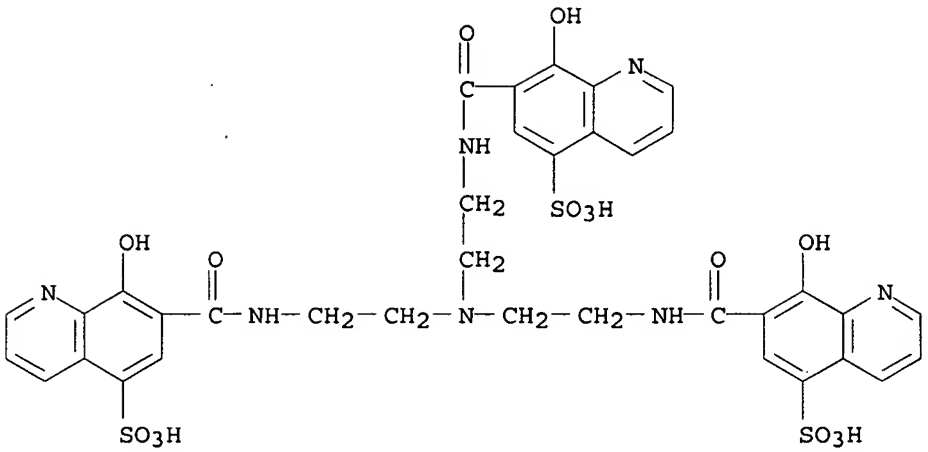
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:262659 CA
TITLE: The new orally active iron chelator ICL670A exhibits a higher antiproliferative effect in human hepatocyte cultures than O-trensox
AUTHOR(S): Chantrel-Groussard, Karine; Gaboriau, Francois; Pasdeloup, Nicole; Havouis, Rene; Nick, Hanspeter; Pierre, Jean-Louis; Brissot, Pierre; Lescoat, Gerard
CORPORATE SOURCE: U522, Inserm, Rennes, F-35000, Fr.
SOURCE: European Journal of Pharmacology (2006), 541(3), 129-137
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB By comparing the antiproliferative effect of the iron chelators ICL670A and O-trensox in the human hepatoma cell line HUH7 and human hepatocyte cultures, the authors have shown that ICL670A decreased cell viability, inhibited DNA replication and induced DNA fragmentation more efficiently than O-trensox. O-trensox and ICL670A induced a cell cycle blockade in G0-G1 and S phases resp. In parallel, ICL670A inhibited polyamine biosynthesis by decreasing ornithine decarboxylase and spermidine/spermine N1-acetyltransferase activities. O-trensox increased polyamine biosynthesis and particularly putrescine level by stimulating spermidine-spermine N1-acetyltransferase activity which could activate the polyamine retro-conversion pathway. Moreover, the two chelators exhibit some cytotoxic effect in the two culture models; ICL670A was more cytotoxic than O-trensox and higher concns. of the two chelators were necessary to induce a cytotoxicity in primary cultures vs. hepatoma cells. These results suggested that ICL670A has the most efficient antitumoral effect, blocks cell proliferation by a pathway different of O-trensox and may constitute a potential drug for anticancer therapy.

IT 169209-68-1, O-Trensox
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new orally active iron chelator ICL670A exhibits a higher antiproliferative effect in human hepatocyte cultures than O-trensox)

RN 169209-68-1 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy-, trisodium salt (CA INDEX NAME)



●3 Na

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:131139 CA
TITLE: Cell-cycle drugs for the prevention and treatment of Alzheimer's disease
INVENTOR(S): Nagy, Zsuzsanna
PATENT ASSIGNEE(S): Isis Innovation Limited, UK
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

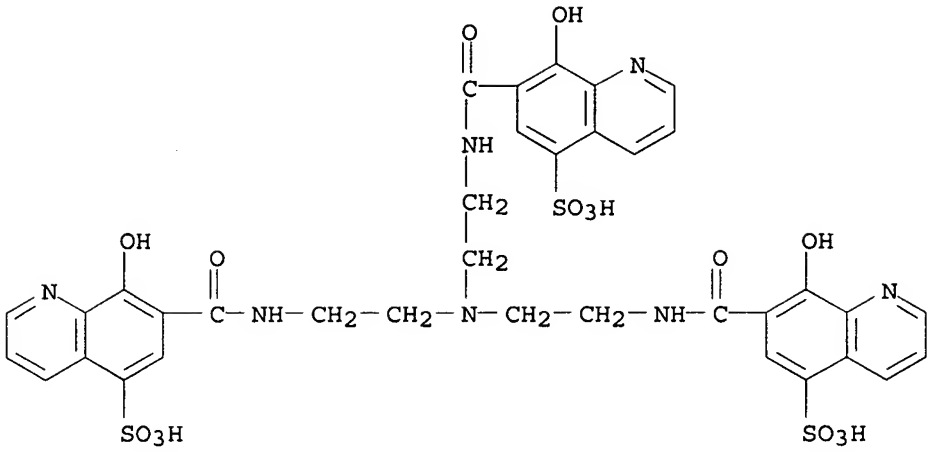
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007925	A1	20030130	WO 2002-GB3327	20020719
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003032673	A1	20030213	US 2002-200023	20020719
AU 2002319451	A1	20030303	AU 2002-319451	20020719
EP 1408938	A1	20040421	EP 2002-749036	20020719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
EP 1764092	A2	20070321	EP 2006-25394	20020719
EP 1764092	A3	20070627		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, SK, TR				
EP 1767197	A2	20070328	EP 2006-25393	20020719
EP 1767197	A3	20070530		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, SK, TR				
EP 1769791	A2	20070404	EP 2006-25392	20020719
EP 1769791	A3	20070711		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, SK, TR				

PRIORITY APPLN. INFO.:			
GB 2001-17645	A	20010719	
EP 2002-749036	A3	20020719	
WO 2002-GB3327	W	20020719	

AB The invention relates to therapeutic agents for use in the prevention or treatment of Alzheimer's disease. In particular the invention relates to use of inhibitors of cell cycle re-entry and progression to the G1/S transition or inhibitors of progression of the cell cycle through the G1/S transition point in the prevention or treatment of Alzheimer's disease.

IT 169209-68-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cell-cycle drugs for prevention and treatment of Alzheimer's disease)

RN 169209-68-1 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy-, trisodium salt (CA INDEX NAME)



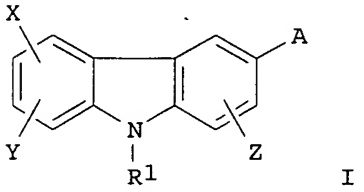
●3 Na

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:6086 CA
TITLE: Preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists
INVENTOR(S): Elliott, Richard L.; Griffith, David A.; Hammond, Marlys
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 46 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6399631	B1	20020604	US 2000-620315		20000721
PRIORITY APPLN. INFO.:			US 1999-145304P	P	19990723

OTHER SOURCE(S): MARPAT 137:6086
GI

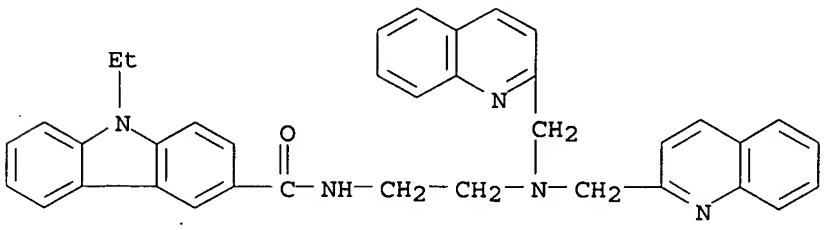


I

AB Title compds. I [X, Y, Z = H, halo, OH, NO2, CN, alkyl, alkoxy, amino, alkylamino, etc.; R1 = alkyl, alkylaryl, alkenyl, (cyclo)alkyl, mono/polyfluoroalkyl; A = NR2CO, NR2SO2; R2 = H, alkyl, alkylaryl, alkenyl, etc.] were prepared For instance, 3-amino-9-ethylcarbazole and 4-(dimethylamino)butyric acid were coupled (CH2Cl2, EDC, Et3N, DMAP, 19 h) to give I (X, Y, Z = H; R1 = Et; A = NHCOCH2CH2CH2N(CH3)2; II). II had Ki < 1 μM for the neuropeptide Y-5 (NPY-5) receptor. I are useful in treating conditions associated with NPY-5 neurotransmission, e.g., obesity.

IT 432506-48-4P, 9-Ethyl-9H-carbazole-3-carboxylic acid [2-[N,N-di((quinolin-2-yl)methyl)amino]ethyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target drug; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)

RN 432506-48-4 CA
CN 9H-Carbazole-3-carboxamide, N-[2-[bis(2-quinolinylmethyl)amino]ethyl]-9-ethyl- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

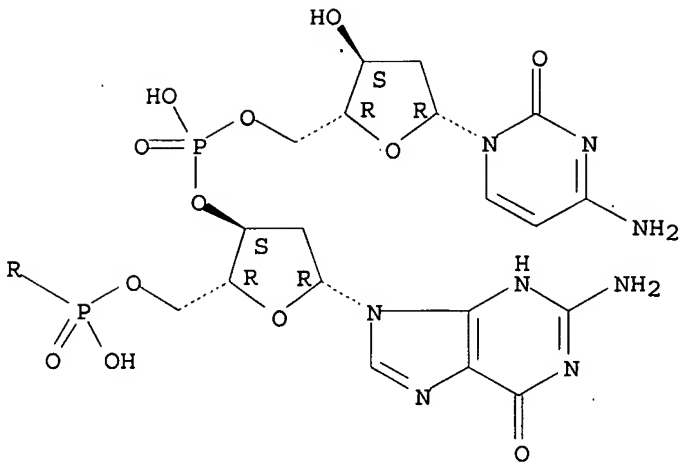
L12 ANSWER 9 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 135:242451 CA
TITLE: Synthesis and nuclease stability of tri-lysyl dendrimer-oligodeoxyribonucleotide hybrids
AUTHOR(S): Sarracino, D. A.; Richert, C.
CORPORATE SOURCE: Department of Chemistry, Tufts University, Medford, MA, 02155, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(13), 1733-1736
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

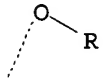
AB Hybrids of oligonucleotides and tri-lysyl-dendrimers with terminal acyl groups were prepared via solid-phase synthesis, including a DNA hexamer bearing an addnl. 3'-appendage. These were shown to be degraded more slowly by nuclease S1 than control strands, particularly at low pH, and, in one case, to form a duplex with a complementary strand whose m.p. at pH 7 was higher than that of the control duplex. A dendrimer-oligonucleotide hybrid with terminal nalidixic acid residues shows increased resistance to endo- and exonucleases, particularly at low pH, as well as enhanced affinity for a target strand.

IT 360577-42-0P
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(synthesis and nuclease stability of tri-lysyl dendrimer oligodeoxyribonucleotide hybrids)
RN 360577-42-0 CA
CN Cytidine, 5'-[[N2,N6-bis[N2,N6-bis(3-quinolinylcarbonyl)-L-lysyl]-L-lysyl]amino]-5'-deoxythymidylyl-(3'→5')-2'-deoxyadenylyl-(3'→5')-2'-deoxyguanylyl-(3'→5')-2'-deoxy- (9CI) (CA INDEX NAME)

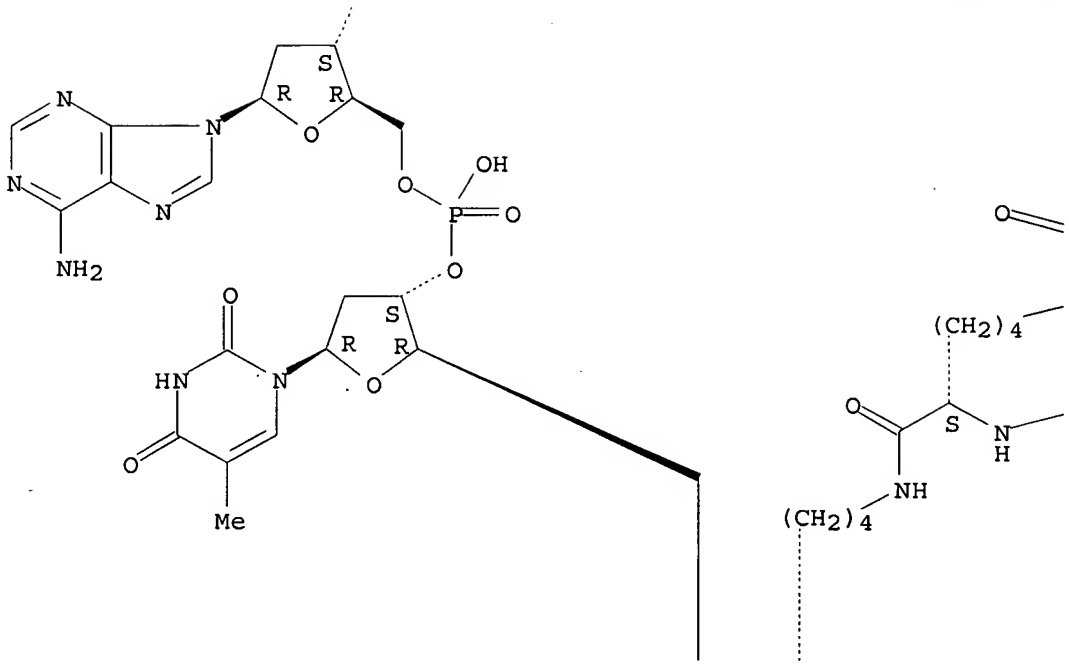
Absolute stereochemistry.

PAGE 1-A

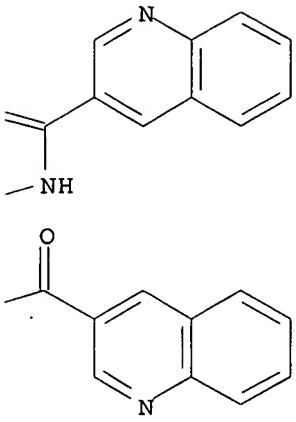




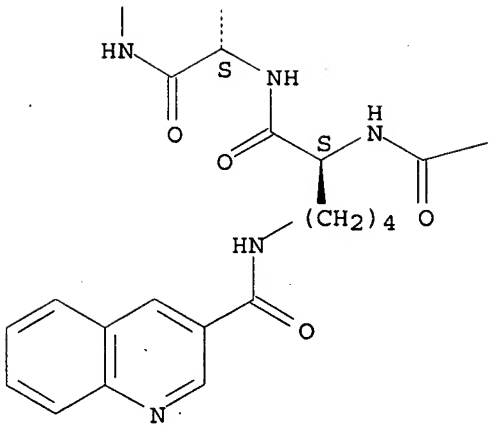
PAGE 2-A



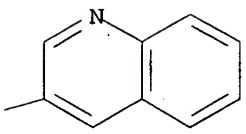
PAGE 2-B



PAGE 3-A



PAGE 3-B

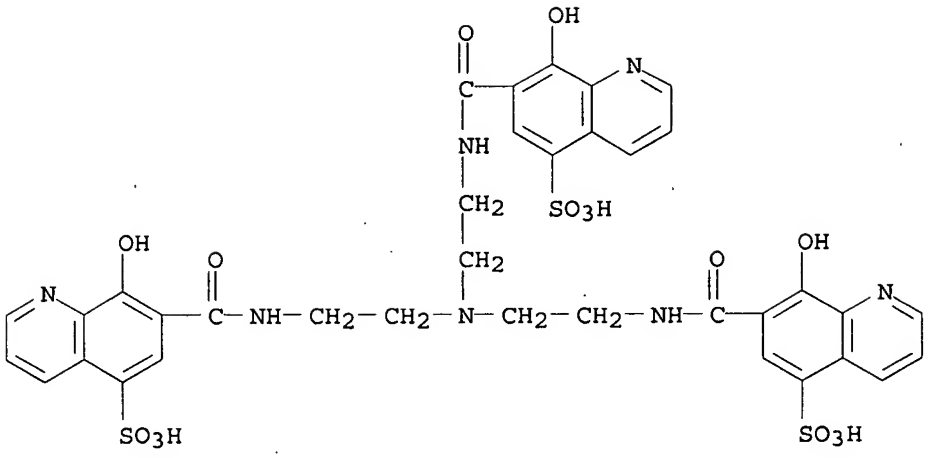


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:99240 CA
TITLE: Antiproliferative and apoptotic effects of O-trensox, a new synthetic iron chelator, on differentiated human hepatoma cell lines
AUTHOR(S): Rakba, Nafissa; Loyer, Pascal; Gilot, David; Delcros, Jean Guy; Glaise, Denise; Baret, Paul; Pierre, Jean Louis; Brissot, Pierre; Lescoat, Gerard
CORPORATE SOURCE: INSERM U522, Regulations des Equilibres Fonctionnels du Foie Normal et Pathologique, Hopital Pontchaillou, Rennes, 35033, Fr.
SOURCE: Carcinogenesis (2000), 21(5), 943-951
CODEN: CRNGDP; ISSN: 0143-3334
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We investigated the effects of a new iron chelator, O-Trensox (TRX), compared with desferrioxamine (DFO), on proliferation and apoptosis in cultures of the human hepatoblastoma HepG2 and hepatocarcinoma HBG cell lines. Our results show that TRX decreased DNA synthesis in a time- and dose-dependent manner and with a higher efficiency than DFO. Mitotic index was also strongly decreased by TRX and, unexpectedly, DFO inhibited mitotic activity to the same extent as TRX, thus there is a discrepancy between the slight reduction in DNA synthesis and a large decrease in mitotic index after DFO treatment. In addition, we found that TRX induced accumulation of cells in the G1 and G2 phases of the cell cycle whereas DFO arrested cells in G1 and during progression through S phase. These data suggest that the partial inhibition of DNA replication observed after exposure to DFO may be due to a lower efficiency of metal chelation and/or that it does not inhibit the G1/S transition but arrests cells in late S phase. The effects of both TRX and DFO on DNA synthesis and mitotic index were reversible after removing the chelators from the culture medium. An apoptotic effect of TRX was strongly suggested by anal. of DNA content by flow cytometry, nuclear fragmentation and DNA degradation in oligonucleosomes and confirmed by the induction of a high level of caspase 3-like activity. TRX induced apoptosis in a dose- and time-dependent manner in proliferating HepG2 cells. In HBG cells, TRX induced apoptosis in proliferating and confluent cells arrested in the G1 phase of the cell cycle, demonstrating that inhibition of proliferation and induction of apoptosis occurred independently. DFO induced DNA alterations only at concns. > 100 μM and without induction of caspase 3-like activity, indicating that DFO is not a strong inducer of apoptosis. Addition of Fe or Zn to the culture medium during TRX treatment led to a complete restoration of proliferation rate and inhibition of apoptosis, demonstrating that Fe/Zn-saturated TRX was not toxic in the absence of metal depletion. These data show that TRX, at concns. of 20-50 μM, strongly inhibits cell proliferation and induces apoptosis in proliferating and non-proliferating HepG2 and HBG cells, resp.

IT 169209-68-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(169209-68-1; mechanism of iron chelator O-trensox antiproliferative and apoptotic effect on hepatoma and hepatoblastoma)
RN 169209-68-1 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy-, trisodium salt (CA INDEX NAME)



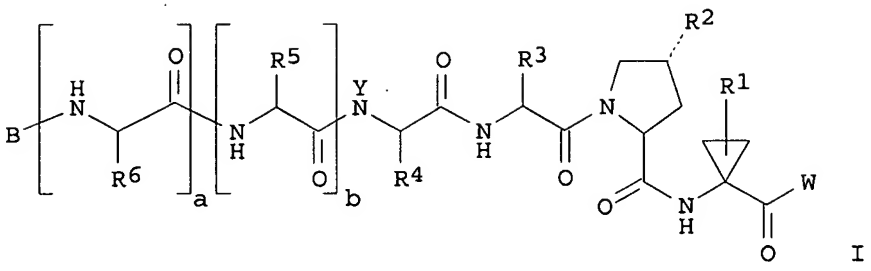
●3 Na

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 132:175808 CA
TITLE: Hepatitis C inhibitor peptides
INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.; Cameron, Dale; Ghiro, Elise; Goudreau, Nathalie; Poupart, Marc-Andre; Rancourt, Jean; Tsantrizos, Youla S.
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000009558	A1	20000224	WO 1999-CA737		19990809
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6767991	B1	20040727	US 1999-368670		19990805
CA 2336597	A1	20000224	CA 1999-2336597		19990809
CA 2336597	C	20060214			
AU 9952732	A	20000306	AU 1999-52732		19990809
AU 764655	B2	20030828			
BR 9912943	A	20010508	BR 1999-12943		19990809
EP 1105422	A1	20010613	EP 1999-938085		19990809
EP 1105422	B1	20060215			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY					
TR 200100438	T2	20010621	TR 2001-438		19990809
HU 2001004548	A2	20020429	HU 2001-4548		19990809
JP 2002522557	T	20020723	JP 2000-565004		19990809
EE 200100080	A	20020815	EE 2001-80		19990809
NZ 510395	A	20031219	NZ 1999-510395		19990809
TW 577895	B	20040301	TW 1999-88113587		19990809
AT 317854	T	20060315	AT 1999-938085		19990809
ES 2257066	T3	20060716	ES 1999-938085		19990809
NO 2001000604	A	20010205	NO 2001-604		20010205
ZA 2001000972	A	20020718	ZA 2001-972		20010205
MX 2001PA01422	A	20000821	MX 2001-PA1422		20010207
IN 2001MN00128	A	20050304	IN 2001-MN128		20010207
BG 105230	A	20011031	BG 2001-105230		20010208
BG 64956	B1	20061031			
HR 2001000101	A1	20020228	HR 2001-101		20010208
HK 1039947	A1	20050225	HK 2002-101472		20020226
PRIORITY APPLN. INFO.:			US 1998-95945P	P	19980810
			US 1997-55186P	P	19970811
			US 1998-131758	B2	19980810
			US 1998-219939	B1	19981223
			WO 1999-CA737	W	19990809

OTHER SOURCE(S): MARPAT 132:175808
GI



I

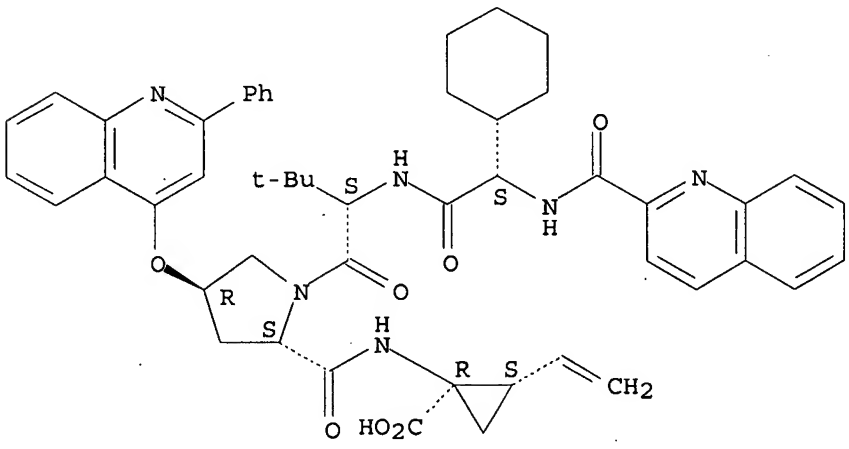
AB The invention provides peptides I (a, b = 0, 1; Y = H, C1-6 alkyl; B = H, acyl derivative, sulfonyl derivative; W = OH, N-substituted amino), or a pharmaceutically acceptable salt or ester thereof, for use in the treatment of hepatitis C virus infection. Preparation of peptides is included.

IT 259221-55-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(hepatitis C inhibitor peptides and preparation thereof)

RN 259221-55-1 CA

CN Cyclopropanecarboxylic acid, (2S)-2-cyclohexyl-N-(2-quinolinylcarbonyl)glycyl-3-methyl-L-valyl-(4R)-4-[(2-phenyl-4-quinolinyl)oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 127:274629 CA
TITLE: DNA-binding studies of XSPTSPSZ, derivatives of the intercalating heptad repeat of RNA polymerase II
AUTHOR(S): Harding, Margaret M.; Krippner, Guy Y.; Shelton, Cathryn J.; Rodger, Alison; Sanders, Karen J.; Mackay, Joel P.; Prakash, Arungundrum S.
CORPORATE SOURCE: School of Chemistry, University of Sydney, 2006, Australia
SOURCE: Biopolymers (1997), 42(4), 387-398
CODEN: BIPMAA; ISSN: 0006-3525
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English

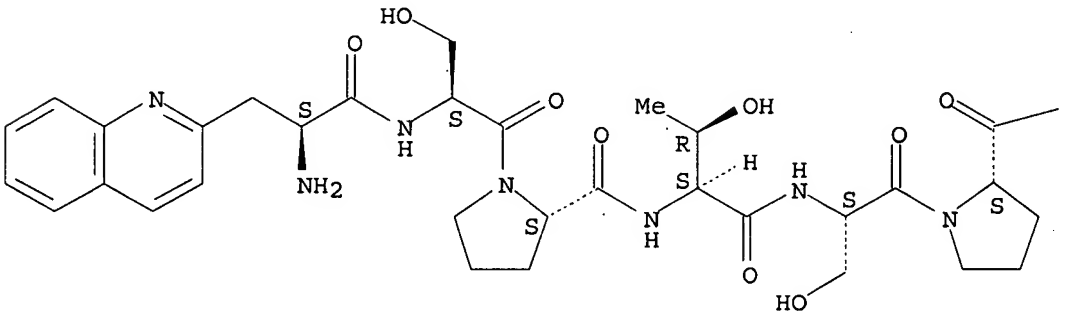
AB The synthesis, solution conformation, and interaction with DNA of three 8-residue peptides structurally related to the heptad repeat unit found at the C-terminus of RNA polymerase II are reported. Peptides QQ, XQ, and PQ are derived from the parent sequence YSPTSPSY (peptide YY), which was reported to bind to DNA by bis-intercalation [M. Suzuki (1990) Nature, Volume 344, pp. 562-565], and contain either a 2-quinolyl (Q), 2-quinoxolyl (X), or 5-phenanthrolyl (P) group in place of the aromatic side chains of the N- and C-terminal tyrosine residues present in the parent sequence. The combined results of linear dichroism and induced CD measurements of peptides QQ, XQ, and PQ with calf thymus DNA are consistent with weak binding of the peptides to DNA in a preferred orientation in which the chromophores are intercalated. Small increases in the melting temps. of poly [d(A-T)2] are also consistent with the peptides interacting with DNA. While enzymic footprinting with DNase I showed no protection from cleavage by the enzyme, chemical footprinting with fotemustine showed that the peptides modify the reactivity of the major groove, presumably via minor groove binding. Peptide QQ inhibited fotemustine alkylation significantly more than either XQ or PQ, and.

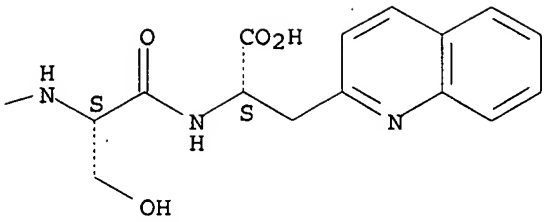
IT 196792-88-8
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(DNA-binding studies of XSPTSPSZ, derivs. of the intercalating heptad repeat of RNA polymerase II)

RN 196792-88-8 CA
CN L-Alanine, 3-(2-quinolinyl)-L-alanyl-L-seryl-L-prolyl-L-threonyl-L-seryl-L-prolyl-L-seryl-3-(2-quinolinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



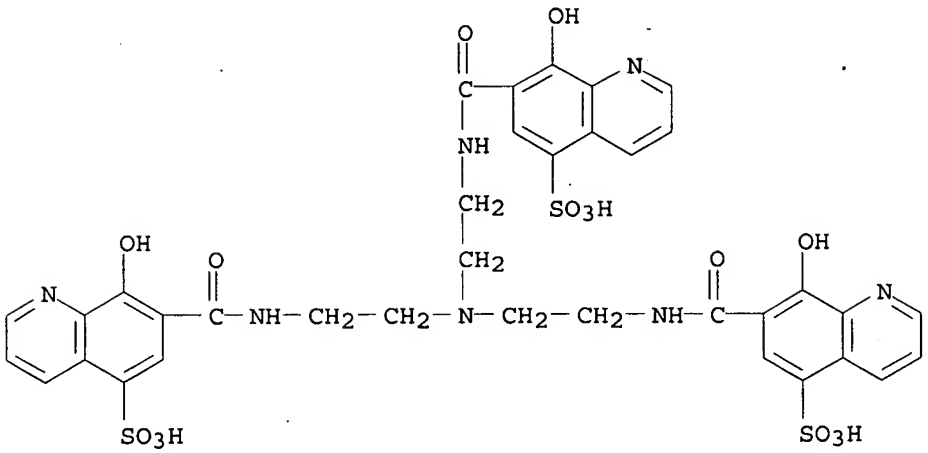


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 124:85745 CA
TITLE: Metabolization of iron by plant cells using O-Trensox, a high-affinity abiotic iron-chelating agent.
AUTHOR(S): Caris, Catherine; Baret, Paul; Beguin, Claude; Serratrice, Guy; Pierre, Jean-Louis; Laulhere, Jean-Pierre
CORPORATE SOURCE: Lab. Etudes Dynamiques Structurales Selectivite, Univ. J. Fourier, Grenoble, 53-38041, Fr.
SOURCE: Biochemical Journal (1995), 312(3), 879-85
CODEN: BIJOAK; ISSN: 0264-6021
PUBLISHER: Portland Press
DOCUMENT TYPE: Journal
LANGUAGE: English

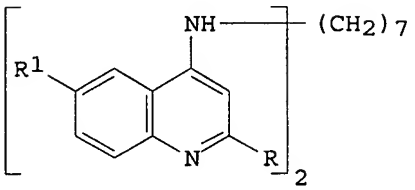
AB A synthetic siderophore, O-Trensox [tris-N-(2-aminoethyl-[8-hydroxyquinoline-5-sulfonato-7-carboxamido])amine], has been designed and synthesized to improve iron nutrition of plants. The affinity for iron of this ligand [pFe(III) = 29.5 and pFe(II) = 17.9] is very high compared with EDTA. In spite of its high and specific affinity for iron, O-Trensox was able to prevent, and to reverse, iron chlorosis in several plant species grown in axenic conditions. It also allows the iron nutrition and growth of Acer pseudoplatanus cell suspensions. The rate of iron metabolization was monitored by 59Fe. Ferritins are shown to be the first iron-labeled proteins during iron metabolization and to be able to further dispatch the metal. Using Fe(III)-Trensox, the rate of iron incorporation into ferritin was higher than when using Fe-EDTA, but slower than with Fe-citrate, the natural iron carrier in xylem. During a plant cell culture, the extracellular concns. of iron complex and free ligand were measured; changes in their relative amts. showed that the iron complex is dissociated extracellularly and that only iron is internalized. This suggests a high affinity for iron of a putative carrier on the plasmalemma. In contrast with Fe-citrate and Fe-EDTA complexes, Fe(III)-Trensox is not photoreducible. Its ability to induce radical damage as a Fenton reagent was tested using supercoiled DNA as target mol. Unlike Fe-citrate and Fe-EDTA, Fe(II)-Trensox and Fe(III)-Trensox were harmless even during ascorbate-driven reduction, while Fe-EDTA and Fe-citrate generate heavy damage to DNA.

IT 169209-68-1, O-Trensox
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(siderophore for metabolization of iron by plant cells)
RN 169209-68-1 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy-, trisodium salt (CA INDEX NAME)



● 3 Na

L12 ANSWER 14 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 113:52145 CA
TITLE: The interaction of substituted and rigidly linked diquinolines with DNA
AUTHOR(S): McFadyen, W. David; Sotirellis, N.; Denny, William A.; Wakelin, Laurence P. G.
CORPORATE SOURCE: Sch. Sci. Math. Educ., Univ. Melbourne, Parkville, 3052, Australia
SOURCE: Biochimica et Biophysica Acta, Gene Structure and Expression (1990), 1048(1), 50-8
CODEN: BBGSD5; ISSN: 0167-4781
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



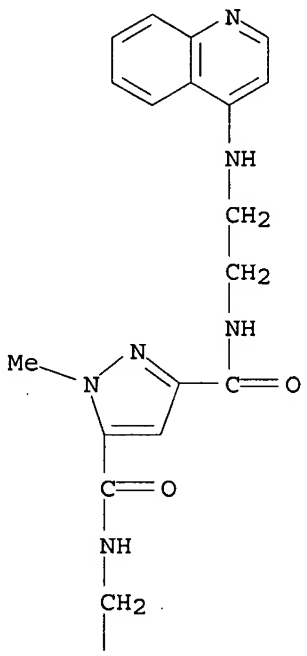
I

AB Viscometric measurements with circular and sonicated rodlike DNA fragments were used to explore whether ring substituents or conformationally restricted linkers promote bifunctional intercalation among a series of binuclear 4-aminoquinolines (I, R = H or Me, R1 = H or NH2) bridged via their 4-amino group. Ligands comprising unsubstituted quinolines and piperazine or pyrazole linkages bisintercalate. Quinoline-substituted alkyl-linked dimers intercalate in either a mixed monofunctional-bifunctional mode or bind with only one of their chromophores intercalated depending on the nature of the substituents. Equilibrium dialysis measurements show that the binding affinity for calf thymus DNA of the compds. studied ranges from (1.2-12).104M-1 in buffer of ionic strength 0.1. Both cooperative and anticooperative binding isotherms were obtained and there is evidence for a second binding mode for the piperazine-linked diquinoline at saturating binding levels. For this compound the high-affinity association constant decreases with increasing ionic strength, 3.4 cations being released per bound ligand mol. Partition dialysis measurements with DNAs of differing base composition indicate that the compds. studied are either AT selective or sequence neutral depending on ligand structure. For example, the pyrazole linker imparts a marked specificity for binding to AT-rich DNA, whereas the piperazine linker does not. Kinetic measurements using the surfactant-sequestration method reveal that DNA-diquinoline complexes dissociate very rapidly by complex mechanisms with rate consts. > 100 s-1 in buffer of ionic strength 0.1.

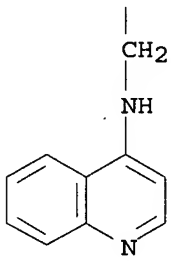
IT 128341-28-6
RL: PRP (Properties)
(interaction of, with DNA, neoplasm inhibition in relation to)

RN 128341-28-6 CA
CN 1H-Pyrazole-3,5-dicarboxamide, 1-methyl-N,N'-bis[2-(4-quinolinylamino)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L12 ANSWER 15 OF 15 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 90:66509 CA
TITLE: Potential antitumor agents. 29. Quantitative structure-activity relationships for the antileukemic bisquaternary ammonium heterocycles
AUTHOR(S): Denny, William A.; Atwell, Graham J.; Baguley, Bruce C.; Cain, Bruce F.
CORPORATE SOURCE: Exp. Chemother. Res. Lab., Pew Zealand Cancer Soc., Auckland, N. Z.
SOURCE: Journal of Medicinal Chemistry (1979), 22(2), 134-50
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

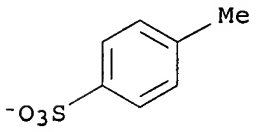
AB Quant. relations between physicochem. drug properties and antileukemic (L1210) efficacy were examined for a series of bisquaternary ammonium heterocycles employing multiple variable regression anal. The synthesis of these compds. is described. The drug dose necessary to provide a 40% increase in life span and the chemotherapeutic index were independent of toxicity. There was a parabolic relation between agent lipophilic-hydrophilic balance and the percentage increase in mean life span of leukemic animals at the LD10 dose. Relative levels of drug-DNA interaction were obtained by spectrofluorimetric quantitation of drug displacement of DNA-bound ethidium. Extensive quant. structure-activity relations are discussed.

IT 14120-94-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antileukemic activity of)

RN 14120-94-6 CA
CN Quinolinium, 6,6'-[2,5-pyridinediylbis(carbonylimino)]bis[1-butyl-, salt with 4-methylbenzenesulfonic acid (1:2) (9CI) (CA INDEX NAME)

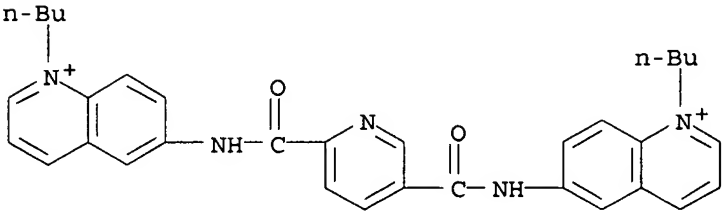
CM 1

CRN 16722-51-3
CMF C7 H7 O3 S



CM 2

CRN 14106-83-3
CMF C33 H35 N5 O2



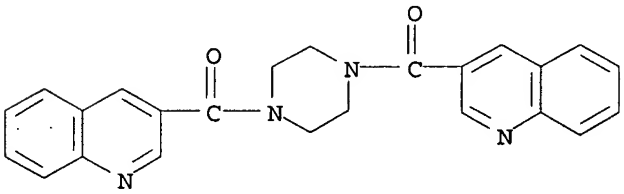
=> d ibib abs fhitstr 1-2

L14 ANSWER 1 OF 2 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:159240 CA
TITLE: Synthesis and biological evaluation of heteroaryldiamides and heteroaryldiamines as cytotoxic agents, apoptosis inducers and caspase-3 activators
AUTHOR(S): Echeverria, Mikel; Mendivil, Beatriz; Cordeu, Lucia; Cubedo, Elena; Garcia-Foncillas, Jesus; Font, Maria; Sanmartin, Carmen; Palop, Juan Antonio
CORPORATE SOURCE: Seccion de Sintesis, Departamento de Quimica Organica y Farmaceutica, University of Navarra, Pamplona, Spain
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2006), 339(4), 182-192
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:159240

AB The work described here involved the synthesis and biol. evaluation of new heteroaryldiamides and heteroaryldiamines. A new general model in which the structures can be adjusted has been applied in this study. Three different structural units can be distinguished: a central nucleus and 2 sym. terminal units. The central element is either an aliphatic chain of varying length and flexibility, piperazine, or a polyamine nucleus. However, the terminal units are pyridine, quinoline, indole, benzene or pyrido[2,3-d]pyrimidine with different substituents. The antitumoral activities of the compds. were evaluated in vitro by examining their cytotoxic effects against human breast, colon, and bladder cancer cell lines. Compds. that showed cytotoxic activity were subjected to both apoptosis and caspase-3 assays. With regard to selectivity, the cytotoxicity was also determined in cell cultures of two non-tumoral lines. The most promising compds. containing amino-pyridinium, quinolyl-N-oxide, and pyridyl derivs., resp., and these reveal a significant in vitro cytotoxicity in at least 2 of 3 cell lines tested. These compds. induced apoptosis and also produced a rapid dose-dependent increase in the caspase-3 level in HT-29 cells. Other encouraging profiles were found, such as those presented by 1k and 8d, which are cytotoxic and apoptotic but do not provoke an increase in the level of caspase-3, or those presented by 2f, 3c and 4a, which are slightly cytotoxic but do not show any other significant activity. The different types of behavior of each compound are not necessarily parallel in the 3 cell lines tested.

IT 875229-02-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and biol. evaluation of heteroaryldiamides and heteroaryldiamines as cytotoxic agents, apoptosis inducers and caspase-3 activators)

RN 875229-02-0 CA
CN Piperazine, 1,4-bis(3-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



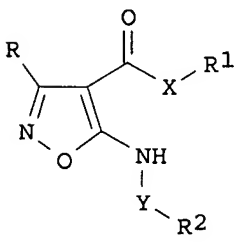
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 2 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:187773 CA
TITLE: Preparation of aminoisoxazoles as protein kinase inhibitors for treatment of cancer and other proliferative diseases
INVENTOR(S): Cavicchioli, Marcello; Pevarello, Paolo; Salom, Barbara; Vulpetti, Anna
PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy
SOURCE: PCT Int. Appl., 253 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013517	A1	20030220	WO 2002-EP8634	20020729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2455631	A1	20030220	CA 2002-2455631	20020729
AU 2002342607	A1	20030224	AU 2002-342607	20020729
EP 1435948	A1	20040714	EP 2002-779257	20020729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011742	A	20040824	BR 2002-11742	20020729
CN 1549714	A	20041124	CN 2002-816939	20020729
JP 2005501073	T	20050113	JP 2003-518526	20020729
NZ 530782	A	20051125	NZ 2002-530782	20020729
ZA 2004000347	A	20050117	ZA 2004-347	20040116
MX 2004PA00920	A	20040402	MX 2004-PA920	20040129
NO 2004000511	A	20040323	NO 2004-511	20040203
IN 2004CN00236	A	20051209	IN 2004-CN236	20040205
US 2005059657	A1	20050317	US 2004-485871	20041013
PRIORITY APPLN. INFO.:			US 2001-921751 A	20010806
			WO 2002-EP8634 W	20020729

OTHER SOURCE(S): MARPAT 138:187773
GI



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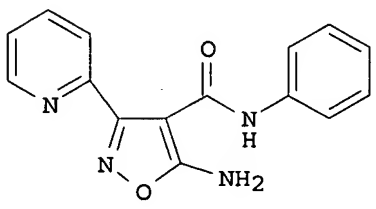


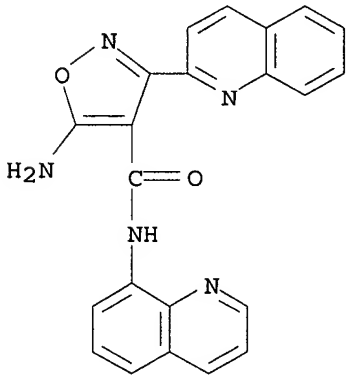
II

AB Title compds. I [wherein R = (un)substituted heteroaryl group optionally condensed with a carbocycle or heterocycle; X = N(R3); or O; Y = CH(R3), CO, CONH, or SO2; or Y may be a single bond when R2 = H or cycloalkyl; R1 = H or (un)substituted (cyclo)alkyl, aryl(alkyl), or heterocyclyl(alkyl) optionally condensed with a carbocycle or heterocycle; R2 and R3 = independently as defined for R1 or (un)substituted alkenyl or alkynyl; or pharmaceutically acceptable salts thereof] together with pharmaceutical compns. comprising them, as well as methods for their preparation, are disclosed. An addnl. aspect of the present invention relates to the solid phase synthesis of combinatorial libraries of the isoxazolamines. For example, 4-(4-formyl-3-methoxyphenoxy)butyryl AM resin was swollen in CH2Cl2 and treated with aniline, AcOH, and NaBH(OAc)3 to give the 4-[3-methoxy-4-(phenylaminomethyl)phenoxy]butyryl AM resin (no data), which was amidated with cyanoacetic acid. Treatment with (2-pyridyl)hydroxyaminomethyl chloride and LiHMDS in THF to give the isoxazole, followed by removal of the amide from the resin using a solution of THF 20% in anhydrous CH2Cl2 afforded II. I or compns. containing them are useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases, and neurodegenerative disorders (no data).

IT 498018-16-9P, 5-Amino-N-(quinolin-8-yl)-3-(quinolin-2-yl)isoxazole-4-carboxamide
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(kinase inhibitor; solid phase preparation of aminoisoxazole protein kinase inhibitors from cyanoacetic acids or amides and hydroxylamines as anticancer and antiproliferative agents)

RN 498018-16-9 CA

CN 4-Isoxazolecarboxamide, 5-amino-3-(2-quinolinyl)-N-8-quinolinyl- (CA INDEX NAME)



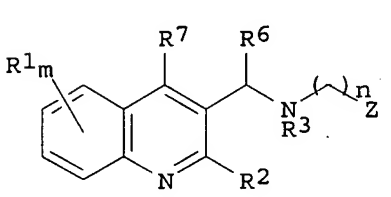
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l16 ibib abs fhitstr 1-22

L16 ANSWER 1 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 146:229195 CA
TITLE: Preparation of quinoline derivatives as antibacterial agents
INVENTOR(S): Guillemont, Jerome Emile Georges; Lancois, David Francis Alain; Pasquier, Elisabeth Therese Jeanne; Andries, Koenraad Jozef Lodewijk Marcel; Koul, Anil
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 109pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007014885	A1	20070208	WO 2006-EP64656	20060726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2005-106962 A 20050728
OTHER SOURCE(S): MARPAT 146:229195
GI



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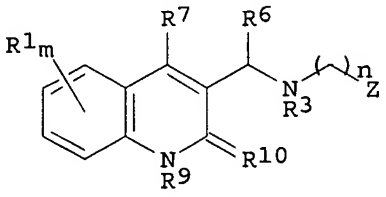
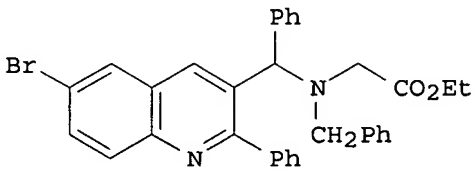


II



III

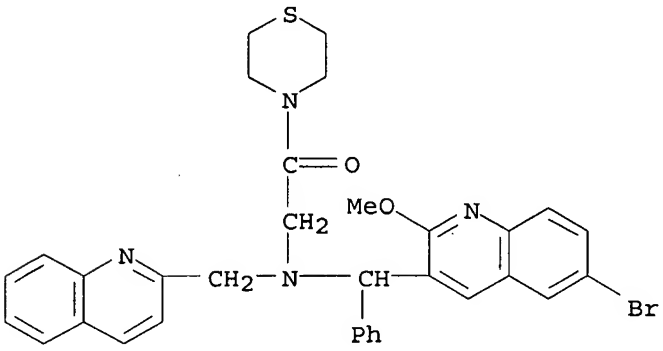
AB Use of a compound for the manufacture of a medicament for the treatment of a bacterial infection provided that the bacterial infection is other than a Mycobacterial infection, said compound being a compound of formula I & II (Z = -X-NR4R5 or -CO2R8; R1 = cyano, halo(alkyl), hydroxy, etc.; R2 = H, aryl, mercapto, etc.; R3 = alkyl, aryl(alkyl), mono- or di-alkylaminoalkyl or heterocyclyl(alkyl); R4, R5 = independently H, (alkoxy)alkyl, aryl, etc.,

or R4R5N = heterocyclyl; R6 = (un)substituted aryl or heterocyclyl; R7 = H, halo, alkyl, aryl or heterocyclyl; R8 = saturated hydrocarbon radical; m = 0-4; n = 1-3), a pharmaceutically acceptable acid or base addition salt, a quaternary amine, a stereochem. isomeric form, a tautomeric form or a N-oxide form thereof. For example, III was provided in a multi-step synthesis starting from the reaction of 5-bromo-1H-indole-2,3-dione with 1,3-diphenyl-1-propanone. I showed antibacterial activity in Microtitre plate assay.

IT 924632-44-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline derivs. for treatment of bacterial infection)

RN 924632-44-0 CA

CN Ethanone, 2-[[(6-bromo-2-methoxy-3-quinolinyl)phenylmethyl](2-quinolinylmethyl)amino]-1-(4-thiomorpholinyl)- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:455035 CA
TITLE: Preparation of pyrrolobenzodiazepine derivatives for treatment of proliferative diseases
INVENTOR(S): Gregson, Stephen John; Howard, Philip Wilson; Chen, Zhizhi
PATENT ASSIGNEE(S): Spirogen Limited, UK
SOURCE: PCT Int. Appl., 77pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006111759	A1	20061026	WO 2006-GB1456	20060421

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

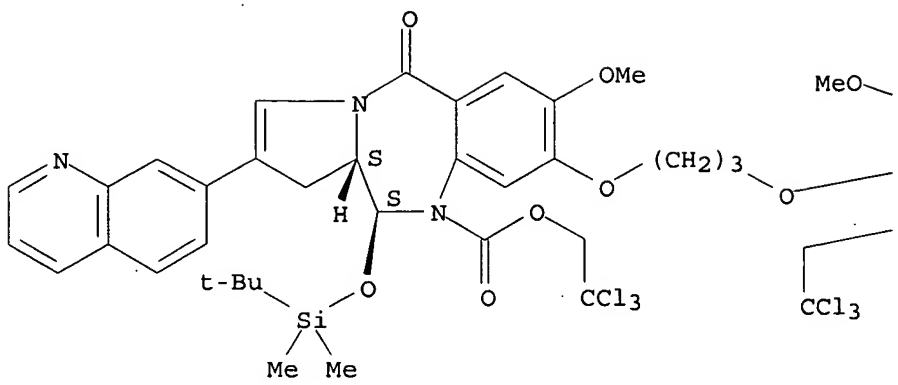
PRIORITY APPLN. INFO.: GB 2005-8084 A 20050421
GB 2005-22746 A 20051107
OTHER SOURCE(S): MARPAT 145:455035
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

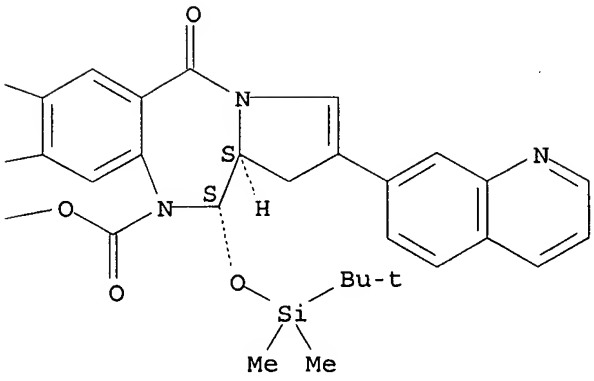
AB The title compds. with general formula I [wherein: R2 = (un)substituted aryl; R6 and R9 = independently H, R, OH, OR, SH, SR, NH2, NHR, NRR', nitro, Me3Sn, or halo, where R and R' = independently (un)substituted alkyl, heterocyclyl, or aryl; R7 = H, R, OH, OR, SH, SR, NH2, NHR, NHRR', nitro, Me3Sn, or halo; Z = alkylene; X = O, S, or NH; n = 2 or 3] or pharmaceutically acceptable salts or solvates thereof are prepared for the treatment of proliferative diseases. For example, compound II•2Na was prepared in a multi-step synthesis. II•2Na showed IC50 of 1.5 nM in the In Vitro cytotoxicity test with K562 human chronic myeloid leukemia cells.
IT 913262-23-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolobenzodiazepine derivs. for treatment of proliferative diseases)
RN 913262-23-4 CA
CN 1H-Pyrrolo[2,1-c][1,4]benzodiazepine-10(5H)-carboxylic acid, 8,8'-[1,3-propanediylbis(oxy)]bis[11-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-11,11a-dihydro-7-methoxy-5-oxo-2-(7-quinolinyl)-, bis(2,2,2-trichloroethyl) ester, (11S,11'S,11aS,11'aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

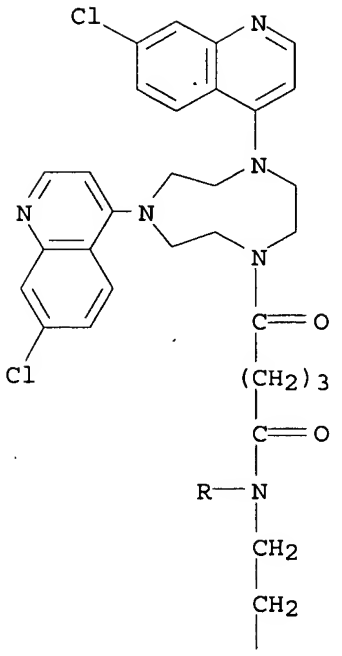
L16 ANSWER 3 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:305637 CA
TITLE: Similar Structure-Activity Relationships of Quinoline Derivatives for Antiprion and Antimalarial Effects
AUTHOR(S): Klingenstein, Ralf; Melnyk, Patricia; Leliveld, S. Rutger; Ryckebusch, Adina; Korth, Carsten
CORPORATE SOURCE: Institute for Neuropathology, Heinrich Heine University Duesseldorf, Duesseldorf, 40225, Germany
SOURCE: Journal of Medicinal Chemistry (2006), 49(17), 5300-5308
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:305637

AB Prion diseases are invariably fatal neurodegenerative diseases, in which the infectious agent consists of PrPSc, a pathogenic misfolded isoform of the normal cellular prion protein (PrPC). Until now, no pharmacol . options exist for these novel pathogens. Here we describe the screening of a series of polyquinolines and quinolines linked to a large variety of terminal groups for their ability to cure a persistently prion infected cell line (ScN2a). Several compds. showed antiprion activity in the nanomolar range. The most active mol., named 42, had a half-effective concentration (EC50) for antiprion activity of 50 nM. In a library of quinoline derivs. we were able to identify several structure-activity relationships (SAR). Remarkably, antiprion SAR in ScN2a cells were similar to antimalarial SAR in a cell model of malaria, particularly for the sulfonamide quinoline derivs., suggesting that some mol. targets of antiprion and antimalarial substances overlap.

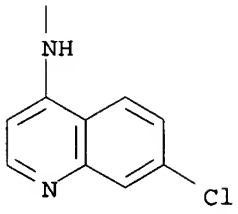
IT 347895-75-4
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(similar structure-activity relationships of quinoline derivs. for antiprion and antimalarial effects)

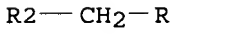
RN 347895-75-4 CA
CN 1H-1,4,7-Triazonine-1-pentanamide, 4,7-bis(7-chloro-4-quinolinyl)-N,N-bis[2-[(7-chloro-4-quinolinyl)amino]ethyl]octahydro-δ-oxo- (CA INDEX NAME)

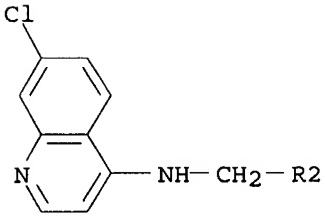
PAGE 1-A



PAGE 2-A





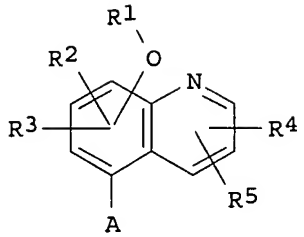


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:51568 CA
TITLE: Preparation of substituted 2-quinolyl-oxazoles and their heterocyclic analogs useful as pde4 inhibitors
INVENTOR(S): Kuang, Rongze; Blythin, David; Shih, Neng-Yang; Shue, Ho-Jane; Chen, Xiao; Cao, Jianhua; Gu, Danlin; Huang, Ying; Schwerdt, John H.; Ting, Pauline C.; Wong, Shing-Chun; Xiao, Li
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 233 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005116009	A1	20051208	WO 2005-US17134		20050516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2005247906	A1	20051208	AU 2005-247906		20050516
CA 2565599	A1	20051208	CA 2005-2565599		20050516
US 2006106062	A1	20060518	US 2005-130359		20050516
EP 1758883	A1	20070307	EP 2005-750076		20050516
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
CN 1984901	A	20070620	CN 2005-80023666		20050516
MX 2006PA13414	A	20070123	MX 2006-PA13414		20061117
KR 2007013306	A	20070130	KR 2006-724186		20061117
IN 2006CN04254	A	20070629	IN 2006-CN4254		20061117
NO 2006005830	A	20070216	NO 2006-5830		20061215
PRIORITY APPLN. INFO.:			US 2004-572266P	P	20040518
			WO 2005-US17134	W	20050516

OTHER SOURCE(S): MARPAT 144:51568
GI



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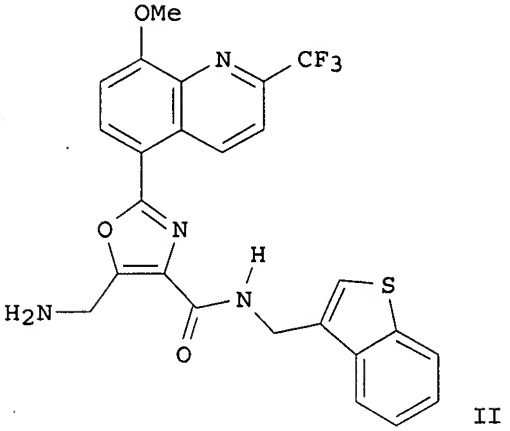


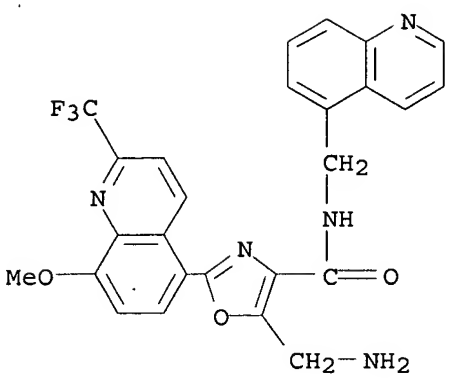
II

AB Title compds. I [R1 = H, alkyl, cycloalkyl; R2, R3 and R5 independently = H or halo; R4 = H, halo, alkyl, etc.; A = substituted oxazolyl, imidazole, thiazole or pyrrole], and their pharmaceutically acceptable salts, are prepared and disclosed as pde4 inhibitors. Thus, e.g., II was prepared in a multistep synthesis from 2-trifluoromethyl-8-methoxyquinolin-5-yl carboxylic acid. In PDE4 assays, selected compds. possessed IC50 values ranging from 0.01-1.8 nM. Also claimed are pharmaceutical compns., the use of the compds. as PDE4 inhibitors, and combinations with other actives.

IT 871000-17-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted quinolyloxazoles and their heterocyclic analogs useful as PDE4 inhibitors)

RN 871000-17-8 CA

CN 4-Oxazolecarboxamide, 5-(aminomethyl)-2-[8-methoxy-2-(trifluoromethyl)-5-quinolinyl]-N-(5-quinolinylmethyl)- (CA INDEX NAME)

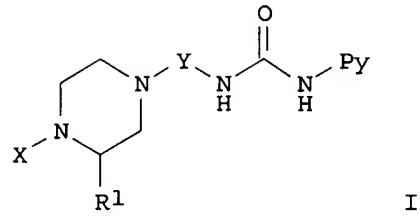


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:410965 CA
TITLE: Preparation of 1-(piperazinylalkyl)-3-quinolinylurea derivatives as urotensin II antagonists
INVENTOR(S): Aissaoui, Hamed; Binkert, Christoph; Clozel, Martine; Mathys, Boris; Mueller, Claus; Nayler, Oliver; Scherz, Michael; Velker, Jorg; Weller, Thomas
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd, Switz.
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004099179	A1	20041118	WO 2004-EP4716		20040504
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2523566	A1	20041118	CA 2004-2523566		20040504
EP 1631565	A1	20060308	EP 2004-730996		20040504
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1784395	A	20060607	CN 2004-80012307		20040504
JP 2006525273	T	20061109	JP 2006-505365		20040504
US 2006211707	A1	20060921	US 2005-555429		20051103
PRIORITY APPLN. INFO.:			WO 2003-EP4774	A	20030507
			WO 2003-EP304774	A	20030507
			WO 2004-EP4716	W	20040504

OTHER SOURCE(S): MARPAT 141:410965
GI



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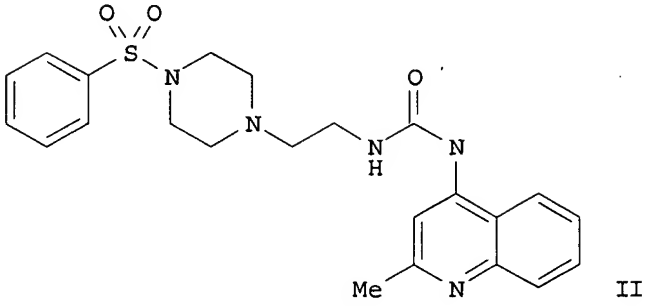


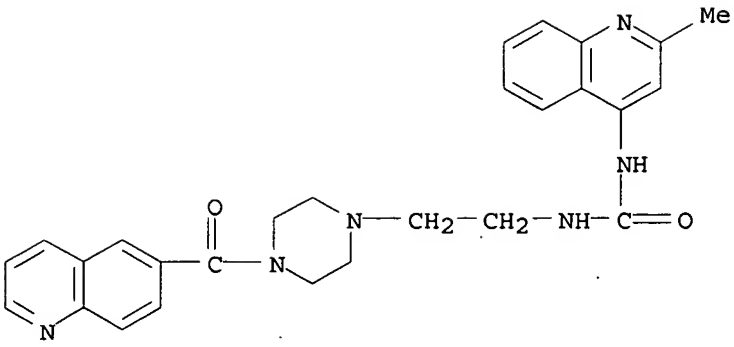
II

AB Title compds. I [wherein Py = (un)substituted pyridinyl, quinolinyl; X = (un)substituted aryl(alkyl), alkylsulfonyl, aryl(alkyl)sulfonyl, (aryl)alkanoyl, aroyl, substituted carbamoyl; Y = CR4R5CH2, CH2CR4R5; R1 = H, Me; R4 = H, (aryl)alkyl, aryl; R5 = H, Me; or CR4R5 = carbocyclic ring; and enantiomers, diastereomers, racemates, pharmaceutically acceptable salts, solvate complexes, and morphol. forms thereof] were prepared as neurohormonal antagonists. For example, II was synthesized in four steps starting from 4-amino-2-methylquinoline, 2-chloroethyl isocyanate, piperazine-1-carboxylic acid tert-Bu ester, and benzenesulfonyl chloride (no data for intermediates). In binding assays of human [125I]-urotensin II to human-derived TE-671 rhabdomyosarcoma cells, compds. of the invention showed activity with IC50 values ranging from 10 nM to 1000 nM. Thus, I and their pharmaceutical compns., optionally comprising other pharmacol. active compds., are useful for treating a variety of disorders associated with dysregulation of urotensin II, such as heart disease, hypertension, kidney disease, diabetes, asthma, and pulmonary disease (no data).

IT 791816-24-5P, 1-(2-Methylquinolin-4-yl)-3-[2-[4-[(quinolin-6-yl)carbonyl]piperazin-1-yl]ethyl]urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(urotensin II antagonist; preparation of (piperazinylalkyl)(quinolinyl)urea derivs. as urotensin II antagonists for treatment of heart disease, hypertension, kidney disease, diabetes, asthma, pulmonary disease, and other disorders)

RN 791816-24-5 CA

CN 1-Piperazineethanamine, N-[[(2-methyl-4-quinolinyl)amino]carbonyl]-4-(6-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:225539 CA
TITLE: Preparation of piperazine-2-carboxamides as antagonists of prostaglandin receptors, particularly of the prostaglandin F2α receptors
INVENTOR(S): Page, Patrick; Jorand-lebrun, Catherine; Thomas, Russel J.; Schwarz, Matthias
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071390	A2	20040826	WO 2004-EP50093	20040206
WO 2004071390	A3	20041223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004212335	A1	20040826	AU 2004-212335	20040206
CA 2513716	A1	20040826	CA 2004-2513716	20040206
EP 1592389	A2	20051109	EP 2004-708776	20040206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006517566	T	20060727	JP 2006-502009	20040206
NO 2005003991	A	20050826	NO 2005-3991	20050826
US 2007142391	A1	20070621	US 2007-545296	20070117
PRIORITY APPLN. INFO.:			EP 2003-3422 A	20030214
			WO 2004-EP50093 W	20040206

OTHER SOURCE(S): MARPAT 141:225539
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

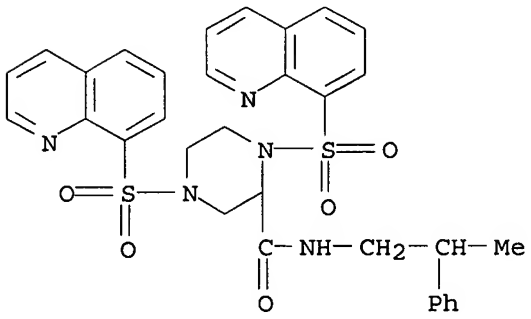
AB Title compds. I [wherein A, B = independently heterocyclo/alkylheterocyclo/cyclo/alkyl, alkyl/alkenyl/alkynyl/hetero/alkylhetero/alkenylhetero/alkynylhetero/aryl, etc.; X = CO, SO2; Y = SO2, CO, CONH and derivs.; R1, R2 = independently H, OH, sulfonyl, NH2, alk(en/yn)yl, hetero/aryl fused with cycloalkyl, cycloalkyl fused with hetero/aryl, etc.; or R1NR2 = heterocyclyl containing an O, N, or S; their geometrical isomers, racemates, enantiomers, diastereomers, and their pharmaceutically acceptable salts and pharmaceutically acceptable active derivs.] were prepared as antagonists of prostaglandin receptors, particularly of the prostaglandin F2α receptors. For example, II was prepared, in 98.5% purity, by a solid phase synthesis from acid III, 3,4-dichlorophenyl isocyanate, and (S)-1-aminoindane. II displayed binding affinity for human prostaglandin F2α receptors (Ki = 0.816 μM) in an in vitro competition binding assay. II inhibited

human prostaglandin F2α-induced Ca2+-mobilization in HEB EBNA cells with an IC50 = 0.495 μM, demonstrating its antagonist activity. Thus, I are useful for the treatment and/or prophylaxis of preterm labor, premature birth, dysmenorrhea and for stopping labor prior to cesarean delivery.

IT 745800-80-0P, N-(2-Phenylpropyl)-1,4-bis[(quinolin-8-yl)sulfonyl]piperazine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prostaglandin F2α receptor antagonist; preparation of piperazine-2-carboxamides as antagonists of prostaglandin receptors, particularly of the prostaglandin F2α receptors)

RN 745800-80-0 CA

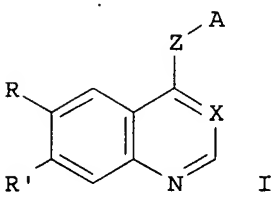
CN 2-Piperazinecarboxamide, N-(2-phenylpropyl)-1,4-bis(8-quinolinylsulfonyl)- (CA INDEX NAME)



L16 ANSWER 7 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 140:217519 CA
TITLE: Preparation of quinoline derivatives as TGFβ inhibitors
INVENTOR(S): Shimizu, Kiyoshi; Shimizu, Toshiyuki; Kimura, Kaname; Kawakami, Kazuki; Nakoji, Masayoshi
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 628 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018430	A1	20040304	WO 2003-JP10647	20030822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003257666	A1	20040311	AU 2003-257666	20030822
EP 1548008	A1	20050629	EP 2003-792805	20030822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1688549	A	20051026	CN 2003-824397	20030822
US 2006111375	A1	20060525	US 2005-525087	20050223
PRIORITY APPLN. INFO.:			JP 2002-244028 A	20020823
			WO 2003-JP10647 W	20030822

OTHER SOURCE(S): MARPAT 140:217519
GI



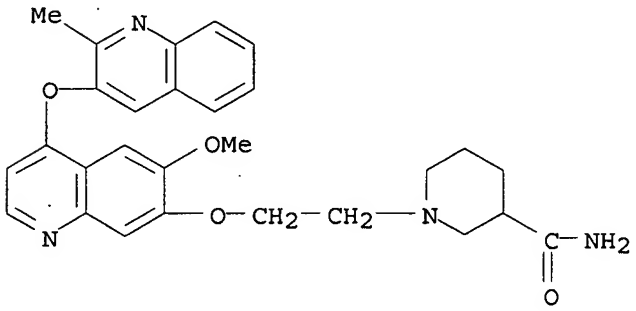
I

AB The title compds. I [wherein X = CH or N; Z = O, NH, S, or CO; R and R' = independently H, halo, (un)substituted alkyl, alkenyl, NH2, CONH2, OH, or heterocyclyl; A = (un)substituted Ph or (hetero)cyclyl] or pharmaceutically acceptable salts, or solvates thereof are prepared as transforming growth factor (TGF) β inhibitors. For example, 4-chloro-6,7-dimethoxyquinoline was reacted with 2-benzylphenol in 1,2-dichlorobenzene to give 4-(2-benzylphenoxy)-6,7-dimethoxyquinoline (10%). Some of compds. I inhibited 100% of human TGFβ at 10 μM.
IT 666733-25-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline derivs. as TGFβ inhibitors)

RN 666733-25-1 CA

CN 3-Piperidinecarboxamide, 1-[2-[[6-methoxy-4-[(2-methyl-3-quinolinyl)oxy]-7-quinolinyl]oxy]ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

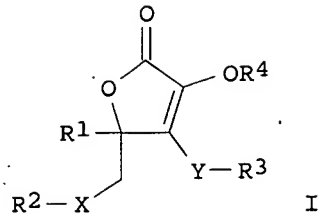
L16 ANSWER 8 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 140:181315 CA
TITLE: Preparation of furanones as cytoprotectants for dermatologic conditions
INVENTOR(S): Boddupalli, Sekhar; Walkinshaw, Gail; Wang, Bing
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 66 pp., Cont.-in-part of U.S. Ser. No. 354,474.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004029812	A1	20040212	US 2003-630170	20030730
US 2003176361	A1	20030918	US 2003-354474	20030128
US 6667330	B2	20031223		
WO 2005016340	A1	20050224	WO 2004-US24491	20040728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1660080	A1	20060531	EP 2004-786136	20040728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.:

US 2002-353939P	P	20020131
US 2003-354474	A2	20030128
US 2003-630170	A	20030730
WO 2004-US24491	W	20040728

OTHER SOURCE(S): MARPAT 140:181315
GI



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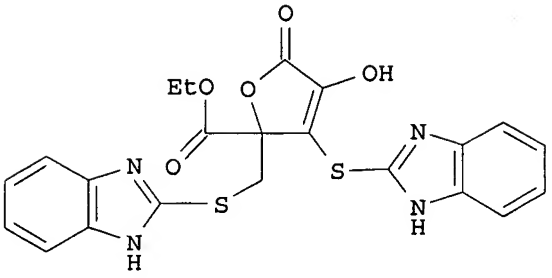


II

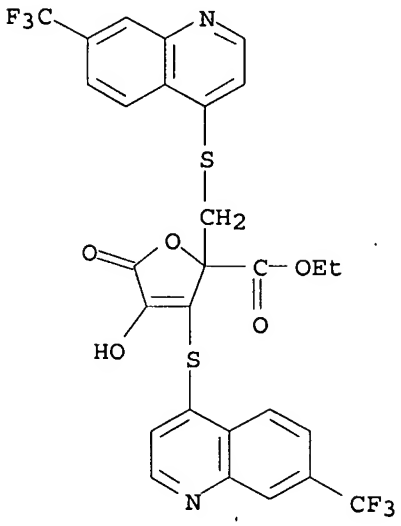
AB Title compds. I [R1 = CO2R', CONR'R'', CH2OR''', CN, (un)substituted heterocyclyl, heterocyclylalkyl, heteroaryl, heteroaralkyl; R2, R3 = independently (un)substituted alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, nucleoside, amino acid, di-, tri- or tetra-peptide; R4 = H, alkyl, alkylcarbonyl, (poly)alkoxyalkylene, dialkoxyphosphoryloxy; X = alkylene, NR', S, SO, SO2; or XR2 = PO(OR')2; Y = NR', S, SO, SO2; or YR3 = PO(OR')2; or XR2YR3 = (un)substituted aliphatic or aromatic ring; R' = H, alkenyl, (un)substituted alkyl, cycloalkyl, phosphoryl, aryl; R'' = H, alkenyl, (un)substituted alkyl, aryl; or R'R'' = atoms that form (un)substituted 5-7 membered aryl, heteroaryl ring; R''' = H, alkenyl, (un)substituted alkyl, acyl, cycloalkyl, phosphoryl, aryl; and their single tautomers, single stereoisomers, mixts. of tautomers and/or stereoisomers, and pharmaceutically acceptable salts] were prepared as cytoprotectants for treating dermatol. conditions. For example, II was prepared by reaction of 2-mercaptobenzimidazole with Et bromopyruvate in ethanol/acetone and aldol condensation of the two tautomeric forms of the pyruvate intermediate. Selected invention compds. showed significant reduction in edema in assays assessing mouse ear inflammatory response to topical arachidonic acid (10% to 70%, $p < 0.05$). Results from various assays were disclosed for selected invention compds. Thus, I and their pharmaceutical formulations are useful for regulating skin condition, regulating the signs of skin aging or for treating contact dermatitis, skin irritation, acne, rosacea, psoriasis, age-related damage or damage resulting from harmful (UV) radiation or environmental pollution, stress or fatigue.

IT 577953-28-7P, 4-Hydroxy-5-oxo-3-(7-trifluoromethylquinolin-4-ylsulfanyl)-2-[(7-trifluoromethylquinolin-4-ylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cytoprotective agent; preparation of furanone cytoprotectants via aldol condensation for treatment of dermatol. conditions)

RN 577953-28-7 CA

CN 2-Furancarboxylic acid, 2,5-dihydro-4-hydroxy-5-oxo-3-[[7-(trifluoromethyl)-4-quinolinyl]thio]-2-[[[7-(trifluoromethyl)-4-

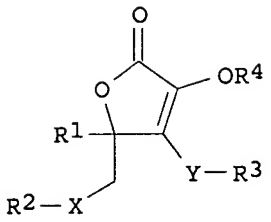
quinolinyl]thio]methyl]-, ethyl ester (CA INDEX NAME)



L16 ANSWER 9 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 139:179965 CA
TITLE: Preparation of furanones as cytoprotectants for neuroinflammation and neurodegenerative disorders
INVENTOR(S): Wang, Bing; Zhang, Wei; Song, Jiangao; Del Balzo, Ughetta; Brown, Lesley; Walkinshaw, Gail
PATENT ASSIGNEE(S): Galileo Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003064403	A1	20030807	WO 2003-US2766		20030130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2474871	A1	20030807	CA 2003-2474871		20030130
AU 2003207750	A2	20030902	AU 2003-207750		20030130
EP 1478634	A1	20041124	EP 2003-705988		20030130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
NZ 534305	A	20051028	NZ 2003-534305		20030130
JP 2006502963	T	20060126	JP 2003-564026		20030130
PRIORITY APPLN. INFO.:			US 2002-353939P	P	20020131
			WO 2003-US2766	W	20030130

OTHER SOURCE(S): MARPAT 139:179965
GI



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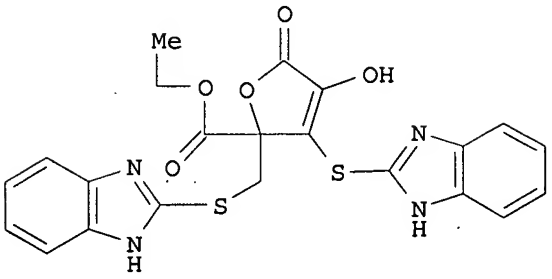


II

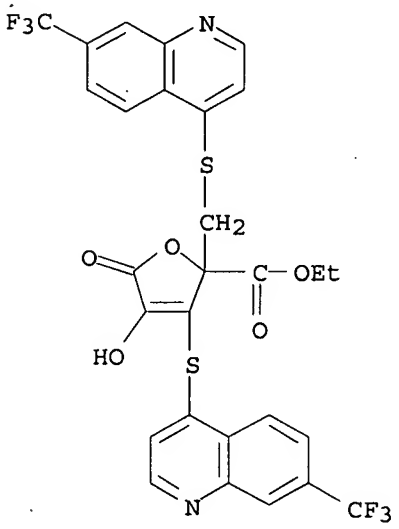
AB Title compds. I [wherein R1 = CO2R', CONR'R'', CH2OR''', CN, (un)substituted heterocyclyl, heterocyclylalkyl, heteroaryl, heteroaralkyl; R2, R3 = independently (un)substituted alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, nucleoside, amino acid, di-, tri- or tetra-peptide; R4 = H, alkyl, alkylcarbonyl, (poly)alkoxyalkylene, dialkoxyphosphoryloxy; X = alkylene, NR', S, SO, SO2; or XR2 = PO(OR')2; Y = NR', S, SO, SO2; or YR3 = PO(OR')2; or XR2YR3 = (un)substituted aliphatic or aromatic ring; R' = H, alkenyl, (un)substituted alkyl, cycloalkyl, phosphoryl, aryl; R'' = H, alkenyl, (un)substituted alkyl, aryl; or R'R'' = atoms that form (un)substituted 5-7 membered aryl, heteroaryl ring; R''' = H, alkenyl, (un)substituted alkyl, acyl, cycloalkyl, phosphoryl, aryl; with the proviso that the compound is not 4-hydroxy-3-methanylsulfonyl-2-methanylsulfonylmethyl-5-oxo-2,5-dihydrofuran-2-carboxylic acid Et ester; and further with the proviso that when X = alkylene, R2 ≠ (un)substituted alkyl; and their single tautomers, single stereoisomers, mixts. of tautomers and/or stereoisomers, and pharmaceutically acceptable salts] were prepared as cytoprotectants for neuroinflammation and neurodegenerative disorders. For example, II was prepared by reaction of 2-mercaptobenzimidazole with Et bromopyruvate in ethanol/acetone and aldol condensation of the two tautomeric forms of the pyruvate intermediate. Selected invention compds. showed significant reduction in edema in assays assessing mouse ear inflammatory response to topical arachidonic acid (10% to 70%, $p < 0.05$). Results from neuronal cell stress assay, myocyte calcium-contractility assay, and rat middle cerebral artery occlusion model were disclosed for selected invention compds. Thus, I and their pharmaceutical formulations are useful in the treatment of stroke, cerebral ischemia, myocardial infarction, myocardial ischemia, chronic heart failure, inflammation and other oxidative stress-related conditions, and Alzheimer's disease and senile dementia (no data).

IT 577953-28-7P, 4-Hydroxy-5-oxo-3-(7-trifluoromethylquinolin-4-ylsulfanyl)-2-[(7-trifluoromethylquinolin-4-ylsulfanyl)methyl]-2,5-dihydrofuran-2-carboxylic acid ethyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cytoprotective agent; preparation of furanone cytoprotectants via aldol condensation for treatment of neuroinflammation and neurodegenerative disorders)

RN 577953-28-7 CA

CN 2-Furancarboxylic acid, 2,5-dihydro-4-hydroxy-5-oxo-3-[[7-(trifluoromethyl)-4-quinolinyl]thio]-2-[[[7-(trifluoromethyl)-4-quinolinyl]thio]methyl]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 10 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 139:53304 CA
TITLE: Preparation of tyrosylpiperazine derivatives as P2X7 receptor antagonists
INVENTOR(S): Jacobson, Kenneth A.
PATENT ASSIGNEE(S): Department of Health and Human Services, USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

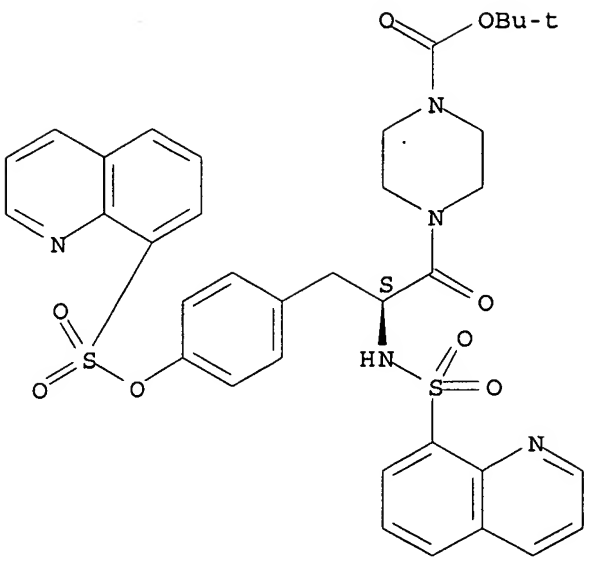
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047515	A2	20030612	WO 2002-US38126	20021127
WO 2003047515	A3	20040108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002359524	A1	20030617	AU 2002-359524	20021127
PRIORITY APPLN. INFO.:			US 2001-334130P P	20011130
			WO 2002-US38126 W	20021127

OTHER SOURCE(S): MARPAT 139:53304

AB Disclosed are antagonists of the P2X7 receptor in an animal, e.g., tyrosylpiperazine derivs. (S)-p-R2OC6H4CH2CH(NR1R4)CO-NC4H8N-R3 [NC4H8N is piperazine; R1-R3 are sulfonyl or carbonyl groups, e.g., alkyl- or arylsulfonyl or -carbonyl; R4 is H or alkyl], which may be monomeric or dimeric. Pharmaceutical compns. comprising one or more of these antagonists are used to block an ATP-induced toxic process in the blood cell of an animal, e.g., in the treatment or prevention of septic shock, inflammation, stroke or neurodegenerative disease. Thus, [N,O-bis(quinolinesulfonyl)-L-tyrosyl]-Boc-piperazine (Boc = tert-butoxycarbonyl) was prepared by sulfonylation of L-tyrosyl-Boc-piperazine and showed 77 ± 20 % inhibition of ATP-induced K+ release and IC50 .apprx. 40 nM as antagonist of P2X7 receptor-mediated ion flux.

IT 410522-80-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tyrosylpiperazine derivs. as P2X7 receptor antagonists)
RN 410522-80-4 CA
CN 1-Piperazinecarboxylic acid, 4-[(2S)-1-oxo-2-[(8-quinolinylsulfonyl)amino]-3-[4-[(8-quinolinylsulfonyl)oxy]phenyl]propyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.


O
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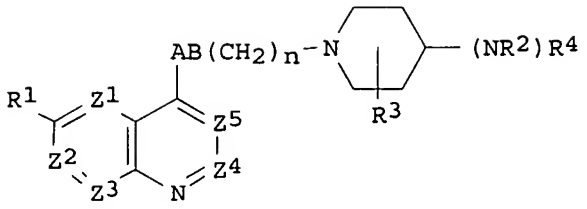
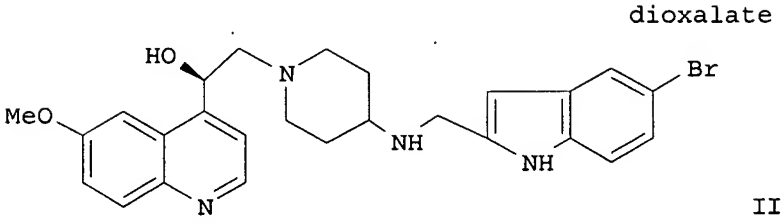
L16 ANSWER 11 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:151082 CA
TITLE: Preparation of aminopiperidine quinolines and their azaisosteric analogs having antibacterial activity
INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Lightfoot, Andrew P.; Markwell, Roger Edward; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008224	A1	20020131	WO 2001-EP8604	20010725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2417192	A1	20020131	CA 2001-2417192	20010725
EP 1305308	A1	20030502	EP 2001-969509	20010725
EP 1305308	B1	20061220		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012750	A	20030909	BR 2001-12750	20010725
JP 2004504397	T	20040212	JP 2002-514130	20010725
NZ 523749	A	20050324	NZ 2001-523749	20010725
HU 2003000721	A2	20050829	HU 2003-721	20010725
AT 348826	T	20070115	AT 2001-969509	20010725
ES 2278778	T3	20070816	ES 2001-1969509	20010725
ZA 2003000589	A	20040422	ZA 2003-589	20030122
NO 2003000345	A	20030310	NO 2003-345	20030123
MX 2003PA00708	A	20030604	MX 2003-PA708	20030123
IN 2003MN00103	A	20050204	IN 2003-MN103	20030123
US 2004038998	A1	20040226	US 2003-333829	20030828
US 6962917	B2	20051108		
US 2006014749	A1	20060119	US 2005-219148	20050902
PRIORITY APPLN. INFO.:			GB 2000-18351 A	20000726
			GB 2001-1629 A	20010122
			WO 2001-EP8604 W	20010725
			US 2003-333829 A3	20030828

OTHER SOURCE(S): MARPAT 136:151082
GI



I



dioxalate

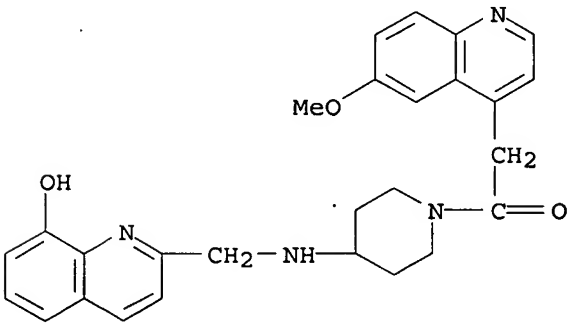
II

AB Aminopiperidine quinoline compds. I (Z1-Z5 = one is N, one (or two independently are) CR1a and the remainder are CH; R1 and R1a = independently are H, OH, NH2, CONH2, halogen, (un)substituted S and SO2, (un)substituted alkyl and alkoxy, etc.; R2 = H, (un)substituted alkyl or alkenyl; R3 = H, CO2H, (un)substituted amino, etc.; R4 = CO, SO2, CH2 attached to an optionally substituted bicyclic, carbocyclic or heterocyclic ring system; n = 0-1; AB = substituted N or C), their salts and pharmaceutically acceptable derivs. were prepared and found to be useful in treating bacterial infections in mammals, especially humans. Thus II was prepared from 4-amino-1-[2-(R)-hydroxy-2-(6-methoxyquinolin-4-yl)]ethylpiperidine and 5-bromo-1H-indole-2-carboxaldehyde and was determined to have an MIC less than or equal to 32μg/mL against one or more of gram pos. and neg. bacteria such as S. aureus Oxford and WCUH29 and S. pneumoniae 1629, N1387 and ERY 2.

IT 394223-36-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopiperidine quinolines and their azaisosteric analogs having antibacterial activity)

RN 394223-36-0 CA

CN 4-Piperidinamine, N-[(8-hydroxy-2-quinolinyl)methyl]-1-[(6-methoxy-4-quinolinyl)acetyl]- (9CI) (CA INDEX NAME)



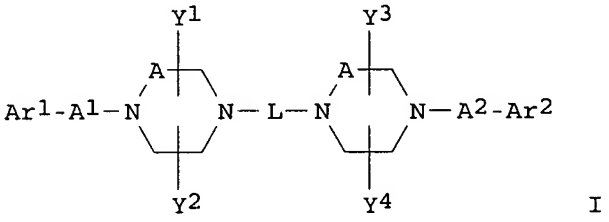
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 12 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 135:5625 CA
TITLE: Diabetic remedy containing dipiperazine derivative
INVENTOR(S): Yamaguchi, Hiroshi; Maruta, Katsunori; Nagata, Ryu; Ushiroda, Kantaro; Iwai, Kiyotaka
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036386	A1	20010525	WO 2000-JP8065	20001115

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-326751 A 19991117
OTHER SOURCE(S): MARPAT 135:5625
GI



I

AB A remedy for diabetes contains a dipiperazine derivative represented by formula (I) or a pharmacol. acceptable salt thereof. [wherein Ar1 and Ar2 each represents optionally substituted Ph, naphthyl, or heterocyclyl; A1 and A2 each represents optionally substituted alkylene or carbonyl (provided that not both of A1 and A2 are carbonyl); A represents methylene or ethylene; Y1, Y2, Y3, and Y4 each represents hydrogen or alkyl; L represents -L3-X1-L1-X2-L2-X3-L4-; L3 and L4 each represents carbonyl or sulfonyl; X1 and X3 each represents a single bond, NR1, or O; R1 represents hydrogen or alkyl; X2 represents a single bond, optionally substituted alkylene, heteroarylene, phenylene, or cycloalkylidene, cycloalkylene, divalent aliphatic heterocyclic group, vinylene, ethynylene, S, O, NR2CO, NR3CONR4, NR2CO2, OCO2, O2C, CO, or N(COR5); etc.; R2, R3, R4, and R5 each represents hydrogen or alkyl; and L1 and L2 each represents a single bond, optionally substituted alkylene, vinylene, or phenylene; provided that when X2 is single bond, vinylene, ethynylene, S, O, NR2CO, NR3CONR4, NR2CO2, OCO2, O2C, CO, or N(COR5), L1 or L2 is not a single bond; or when L1 or L2 is vinylene, X1 and X3 are a single bond]. These compds. lower blood sugar level and improve insulin resistance. Thus, 110 mg N-[4-(1-piperazinylcarbonyl)phenyl]-1-piperazinecarboxamide

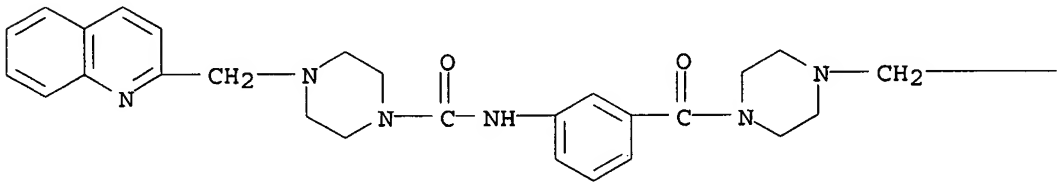
(preparation given) was dissolved in 6 mL DMF, treated with 195 mg K2CO3 and 270 mg 4-(trifluoromethyl)benzyl bromide, and stirred at 50° for 5 h to give 4-[4-(trifluoromethyl)benzyl]-N-[4-[[4-[4-(trifluoromethyl)benzyl]-1-piperazinyl]carbonyl]phenyl]-1-piperazinecarboxamide (II). II was administered to mice at 3 mg/kg p.o., immediately followed by insulin 3 U/kg s.c. After 4 h, the blood sugar level lowered from 261±92 (control) to 129±43 mg/dL.

IT 340757-60-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipiperazine derivs. as hypoglycemics and antidiabetics for improving insulin resistance)

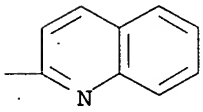
RN 340757-60-0 CA

CN 1-Piperazinecarboxamide, 4-(2-quinolinylmethyl)-N-[3-[[4-(2-quinolinylmethyl)-1-piperazinyl]carbonyl]phenyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

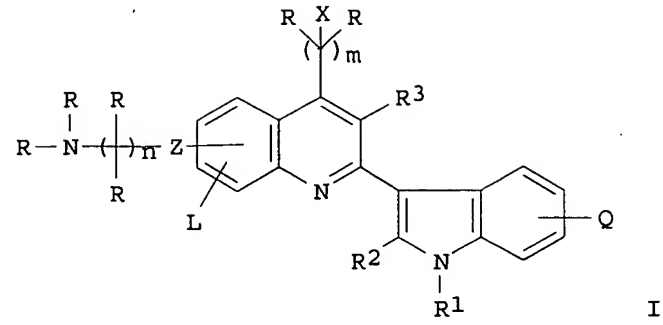
L16 ANSWER 13 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:150464 CA
TITLE: Preparation of quinolinylindole derivatives and compositions in use as antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.; Xie, Roger L.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 228 pp., Cont.-in-part of U.S. Ser. No. 99,640. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6103905	A	20000815	US 1998-213385	19981211
US 6207679	B1	20010327	US 1998-45051	19980319
US 6172084	B1	20010109	US 1998-99640	19980618
WO 2000034265	A2	20000615	WO 1999-US28744	19991203
WO 2000034265	A3	20021003		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6376670	B1	20020423	US 2000-658690	20000908

PRIORITY APPLN. INFO.:

US 1997-878781	B2 19970619
US 1998-45051	A2 19980319
US 1998-99640	A2 19980618
US 1998-213385	A 19981211
US 2000-639622	A2 20000815

OTHER SOURCE(S): MARPAT 133:150464
GI



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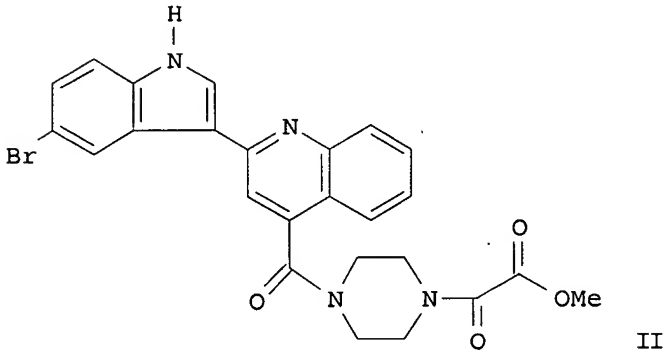


II

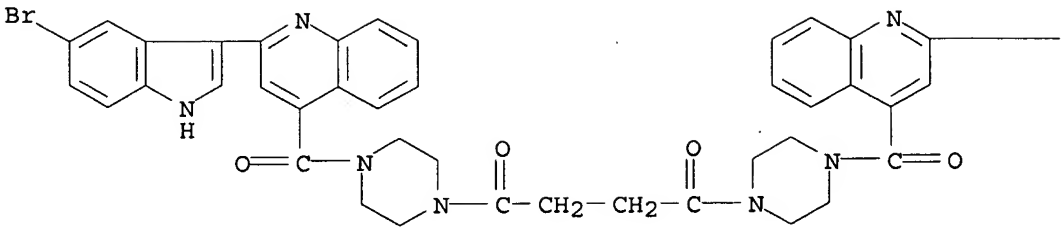
AB Title compds. [I; Q = hydrophobic group, H; X = heterocyclyl, amidinyl, formamidonyl, guanidinyl, CN, CSNR2, OR, SR; Z = CC, (E)-CH:CH, (Z)-CH:CH, (CH2)2; L = hydrophobic group, H; R represents independently for each occurrence = H, alkyl, heteroalkyl, aryl, heteroaryl, acyl, sulfonyl; R1 = H, alkyl, aryl, 4-CH3C6H4SO2, (CH2)d; d = 1-6; R2 = H, alkyl, aryl; R3 = H, alkyl, aryl; m = 1-8; n = 1-4] and pharmaceutical prepns. using title compds. are prepared as antimicrobial agents. The MIC value of I against at least one Gram-pos. bacterium ranged from 0.1-10 μg/mL. Thus, the title compound II was prepared and has a therapeutic index in primates of at least 10 for the inhibition of infection by at least one Gram-pos. bacterium.

IT 218463-49-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of quinolinylindole derivs. as antimicrobial agents)

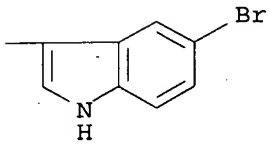
RN 218463-49-1 CA

CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



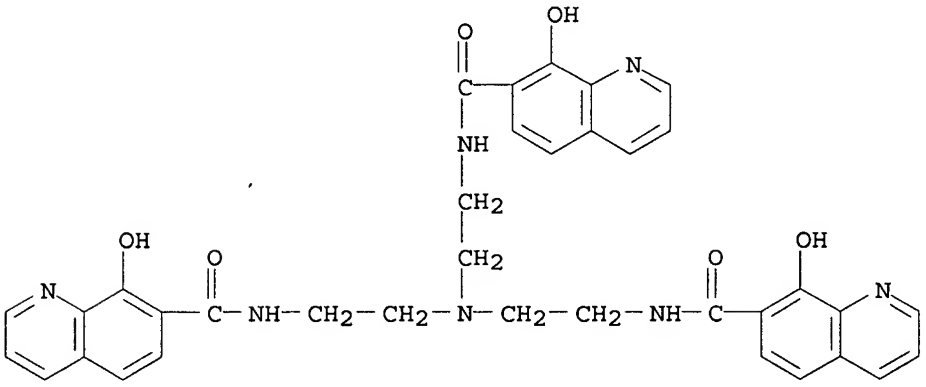
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 14 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 132:44824 CA
TITLE: Partition coefficients (free ligands and their iron(III) complexes) and lipophilic behavior of new abiotic chelators. Correlation to biological activity
AUTHOR(S): Thomas, F.; Baret, P.; Imbert, D.; Pierre, Jean-Louis; Serratrice, G.
CORPORATE SOURCE: Laboratoire de Chimie Biomimetique (LEDSS, UMR CNRS 5616), Universite Joseph Fourier, Grenoble, 38041, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(20), 3035-3040
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Partition coeffs. between n-octanol and water have been measured for ten tripodal ligands with catecholate or hydroxyquinolinate or pyridinophenolate chelating subunits and for their iron(III) complexes. The abilities of the ligands to cross an octanol phase and to extract ferric ion from its EDTA complex in an aqueous phase are studied. Correlation with biol. properties are discussed.

IT 169209-67-0, O-Trenox
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(partition coeffs. (free ligands and iron(III) complexes) and lipophilic behavior of new abiotic chelators and correlation to biol. activity)

RN 169209-67-0 CA
CN 7-Quinolinecarboxamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[8-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 15 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 130:52328 CA
TITLE: Preparation of indole derivatives as antagonists of gonadotropin releasing hormone
INVENTOR(S): Goulet, Mark; Wyvratt, Matthew J., Jr.; Chu, Lin; Girotra, Narindar N.; Lin, Peter
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9855123	A1	19981210	WO 1998-US11208		19980601
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
US 6156767	A	20001205	US 1998-83477		19980522
CA 2292880	A1	19981210	CA 1998-2292880		19980601
AU 9878071	A	19981221	AU 1998-78071		19980601
AU 728811	B2	20010118			
EP 994708	A1	20000426	EP 1998-926173		19980601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
JP 2002502428	T	20020122	JP 1999-502717		19980601
PRIORITY APPLN. INFO.:			US 1997-48638P	P	19970605
			US 1997-48642P	P	19970605
			GB 1997-19840	A	19970918
			GB 1998-454	A	19980109
			WO 1998-US11208	W	19980601

OTHER SOURCE(S): MARPAT 130:52328
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

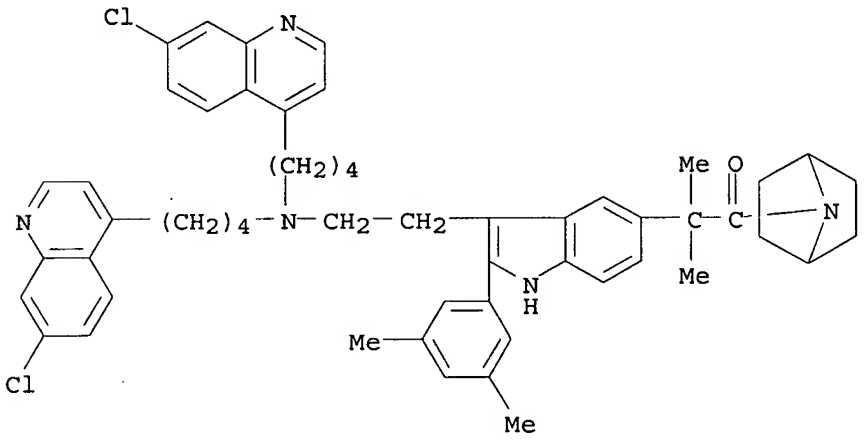
AB There are disclosed novel indole compds. I [A = (un)substituted alkylene, cycloalkylene, alkenylene, alkynylene, bind, etc; R0 = H, (un)substituted alkyl, aryl, or aralkyl; R1 = various (un)substituted and mostly N-containing mono- and bicyclic heterocycles; R2 = (un)substituted heteroaryl or heteroaralkyl; or R2A may form 5- to 7-membered ring; R3, R4, R5 = H, (un)substituted alk(en)yl, aryl, or aralkyl, CN, nitro, perfluoroalkyl, halo, etc.; or R3R4 may form C3-7 carbocycle or an NOS-heterocycle; R6 = H, (un)substituted alkyl or aryl, perfluoroalkyl, CN, NO2, halo, etc.; R7 = H, (un)substituted alkyl, or is absent if X = H or halo; R8 = H, CO2H or derivs., NH2 or derivs., OH or derivs., SH or derivs.; or R7R8 forms (un)substituted NOS-heterocycle, C3-7 carbocycle, or oxo; R9, R9', R10, R10' = H, (un)substituted alkyl, aryl, or aralkyl; or R9R9' and/or R10R10' forms C3-7 carbocycle or oxo; addnl. rings possible; X = N, O, S(O)0-2, CO, (CH2)p or derivs., bond, (un)substituted alkenylene or alkynylene; m = 0-3; p = 0-4] and pharmaceutically acceptable salts thereof.
The compds. are useful as antagonists of GnRH (no data), and as such may

be useful for the treatment of a variety of sex-hormone related and other conditions in both men and women. Fourteen such compds. were prepared and claimed, and a variety of intermediates were prepared For instance, Et 2-(4-hydrazinophenyl)-2-methylpropionate (preparation given) was cyclized with 3-chloropropyl 3,5-dimethylphenyl ketone to give a 2-[3-(2-aminoethyl)indol-5-yl]propionate derivative, which underwent a sequence of sidechain N-BOC protection, alkaline saponification of the Et ester, amidation with 7-azabicyclo[2.2.1]heptane-HCl, acidic deprotection, and double reductive alkylation of the resultant sidechain amine with pyridin-3-ylacetaldehyde and NaBH3CN, to give the title compound II.

IT 217315-59-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(invention compound; preparation of indole derivs. as non-peptide GnRH antagonists)

RN 217315-59-8 CA

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[3-[2-[bis[4-(7-chloro-4-quinolinyl)butyl]amino]ethyl]-2-(3,5-dimethylphenyl)-1H-indol-5-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

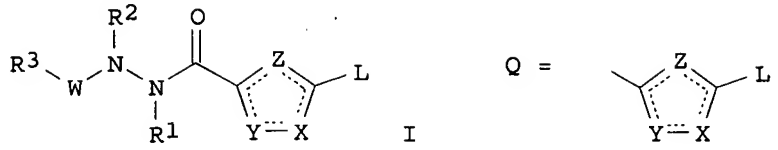


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 16 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 129:343722 CA
TITLE: Preparation of heterocyclic amino acid hydrazides as protease inhibitors
INVENTOR(S): Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9848799	A1	19981105	WO 1998-US8740	19980429
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9803522	A	19981029	ZA 1998-3522	19980428
CA 2287989	A1	19981105	CA 1998-2287989	19980429
AU 9873651	A	19981124	AU 1998-73651	19980429
TR 9902703	T2	20000221	TR 1999-2703	19980429
BR 9809333	A	20000704	BR 1998-9333	19980429
EP 1019046	A1	20000719	EP 1998-920926	19980429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
HU 2000001294	A2	20010428	HU 2000-1294	19980429
HU 2000001294	A3	20010628		
JP 2002504097	T	20020205	JP 1998-547389	19980429
NO 9905268	A	19991115	NO 1999-5268	19991028
MX 9909976	A	20000430	MX 1999-9976	19991028
US 2002049316	A1	20020425	US 2001-22713	20011217
PRIORITY APPLN. INFO.:			US 1997-45067P	P 19970429
			WO 1998-US8740	W 19980429
			US 1999-423059	B1 19991029

OTHER SOURCE(S): MARPAT 129:343722
GI



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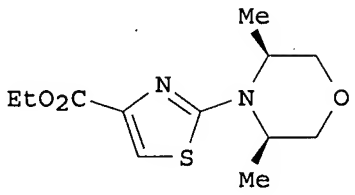

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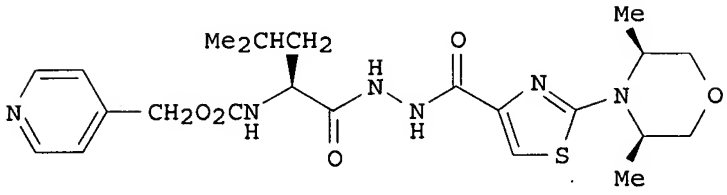


III

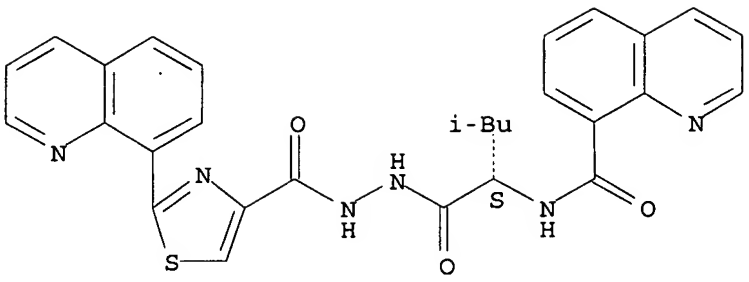
AB The present invention provides compds. I [L = C2-6 alkyl, Ar-C0-6 alkyl, Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; Ar = (un)substituted Ph, (un)substituted naphthyl; Het = (un)substituted 5-7-membered monocyclic or 7-10-membered bicyclic heterocycle; W = CO, SO2; X, Y, Z = independently N, O, S, CR10; R, R1, R2, R5, R10, R12 = independently H, C1-6 alkyl, C2-6 alkenyl, Ar-C0-6 alkyl, Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, CHR11Ar, CHR11OAr, NR11R12, CHR11NR12R13, heterocycle Q; R4, R11, R15 = independently any group R, C3-6 cycloalkyl-C0-6 alkyl; R7 = any group R4 except H; R4R7 form (un)substituted 3-7 membered monocyclic or 7-10 membered bicyclic ring; R6, R13 = independently R14, R14CO, R14CS, R14O2C, R14O2CNR9CHR15CO; R14 = any group R except H], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia or malignancy; and metabolic bone disease therewith. Thus, addition of cis-2,6-dimethylmorpholine with benzoyl isothiocyanate, followed by hydrolysis of the resulting benzoylthiourea and cyclocondensation with Et bromopyruvate, gave thiazole II. Conversion of II into the corresponding hydrazide with N2H4 and condensation with N-(4-pyridinylmethoxycarbonyl)-L-leucine gave hydrazide III. Prepns. for 195 addnl. hydrazides are also given.

IT 215521-28-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic amino acid hydrazides as protease inhibitors)

RN 215521-28-1 CA

CN 4-Thiazolecarboxylic acid, 2-(8-quinolinyl)-, 2-[(2S)-4-methyl-1-oxo-2-[(8-quinolinylcarbonyl)amino]pentyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

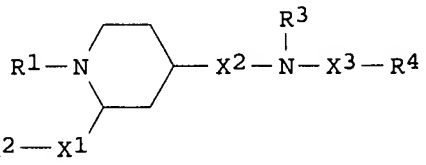


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 17 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 128:93188 CA
TITLE: Preparation and formulation of substituted piperidineamines as p antagonists for treating social phobia
INVENTOR(S): Struck, Michael; Vassout, Annick; Katz, Richard; Bennett, Deborah; Kramer, Lynn; Hauser, Kathleen
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Struck, Michael; Vassout, Annick; Katz, Richard; Bennett, Deborah; Kramer, Lynn; Hauser, Kathleen
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745119	A1	19971204	WO 1997-EP2481	19970515
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9728982	A	19980105	AU 1997-28982	19970515
PRIORITY APPLN. INFO.:			US 1996-18336P P	19960524
			WO 1997-EP2481 W	19970515

OTHER SOURCE(S): MARPAT 128:93188
GI



I

AB The invention relates to the use of substituted piperidineamines I or of a pharmaceutically utilizable salt thereof, in which R1 is an unsubstituted or substituted aralkyl, aryloxyalkyl, heteroaralkyl, aroyl, heteroaroyl, cycloalkylcarbonyl, aralkanoyl, heteroarylalkanoyl, aralkoxycarbonyl or arylcarbamoyl radical or the acyl radical of an α-amino acid which is unsubstituted or N-substituted by lower alkanoyl or carbamoyl-lower-alkanoyl; R2 is cycloalkyl or an unsubstituted or substituted aryl or heteroaryl radical; R3 is hydrogen, alkyl, carbamoyl or an alkanoyl or alkenoyl radical which is unsubstituted or substituted by carboxyl or esterified or amidated carboxyl; R4 is an unsubstituted or substituted aryl or unhydrogenated or partially hydrogenated heteroaryl radical; X1 is methylene, ethylene, a direct linkage, a carbonyl group which may be ketalized, or an unetherified or etherified hydroxymethylene group; X2 is alkylene, carbonyl or a direct linkage; and X3 is carbonyl, oxo-lower-alkylene, oxo(aza)-lower-alkylene or an alkylene radical which is unsubstituted or substituted by Ph,

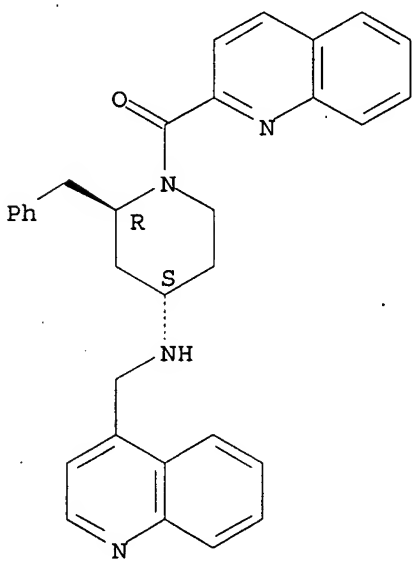
hydroxymethyl, carboxyl which may be esterified or amidated, or by hydroxyl in a position higher than α; for producing pharmaceutical products for the treatment of social phobia. Thus, the preparation and formulation of (2R,2S)-2-benzyl-1-(2-naphthoyl)-N-(4-quinolylmethyl)-4-piperidineamine as p antagonists for treating social phobia, are reported.

IT 150705-60-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and formulation of substituted piperidineamines as p antagonists for treating social phobia)

RN 150705-60-5 CA

CN 4-Piperidinamine, 2-(phenylmethyl)-1-(2-quinolinylcarbonyl)-N-(4-quinolinylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L16 ANSWER 18 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 125:292574 CA
TITLE: Synthesis and pharmacological properties of new heterocyclic and aromatic amides of glycyrrhizic acid
AUTHOR(S): Baltina, L. A.; Vasil'eva, E. V.; Davydova, V. A.; Ismagilova, A. F.; Zarudii, F. S.; Tolstikov, G. A.
CORPORATE SOURCE: Institut Organicheskoi Khimii, Russia
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1996), 30(8), 14-16
CODEN: KHFŻAN; ISSN: 0023-1134
PUBLISHER: Izdatel'stvo Folium
DOCUMENT TYPE: Journal
LANGUAGE: Russian

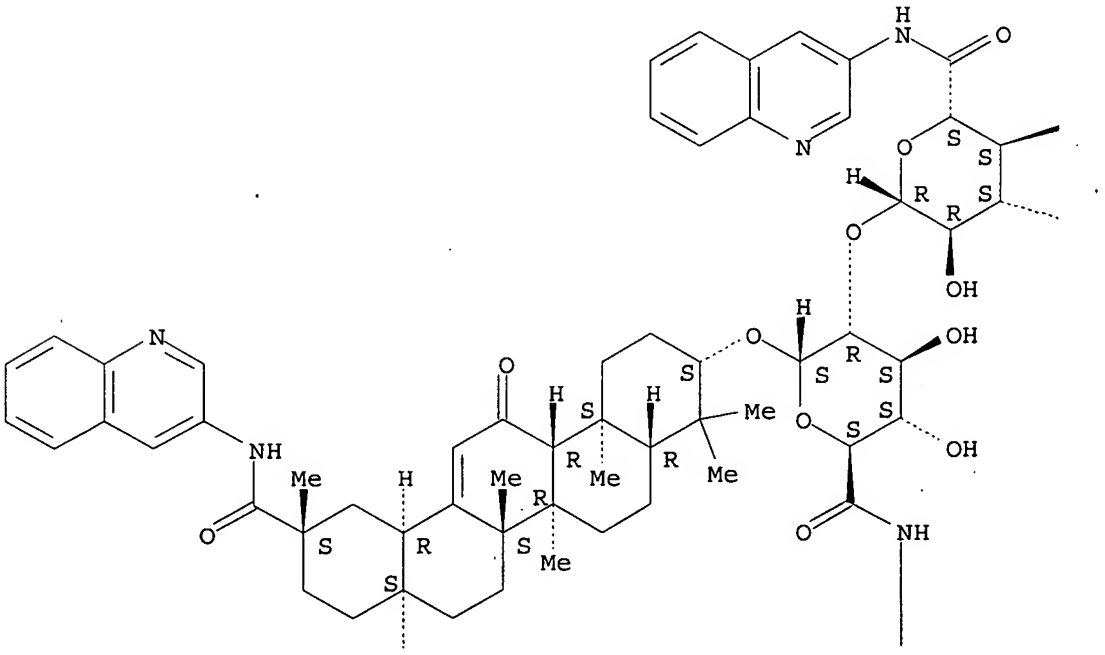
AB Six title amides were prepared by acylation of the corresponding biogenic amines with glycyrrhizic acid in the presence of N,N'-dicyclohexylcabodiimide, which made it possible to use the unprotected glycoside and polyfunctional amines. The compds. thus obtained showed anti-inflammatory and antiulcer activities.

IT 170277-51-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and anti-inflammatory and antiulcer activity of glycyrrhizic acid amides)

RN 170277-51-7 CA
CN α-D-Glucopyranosiduronamide, (3β,20β)-11,29-dioxo-29-(3-quinolinylamino)olean-12-en-3-yl N-3-quinolinyl-2-O-(N-3-quinolinyl-β-D-glucopyranuronamidosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

PAGE 2-A

L16 ANSWER 19 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 124:8801 CA
TITLE: Substituted indole-, indene-, pyranoindole- and tetrahydrocarbazolealkanoic acid derivatives as inhibitors of PLA2 and lipoxygenase
INVENTOR(S): Musser, John H.; Kreft, Anthony F., III; Failli, Amedeo A.; Demerson, Christopher A.; Shah, Uresh S.; Nelson, James A.
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 35 pp. Cont.-in-part of U.S. 5,229,516.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5420289	A	19950530	US 1993-29199		19930310
CA 2090042	A1	19910428	CA 1990-2090042		19901027
US 5229516	A	19930720	US 1992-911434		19920710
PRIORITY APPLN. INFO.:			US 1989-428260	B2	19891027
			US 1990-596134	B2	19901011
			US 1992-911434	A2	19920710
			CA 1990-2070422	A3	19901027

OTHER SOURCE(S): CASREACT 124:8801; MARPAT 124:8801
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

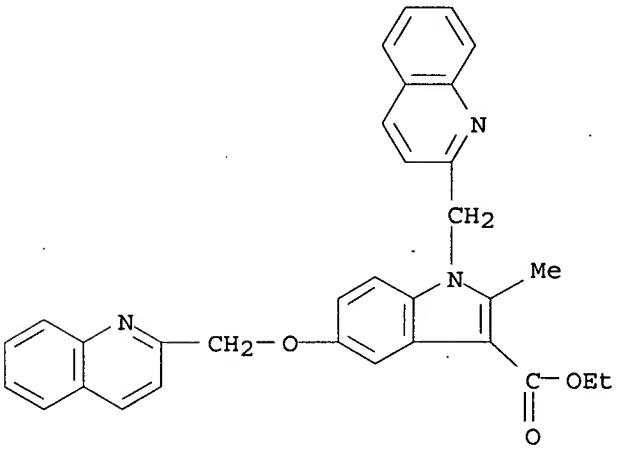
AB This invention relates to substituted indole derivs. A(CH2)nOB wherein A = I or II wherein R1 is hydrogen, lower alkyl, Ph or Ph substituted with trifluoromethyl; R2 is hydrogen or lower alkyl; or R1 and R2 taken together form a benzene ring; R3 is hydrogen or lower alkyl; n is 1-2; B is III-VII wherein R4 is, e.g., CO2R2, m is 0-3; R5 is A(CH2)nOC6H4 or Ph or Ph substituted by halo, lower alkylthio, lower alkylsulfinyl or lower alkylsulfonyl; R6 is A(CH2)nO or halo; R7 is lower alkyl; Y is CH2 or O; R8 is lower alkyl or (CH2)mCO2R3 ; R9 is COR10 or (CH2)oR10, o is 1-4; R10 is lower alkyl, Ph, Ph substituted with carboxy, halo, lower alkyl, loweralkylthio or loweralkylsulfinyl; naphthyl, pyridyl, furanyl, quinolinyl, or 2-R14-thiazolyl; R11 is lower alkyl or phenyl; R12 is hydrogen or loweralkylcarbonyl R13 is hydrogen, hydroxy, lower alkyl or lower alkoxy; R14 is Ph or halophenyl; Z2 is hydrogen, lower alkyl or N(CH3)OH; and the pharmacol. acceptable salts thereof possessing lipoxygenase inhibitory, phospholipase A2 inhibitory and leukotriene antagonist activity, which are useful as anti-inflammatory, antiallergic and cytoprotective agents. Thus, e.g., condensation of 2-methyl-5-(2-quinolinylmethoxy)indene-3-acetic acid Et ester (preparation given, mixture of endo and exo isomers) with p-chlorobenzaldehyde afforded 3-[(4-chlorophenyl)methylene]-2-methyl-6-(2-quinolinylmethoxy)-3H-indene-1-acetic acid [VIII, Q = 2-quinolinylmethyl, mixture of Z (major) and E (minor) isomers]. The specificity of action of PLA2 inhibitors can be determined by the activity of test compds. to inhibit the synthesis of LTB4 by rat glycogen-elicited polymorphonuclear leukocytes (PMN) in the presence of exogenous substrate: VIII demonstrated 96% inhibition at 10 mM. VIII also inhibited the synthesis of the arachidonic acid cyclooxygenase oxidation product PGE2 with 81% inhibition at 10 mM. VIII inhibited the release of

arachidonic acid from an arachidonic acid-containing substrate by the action of phospholipase A2 enzyme from human synovial fluid with IC50 = 9.7 mM. Further assays demonstrated that the compds. of the invention exerted an inhibitory effect on both the lipoxygenase pathway and the cyclooxygenase pathway and have significant leukotriene (LTD4) antagonist activity. The compds. of the invention inhibited the acute inflammatory response and inhibited 5-lipoxygenase in human whole blood.

IT 135872-81-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted indole-, indene-, pyranoindole- and tetrahydrocarbazolealkanoic acid derivs. as inhibitors of PLA2 and lipoxygenase)

RN 135872-81-0 CA

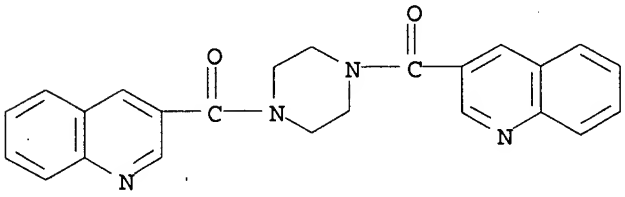
CN 1H-Indole-3-carboxylic acid, 2-methyl-5-(2-quinolinylmethoxy)-1-(2-quinolinylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 20 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 49:17153 CA
ORIGINAL REFERENCE NO.: 49:3397g-i
TITLE: Cardiovascular and oxytocic actions of a new series of quinoline derivatives
AUTHOR(S): Kamijo, Kazuya; Koelle, George B.
CORPORATE SOURCE: Univ. of Pennsylvania, Philadelphia
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1954), 112, 444-61
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The cardiovascular and oxytocic actions of compds. related to quinoline were investigated (details of methods given). A series of ten 3-quinolinecarboxamide derivs. with groups of diverse complexity in the side chain showed little activity of either type. A series of eight 1,2,3,4-tetrahydro-3-quinolinecarboxamide derivs. with various groups substituted on the amide N and Me or Et on the ring N had slight hypotensive activity of brief duration but were relatively strong oxytocics. A series of halide salts of thirteen 3-carbamoylquinolinium derivs. with groups of diverse complexity substituted on the amide N and Me or Et on the quaternary ring N possessed relatively strong hypotensive activity but no oxytocic activity. The most active of these, 1-methyl-3-[N-(1-carbethoxyethyl)carbamoyl]quinolinium iodide, was studied in detail.

IT 875229-02-0, Piperazine, 1,4-bis(3-quinolylcarbonyl)-
(cardiovascular and oxytocic actions of)
RN 875229-02-0 CA
CN Piperazine, 1,4-bis(3-quinolinylcarbonyl)- (9CI) (CA INDEX NAME)

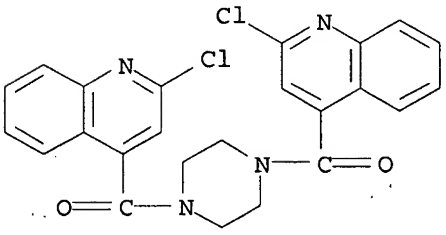


L16 ANSWER 21 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 31:10421 CA
ORIGINAL REFERENCE NO.: 31:1408h-i,1409a-b
TITLE: Derivatives of quinolineca. I. Nuperine analogs. I
AUTHOR(S): Smith, M. E.; Pollard, C. B.
SOURCE: Journal of the American Chemical Society (1937), 59, 131-2
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB 2-Chlorocinchoninyl chloride (I) and piperazine hexahydrate in C6H6 give 85% of N,N'-bis(2-chlorocinchoninyl)piperazine, does not m. under 300° (all m. ps. corrected); phenylpiperazine gives 95% of the N-Ph derivative (II), m. 189.2-90.2°; with Na alcoholates the following 2-alkoxy derivs. of II were prepared: 2-MeO, m. 149.5-50.2° (quant. yield); 2-EtO, m. 154-4.5° (quant. yield); 2-PrO derivative, m. 102.8-3.3° (52% yield); 2-iso-PrO derivative, m. 116.2-17.2° (66% yield); 2-BuO derivative, m. 77.2-8.2° (54% yield); 2-alloxy derivative, m. 129.5-30.5° (50% yield); 2-β-methoxyethoxy derivative, m. 91.6-2.3° (41% yield); 2-N-phenylpiperazino-N'-β-ethoxy derivative, m. 134.7-5.2° (90% yield). I and morpholine in C6H6 and aqueous Na2CO3 give a quant. yield of N-(2-chlorocinchoninyl)morpholine, m. 173.6-4.4°; 2-MeO derivative, m. 134-4.9° (65% yield); 2-EtO derivative, m. 60-9.8° (56% yield), has a pronounced anesthetic action when tested on the tongue. Pharmacol. expts. are being conducted.

IT 500294-51-9P, Piperazine, 1,4-bis(2-chloro-4-quinolylcarbonyl)-
RL: PREP (Preparation)
(preparation of)

RN 500294-51-9 CA
CN Piperazine, 1,4-bis[(2-chloro-4-quinolinyl)carbonyl]- (9CI) (CA INDEX NAME)



L16 ANSWER 22 OF 22 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 28:1797 CA
ORIGINAL REFERENCE NO.: 28:260e-i,261a-c
TITLE: Urea and thiourea derivatives
INVENTOR(S): Schonhofer, Fritz; Henecka, Hans
PATENT ASSIGNEE(S): I. G. Farbenindustrie AG
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 583207		19330830	DE 1931-I42360	19310819

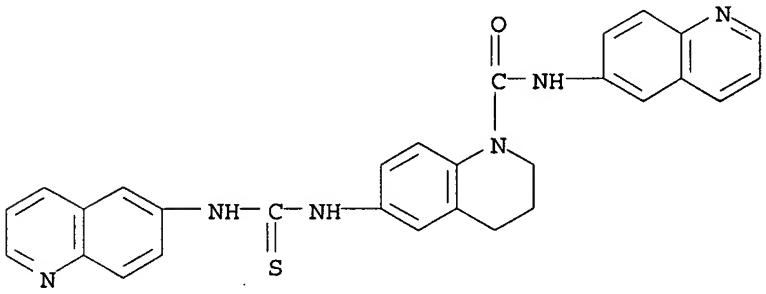
AB Urea and thiourea derivs., which contain the residue of a heterocyclic or aromatic-heterocyclic compound containing a quaternary N atom in the nucleus, are prepared by standard processes. In typical examples, (1) 6-aminoquinoline is treated with COCl2 and the resulting urea (di-HCl salt m. 260-2°) is converted into quaternary salts m., resp., 235-7°, 260°, 255-7°, and 168°, with 2 mols. of Me2SO4, MeCl, MeI and 1 mol. of Me2SO4; 5- and 7-aminoquinoline and 3-aminoquinaldine similarly yield ureas m., resp., 284-5°, 282° and 276°, which form with Me2SO4 salts m., resp., 217°, 228°, and 193°; (2) quinoline-6-carboxylic azide (I), boiled in benzene solution with 6-methoxy-8-aminoquinoline, yields N-(quinolyl-6)-N'-(6-methoxyquinolyl-8)urea m. 229°, which forms with 1 mol. of Me2SO4 a salt m. 239°; asym. ureas are obtainable similarly from I and 1-phenyl-2,3-dimethyl-4-amino-5-pyrazolone (urea m. 242-3°, urea di-Me2SO4 salt m. 217°), N-methyl-1,2,3,4-tetrahydro-6-aminoquinoline (urea m. 227°, urea di-Me2SO4 salt m. 206-7°), 6-(3'-amino-4'-toluyl)aminoquinoline (urea m. 245°, urea di-Me2SO4 salt m. 224°), 3-diethylamino-ethoxyaniline (urea m. 193°, urea di-Me2SO4 salt described), 6-p-aminophenoxyquinoline (urea m. 209°, urea di-Me2SO4 salt m. 242°), (methyl)(diethylaminoethyl)amine (di-Me2SO4 salt of the urea is described), 4-amino-3',5'-dimethyldiphenyl ether (urea m. 198°, urea Me2SO4 salt m. 234°), 5-aminoisoquinoline (di-Me2SO4 salt of the urea m. 221-2°), 7-aminoquinoline (urea m. 229°, urea-Me2SO4 salt m. 238°), and 5-chloro-8-aminoisoquinoline (urea m. 234°, urea Me2SO4 salt m. 227°); 2 mols. of I and 1 mol. of 1,2,3,4-tetrahydro-6-aminoquinoline yield N-(quinolyl-6)-N'-[1-(quinolyl-6-carbamino)-1,2,3,4-tetrahydroquinolyl-6]urea m. 160°, the di-Me2SO4 salt of which m. 187°. The following have also been obtained: N,N'-di(5-nitroquinolyl-6)urea di-MeCl, m. 242°; N,N'-di(6-methoxyquinolyl-5)urea Me2SO4 salt m. 192°; N,N'-di(8-methoxyquinolyl-6)urea Me2SO4 salt m. 194°; the Me2SO4 salt m. 211°, of the urea m. 276-7°, from 3-aminocarbolidine; the di-MeCl salt m. 100-5°, and Me2SO4 salt of N,N'-di(8-methylquinolyl-6)-thiourea m. 196°; the di-MeCl salts m., resp., 237°, 150°, and 205-6°, of the sym. thioureas m., resp., 199°, 178°, and 208°, from 6- and 5-aminoquinoline and 3-aminoquinaldine; a Me2SO4 salt, decomposing 160°, of the thiourea m. 179-80°, from 7-aminoquinoline; N-(quinolyl-6)-thiourea m. 218°, and its salts, m., resp., 208-9° and 234°, with Me2SO4 and MeCl; N-(quinolyl-6)urea MeCl salt m. 240°; N,N'-di-γ-pyridylurea m. 208°, and Me2SO4 salt m. 191°; a nitro-N,N'-di-γ-pyridylurea di-MeCl salt; N-(quinolyl-7)-N'-(1-p-ethoxyphenylbenzimidazolyl-5)-urea m. 248°, (di-Me2SO4 salt m. 241°); N-(quinaldyl-6)-N'-piperidylurea m. 160°, (mono-Me2SO4 salt m. 181°);

N-(quinolyl-6)-N'-(3-nitro-4-toluyl)urea m. 250-2°, (mono-Me2SO4 salt m. 226°); a salt m. 268-70°, of N-(quinolyl-6)-N'-(3-amino-4-toluyl)urea with 1 mol. each of Me2SO4 and HCl; N-(quinolyl-6)-N'-(4-dimethylaminophenyl)urea m. 220°, and its di-MeCl salt m. 190°; a sulfate m. 150-2°, of 6-guanylcarbaminoquinoline methyl chloride; 6-quinolinecarbonyl-6'-quinolylsemicarbazide, m. 230°, and its di-MeCl salt m. 252°. The salts are effective against blood parasites.

IT 872275-54-2P, Urea, α-6-quinolyl-β-[1,2,3,4-tetrahydro-1-(6-quinolylcarbamyl)-6-quinolyl]-
RL: PREP (Preparation)
(preparation of)

RN 872275-54-2 CA

CN Urea, α-6-quinolyl-β-[1,2,3,4-tetrahydro-1-(6-quinolylcarbamyl)-6-quinolyl]- (3CI) (CA INDEX NAME)



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L19 ANSWER 1 OF 5 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 142:219284 CA
TITLE: A preparation of bicyclic imidazole derivatives, useful for the treatment of viral infections mediated by Flaviviridae family of viruses
INVENTOR(S): Schmitz, Franz Ulrich; Roberts, Christopher Don; Griffith, Ronald Conrad; Botyanszki, Janos; Gezginci, Mikail Hakan; Gralapp, Joshua Michael; Shi, Dong Fang; Liehr, Sebastian J. R.
PATENT ASSIGNEE(S): Genelabs Technologies, Inc, USA
SOURCE: PCT Int. Appl., 327 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005012288	A1	20050210	WO 2004-US24755		20040730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004261667	A1	20050210	AU 2004-261667		20040730
CA 2534649	A1	20050210	CA 2004-2534649		20040730
US 2005187390	A1	20050825	US 2004-909758		20040730
EP 1651631	A1	20060503	EP 2004-779723		20040730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
CN 1829709	A	20060906	CN 2004-80021754		20040730
BR 2004013234	A	20061003	BR 2004-13234		20040730
JP 2007501189	T	20070125	JP 2006-522111		20040730
MX 2006PA00999	A	20060920	MX 2006-PA999		20060125
IN 2006KN00396	A	20070803	IN 2006-KN396		20060222
NO 2006001013	A	20060428	NO 2006-1013		20060301
PRIORITY APPLN. INFO.:			US 2003-492108P	P	20030801
			WO 2004-US24755	W	20040730

OTHER SOURCE(S): MARPAT 142:219284
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

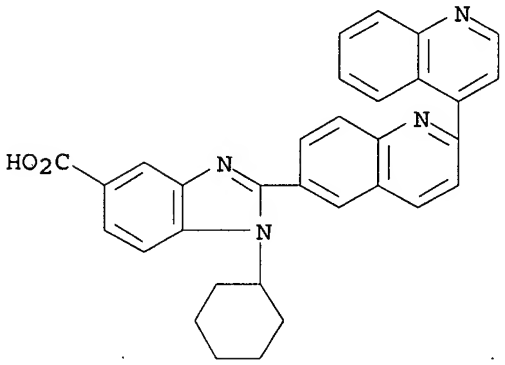
AB The invention relates to a preparation of bicyclic imidazole derivs. of formula I [wherein: W is CH or N; R is H, (cyclo)alkyl, alk(en/yn)yl, or (hetero)aryl, etc.; X is a fused 6,6-bicycle; Y is halogen, CN, NO2, alkyl, or acyl, etc.; Z is C(O)O-(H/alkyl/alk(en/yn)yl), C(O)NH(alkyl), or C(O)NH(aryl), etc.], useful for the treatment of viral infections mediated by Flaviviridae family of viruses. For instance, benzimidazole derivative II (HCV-NS5b enzyme assay, inhibition data: at 100 μM - 98.22%, at 33

μM - 92.74%) was prepared via amidation of III by amino acid IV with a yield of 32% (example 4).

IT 841299-29-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic imidazole derivs. for treatment of viral infections mediated by Flaviviridae family of viruses)

RN 841299-29-4 CA

CN 1H-Benzimidazole-5-carboxylic acid, 2-[2,4'-biquinolin]-6-yl-1-cyclohexyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

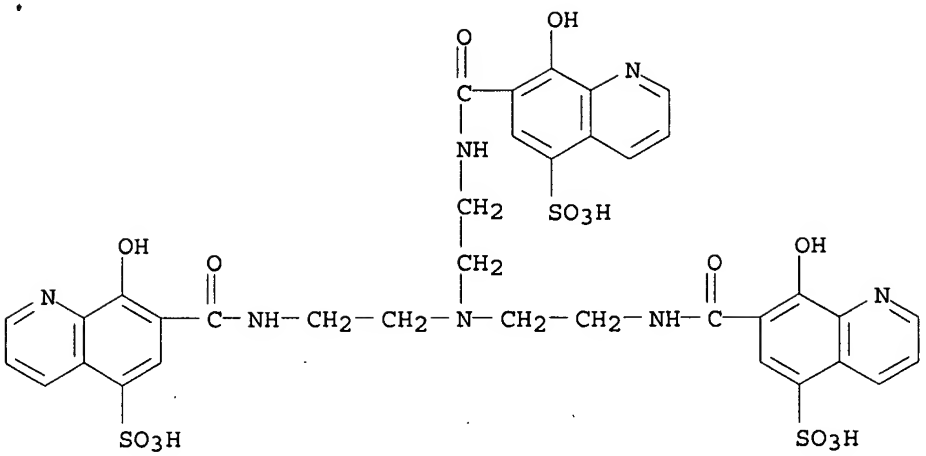
L19 ANSWER 2 OF 5 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 139:255356 CA
TITLE: Preventing and/or treating vascular disease, cardiomyopathy and/or associated heart failure
INVENTOR(S): Cooper, Garth James Smith; Baker, Richard John
PATENT ASSIGNEE(S): Protemix Corporation Limited, N. Z.
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003075910	A1	20030918	WO 2003-NZ43	20030310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003222513	A1	20030922	AU 2003-222513	20030310
PRIORITY APPLN. INFO.:			NZ 2002-517722 A	20020308
			WO 2003-NZ43 W	20030310

AB A method is disclosed for improving tissue repair in a mammalian patient of damaged tissue selected from that of the myocardium, the vascular tree and organs dependent on the vascular tree, said method comprising or including the step of subjected the patient to, and/or administering to the patient, an agent or agents effective in lowering the iron values content of the patient's body sufficient to improve tissue repair.

IT 169209-68-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for prevention and treatment of cardiovascular diseases)

RN 169209-68-1 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy-, trisodium salt (CA INDEX NAME)



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REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 5 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 134:252257 CA
TITLE: Preparation of 2-(indolin-3-yl)quinoline derivatives and compositions in use as antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 112 pp., Cont.-in-part of U.S. Ser. No. 878,781, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6207679	B1	20010327	US 1998-45051	19980319
CA 2293418	A1	19981223	CA 1998-2293418	19980618
WO 9857931	A2	19981223	WO 1998-US12762	19980618
WO 9857931	A3	19990429		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, BM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
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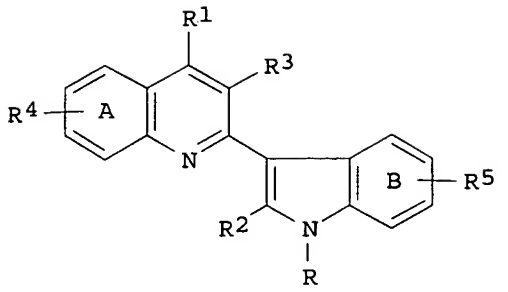
EP 991623	A2	20000412	EP 1998-930396	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6172084	B1	20010109	US 1998-99640	19980618
HU 2000003364	A2	20010628	HU 2000-3364	19980618
HU 2000003364	A3	20020328		
JP 2002505689	T	20020219	JP 1999-504835	19980618
AU 757059	B2	20030130	AU 1998-79797	19980618
US 6103905	A	20000815	US 1998-213385	19981211
NO 9906269	A	20000216	NO 1999-6269	19991217
US 6376670	B1	20020423	US 2000-658690	20000908

PRIORITY APPLN. INFO.:		
US 1997-878781	B2	19970619
US 1998-45051	A	19980319
US 1998-99640	A2	19980618
WO 1998-US12762	W	19980618
US 1998-213385	A1	19981211
US 2000-639622	A2	20000815

OTHER SOURCE(S): MARPAT 134:252257
GI



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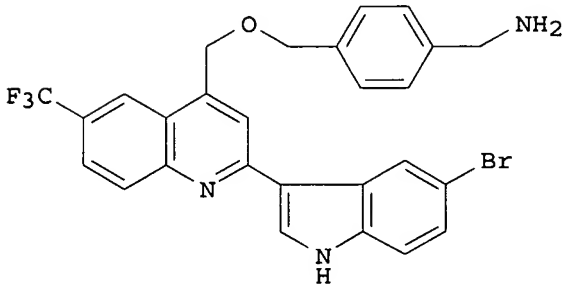


II

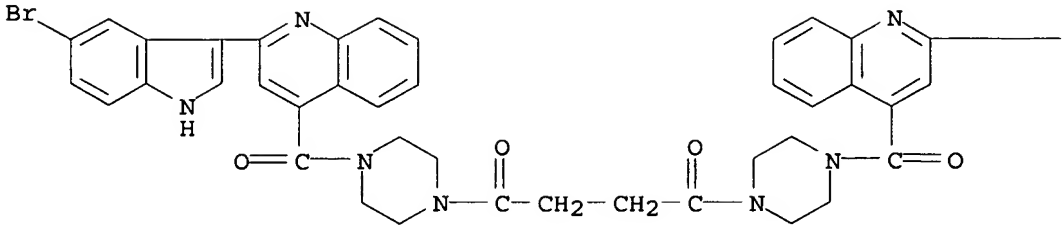
AB Title compds. I [wherein; R, R1, R2 and R3 are H, halo, alk(en)(yn)yl, OH, alkoxy, amino, nitro, SH, imine, amide, CO, -(CH2)0-8-R80, etc.; R4 is the same as R-R3 but not H; R5 is the same as R4 except that at least 1(-8) CH2 precede R80; A is (un)substituted with any number of R4 up to the number limited by stability and rules of valence; B is substituted with at least one instance of R5 up to the number limited by stability and rules of valence; R80 is (substituted) aryl, cycloalk(en)yl, heterocyclyl or polycyclyl.] and related quinoline derivs. are prepared as antimicrobial agents. For instance, synthesis of II is accomplished by alkylation of 4-hydroxymethyl-6-trifluoromethyl-2-(N-t-butoxycarbonylindol-3-yl)quinoline with (4-t-butoxycarbonylaminomethyl)benzyl iodide followed by deprotection. There are 282 examples of I provided. The min. inhibitory concentration (MIC) of I against at least one Gram-pos. bacterium is 0.1-10 μg/mL. Certain compds. of formula I have a therapeutic index in primates of at least 10 for the inhibition of infection by at least one Gram-pos. bacterium.

IT 218463-49-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and use of quinolinylindole derivs. as antimicrobial agents)

RN 218463-49-1 CA

CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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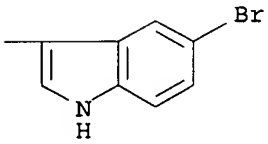

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 5 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:43453 CA
TITLE: Preparation of 2-(3-indolyl)quinolines as antibacterial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.; Xie, Roger L.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034265	A2	20000615	WO 1999-US28744	19991203
WO 2000034265	A3	20021003		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6103905	A	20000815	US 1998-213385	19981211
PRIORITY APPLN. INFO.:			US 1998-213385 A	19981211
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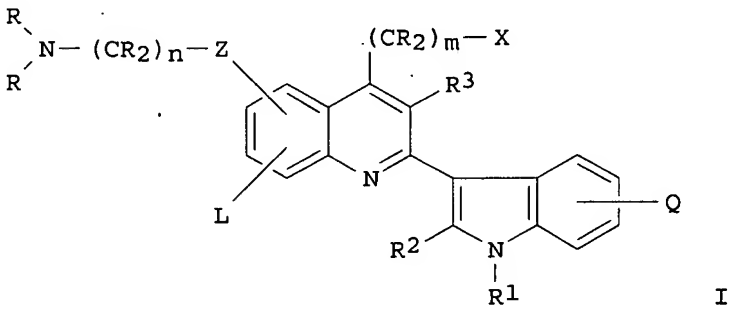
			US 1998-45051 A2	19980319
			US 1998-99640 A2	19980618

OTHER SOURCE(S): MARPAT 133:43453
GI



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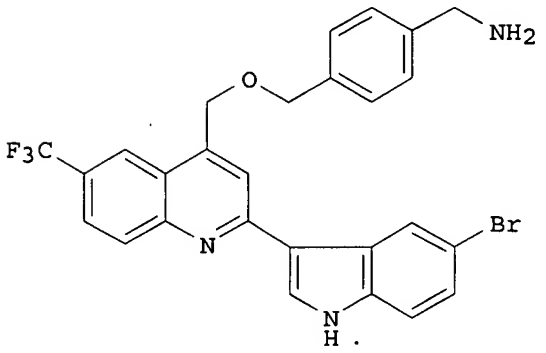


II

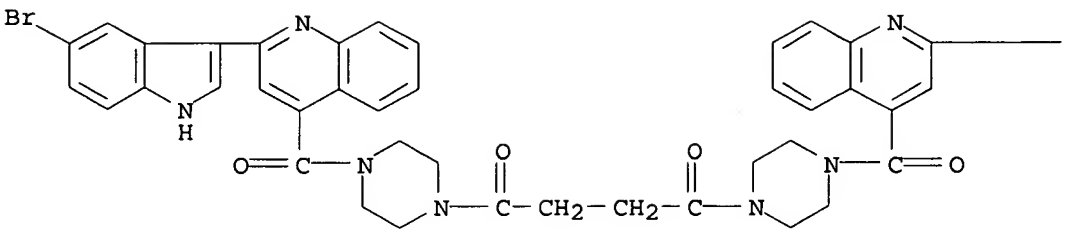
AB The title compds. (I) [wherein L and Q = independently a hydrophobic group or is absent; X = heterocyclyl, (form)amidinyl, guanidinyl, CN, C(S)NR2, N(R)C(S)R, OR, SR, NR2, or PR2; Z = C.tplbond.C, CH:CH, or CH2CH2; R = independently H, (hetero)alkyl, (hetero)aryl, acyl, sulfonyl, etc.; R1 = H, alkyl, aryl, p-toluenesulfonyl, phthalimidoalkyl, or aminoalkyl; R2 and R3 = independently H, alkyl, or acyl] were prepared by standard synthetic and solid phase combinatorial methods. For example, II was synthesized in a 3-step sequence involving: (1) reduction of 2-[5-bromo-1-(tert-butoxycarbonyl)indol-3-yl]-6-(trifluoromethyl)-4-quinolinecarboxylic acid to the alc. with LiAlH4 (44%), (2) addition of 4-iodo-N-(tert-butoxycarbonyl)benzylamine (preparation given) to the alc. (82%), and (3) indolyl and amine deprotection using TFA (78%). Nearly two-thirds of the 534 indolylquinolines tested in assays against cultures of methicillin-resistant Staphylococcus aureau (MRSA), ciprofloxacin-resistant Staphylococcus aureus (CRSA), vancomycin-resistant Enterococcus spp.(VRE), and/or penicillin-resistant Pseudomonas (PRP) had in vitro min. inhibitory concns. (MICs) ≤ 10 μM. For 12 of the 15 compds. tested in vivo for toxicity, all mice were surviving 7 days after administration of 40 mg/kg doses.

IT 218463-49-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(3-indolyl)quinolines as antibacterial agents)

RN 218463-49-1 CA

CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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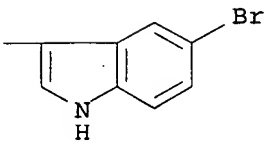
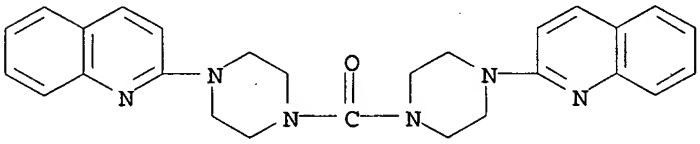


L19 ANSWER 5 OF 5 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 64:27550 CA
ORIGINAL REFERENCE NO.: 64:5090d-e
TITLE: Reactions of a secondary amine in chloroform. Implications for drug metabolism studies
AUTHOR(S): Leeling, J. L.; Phillips, B. M.; Schut, R. N.; Fancher, O. E.
CORPORATE SOURCE: Miles Labs., Inc., Elkhart, IN
SOURCE: Journal of Pharmaceutical Sciences (1965), 54(12), 1736-9
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Four new compds. were found to form in aged chloroform solns. of 1-(2-quinolyl)piperazine. Three of the compds. were identified, by comparison of thin-layer chromatographic behavior and ir spectra with known compds., as 1-formyl-4-(2-quinolyl)piperazine, 1-chlorocarbonyl-4-(2-quinolyl)piperazine, and 1,1'-oxomethylenebis[4-(2-quinolyl)piperazine]. Three new compds. were found to form in aged ethylene chloride solns. of 1-(2-quinolyl)piperazine, while only one new compound formed in aged methylene chloride solns. The use of chlorinated hydrocarbons for extracting secondary amines from biol. media should be approached with caution, especially when the extract are allowed to stand for 24 hrs. or longer.

IT 4774-25-8P, Piperazine, 1,1'-carbonylbis[4-(2-quinolyl)-
RL: PREP (Preparation)
(preparation of)

RN 4774-25-8 CA
CN Piperazine, 1,1'-carbonylbis[4-(2-quinolyl)- (7CI, 8CI) (CA INDEX NAME)



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=> s l17 not l19
L20         171 L17 NOT L19

=> s l20 and helica?
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L21          12 L20 AND HELICA?

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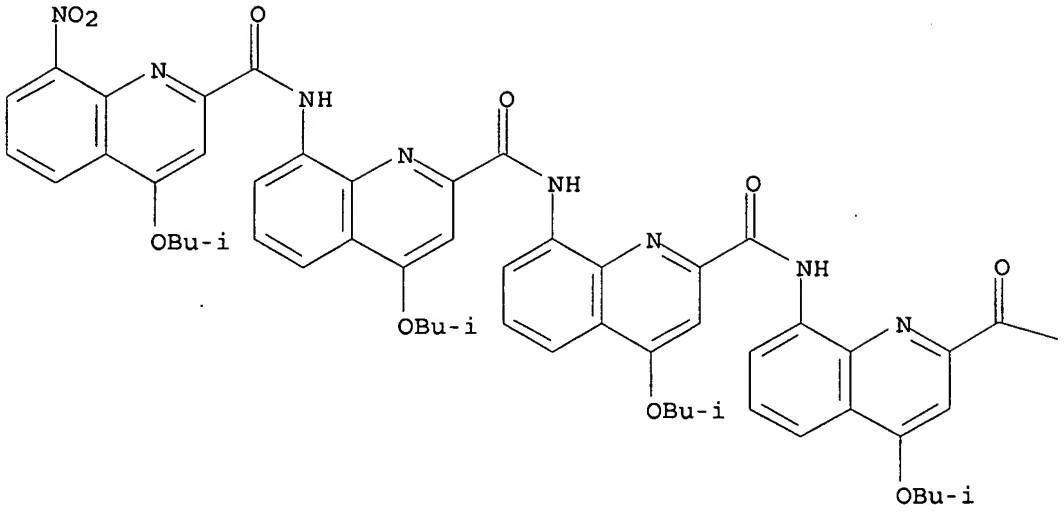
L21 ANSWER 1 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 147:142991 CA
TITLE: Density Functional Theory Calculations and Vibrational Circular Dichroism of Aromatic Foldamers
AUTHOR(S): Ducasse, Laurent; Castet, Frederic; Fritsch, Alain; Huc, Ivan; Buffeteau, Thierry
CORPORATE SOURCE: Institut des Sciences Moleculaires, UMR CNRS 5255, Universite Bordeaux I, Talence, 33405, Fr.
SOURCE: Journal of Physical Chemistry A (2007), 111(23), 5092-5098
CODEN: JPCAFH; ISSN: 1089-5639
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Ab initio calcns. together with vibrational CD (VCD) have been used for studying the conformations of a quinoline-derived oligoamide bearing a terminal chiral residue. Three helically folded conformers of the dimer, trimer, and tetramer forms of the oligomer were optimized at the d. functional theory (DFT) level using the B3LYP functional and the 6-31G* basis set. For each form, the three conformers differ in their helical handedness and in the conformation of the chiral end group. The calculated structures of the tetramer and also the proportions predicted between them based on their calculated Gibbs free energies differences match remarkably well with exptl. data collected on an octamer. Specifically, a R-phenethyl terminal group gives rise to a 91:9 ratio between left handed and right handed helixes. The predicted VCD spectrum calculated from the Boltzmann population of the individual conformer reproduces very well the exptl. VCD spectrum of the tetramer in CDCl3 solution The DFT calcns. performed for the trimer also allow one to assess the preferred handedness of the helix and the conformation of the chiral end group, but the calculated relative populations differ slightly from exptl. data. Finally, this study shows that the dimer fragment is not sufficient to obtain valuable information on the conformation of this aromatic oligoamide foldamer.

IT 905312-25-6
RL: PRP (Properties)
(DFT calcns. and vibrational CD of aromatic foldamers)
RN 905312-25-6 CA
CN 2-Quinolinecarboxamide, 4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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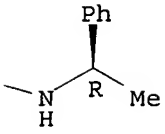


REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

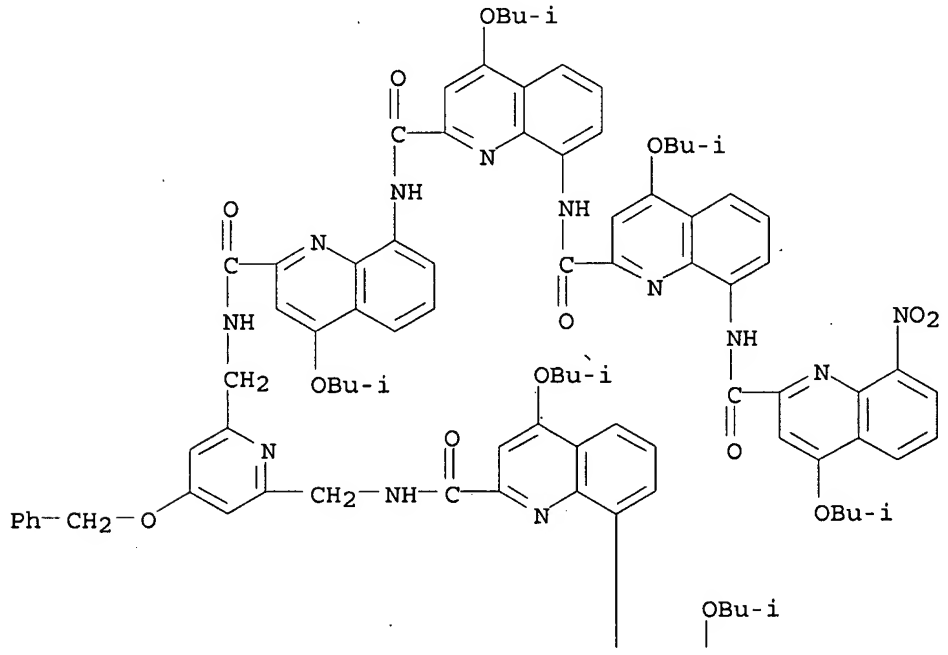
L21 ANSWER 2 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 146:273904 CA
TITLE: Proteomorphous objects from abiotic backbones
AUTHOR(S): Delsuc, Nicolas; Leger, Jean-Michel; Massip, Stephane; Huc, Ivan
CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Pessac, 33607, Fr.
SOURCE: Angewandte Chemie, International Edition (2007), 46(1+2), 214-217
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:273904

AB Big is beautiful: A folded synthetic mol. with a conformation that compares in size with the tertiary folds of a small protein and yet only consist of non-natural units is described. By not controlling the helical handedness allows the effect of tertiary interactions between helical modules through helix-helix side-by-side induction of handedness to be observed

IT 926293-56-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (NMR and crystal structure on proteomorphous objects from abiotic backbones)

RN 926293-56-3 CA
CN 2-Quinolinecarboxamide, N,N'-[[4-(phenylmethoxy)-2,6-pyridinediyl]bis(methylene)]bis[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]- (CA INDEX NAME)

PAGE 1-A



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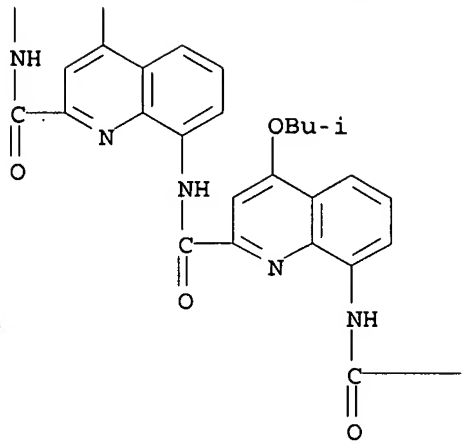

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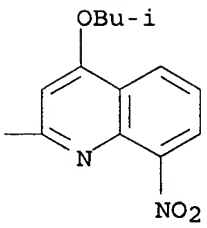

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:293314 CA
TITLE: Amphipathic helices from aromatic amino acid oligomers
AUTHOR(S): Gillies, Elizabeth R.; Dolain, Christel; Leger, Jean-Michel; Huc, Ivan
CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Pessac, 33607, Fr.
SOURCE: Journal of Organic Chemistry (2006), 71(21), 7931-7939
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:293314

AB Synthetic helical foldamers are of significant interest for mimicking the conformations of naturally occurring mols. while at the same time introducing new structures and properties. In particular, oligoamides of aromatic amino acids are attractive targets, as their folding is highly predictable and stable. Here the design and synthesis of new amphipathic helical oligoamides based on quinoline-derived amino acids having either hydrophobic or cationic side chains are described. Their structures were characterized in the solid state by single-crystal X-ray diffraction and in solution by NMR. Results of these studies suggest that an oligomer as short as a pentamer folds into a stable helical conformation in protic solvents, including MeOH and H2O. The introduction of polar proteinogenic side chains to these foldamers, as described here for the first time, promises to provide possibilities for the biol. applications of these mols. In particular, amphipathic helixes are versatile targets to explore due to their importance in a variety of biol. processes, and the unique structure and properties of the quinoline-derived oligoamides may allow new structure-activity relationships to be developed.

IT 896730-35-1
RL: PRP (Properties)
(crystal structure of helical oligoamides based on quinoline-derived amino acids)

RN 896730-35-1 CA

CN 2-Quinolinecarboxylic acid, 8-[[[4-(2-methylpropoxy)-8-[[[8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[8-[[[4-(2-methylpropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-4-[3-[(trifluoroacetyl)amino]propoxy]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-4-[3-[(trifluoroacetyl)amino]propoxy]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-4-[3-[(trifluoroacetyl)amino]propoxy]-, methyl ester, compd. with 1-nitrosopropane (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 896730-32-8
CMF C102 H94 F9 N17 O20

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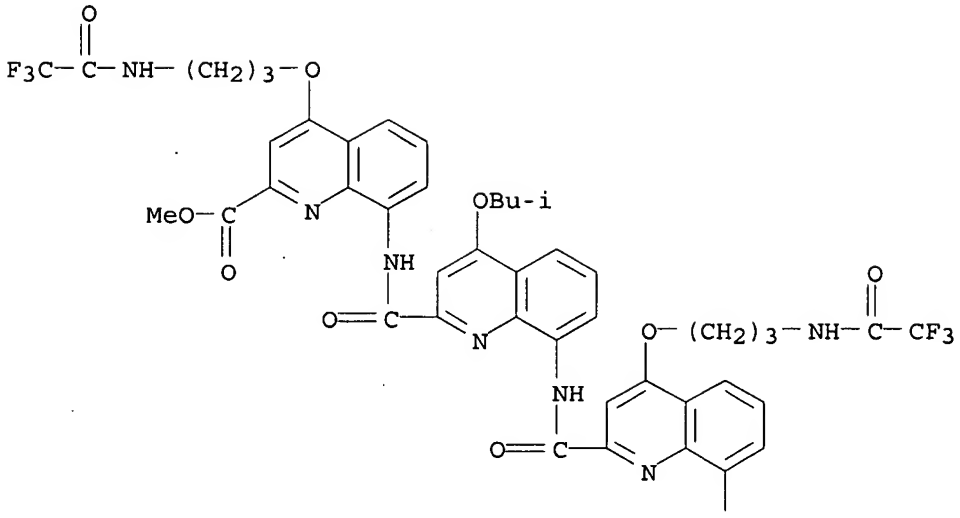

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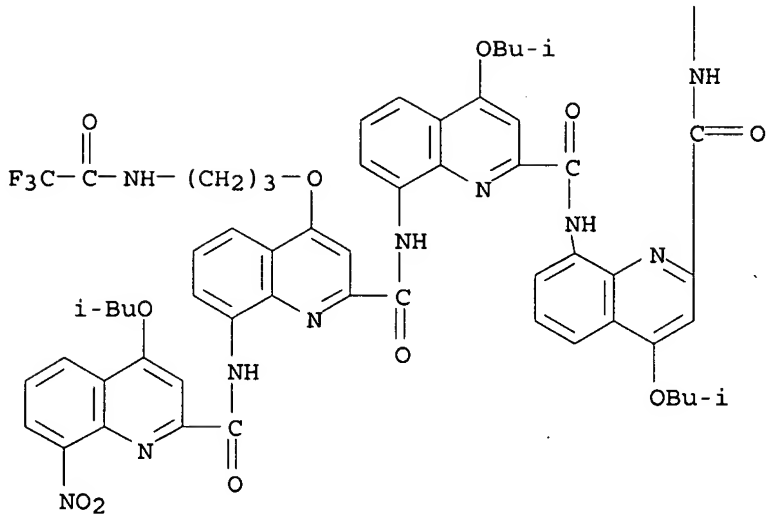
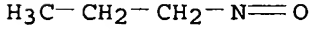


CM 2

CRN 927-78-6
CMF C3 H7 N O



REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 4 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:210569 CA
TITLE: Vibrational circular dichroism and ab initio structure elucidation of an aromatic foldamer
AUTHOR(S): Buffeteau, Thierry; Ducasse, Laurent; Poniman, Legiso; Delsuc, Nicolas; Huc, Ivan
CORPORATE SOURCE: Laboratoire de Physico-Chimie Moleculaire, Universite Bordeaux I, Talence, 33405, Fr.
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2006), (25), 2714-2716
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

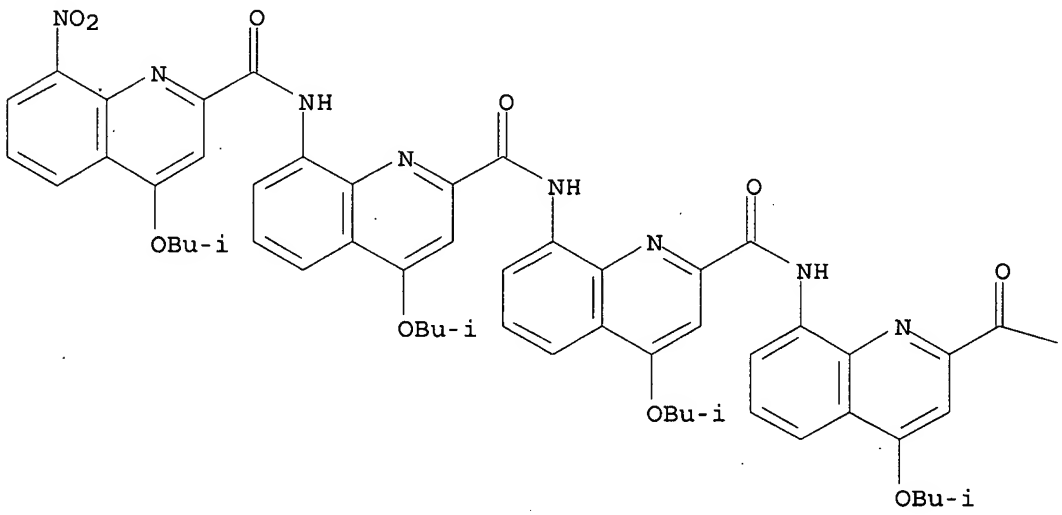
AB Ab initio calcns. together with vibrational CD (VCD) are validated as very accurate tools for studying conformations and estimating conformational energies and helical handedness preferences of an entire, large (112 atoms), abiotic foldamer.

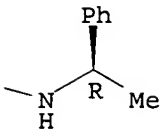
IT 905312-25-6
RL: PRP (Properties)
(vibrational CD and ab initio structure elucidation of aromatic foldamer)

RN 905312-25-6 CA
CN 2-Quinolinecarboxamide, 4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

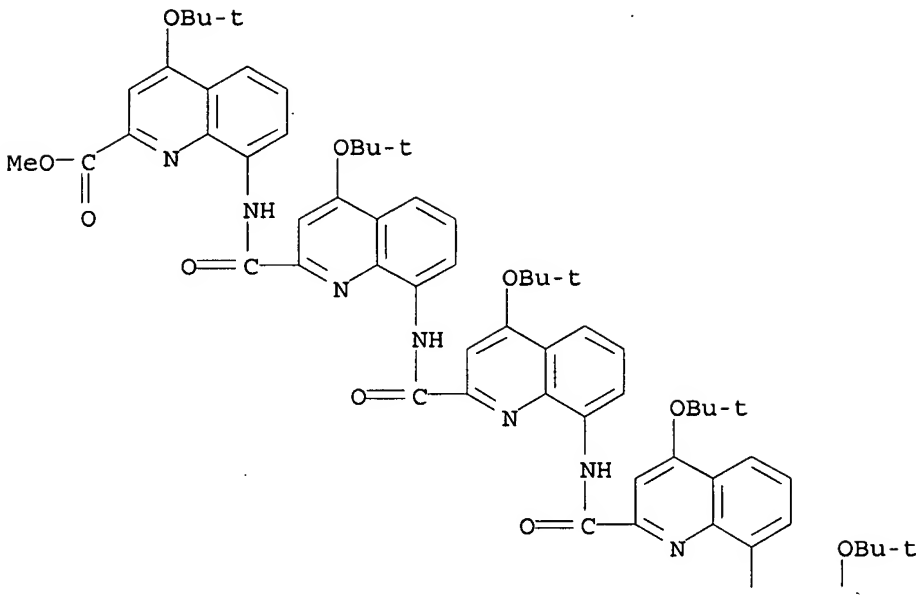
L21 ANSWER 5 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:87890 CA
TITLE: Solution structure of quinoline- and pyridine-derived oligoamide foldamers
AUTHOR(S): Dolain, Christel; Grelard, Axelle; Laguerre, Michel; Jiang, Hua; Maurizot, Victor; Huc, Ivan
CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Pessac, 33607, Fr.
SOURCE: Chemistry--A European Journal (2005), 11(21), 6135-6144
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The unambiguous elucidation of a new folded structure in solution may prove to be a very challenging task. The NMR protocols developed for solving the solution structures of α-peptides have been applied to aliphatic β- and γ-peptides but are not directly applicable to aromatic oligomers. In particular, the string of spin systems in an aromatic sequence cannot be reconstituted solely from correlations between protons. For aromatic oligomers, it is shown that the assignment of a large part of the 13C NMR spectrum through HMBC and HSQC expts. allows to unambiguously assign proton NMR spectra and in turn to interpret NOE correlations. This has been implemented both with quinoline- and pyridine-derived oligoamide foldamers, and should be applicable to a wide range of oligomers including various combinations of monomers. The NOE correlations allow the unambiguous solution structure elucidation of helical conformations of oligoamides derived from pyridine and quinoline monomers showing that, in these series, the solution structures correspond very well to the structures observed in the solid state.

IT 872471-83-5
RL: PRP (Properties)
(solution structure of quinoline- and pyridine-derived oligoamide foldamers)

RN 872471-83-5 CA
CN 2-Quinolinecarboxylic acid, 4-(1,1-dimethylethoxy)-8-[[[4-(1,1-dimethylethoxy)-8-[[[4-(1,1-dimethylethoxy)-8-[[[4-(1,1-dimethylethoxy)-8-[[[4-(1,1-dimethylethoxy)-8-[[[4-(1,1-dimethylethoxy)-8-[[[4-(1,1-dimethylethoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)

PAGE 1-A



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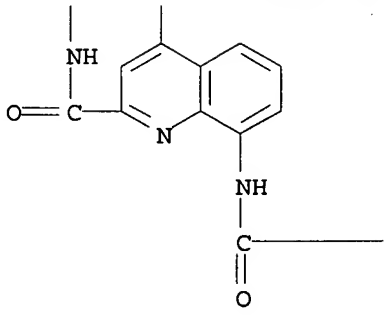
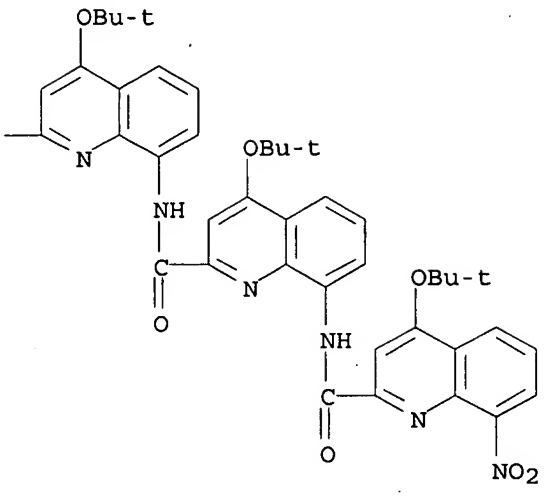




REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

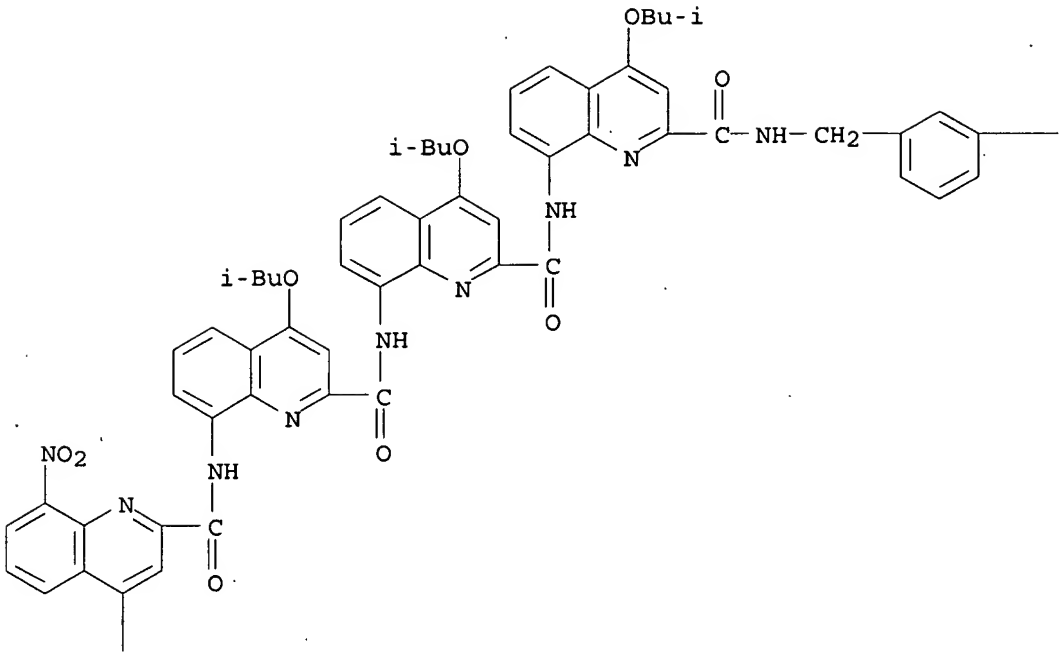
L21 ANSWER 6 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:46912 CA
TITLE: Probing helix propensity of monomers within a helical oligomer
AUTHOR(S): Dolain, Christel; Leger, Jean-Michel; Delsuc, Nicolas; Gornitzka, Heinz; Huc, Ivan
CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Pessac, F-33607, Fr.
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2005), 102(45), 16146-16151
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A simple strategy is proposed to assess the propensity of a given monomer to follow or not follow a particular helical scheme and to study helix reversal phenomena within helical oligomers. It consists of placing a monomer having a low helix propensity between two conformationally stable helical segments. Helix reversion then occurs preferentially at the site of this monomer, leading to the formation of isomers having P (right-handed) or M (left-handed) helicities at each of the two helical segments. The proportion between the P-P/M-M and P-M isomers is indicative of the stereochem. relations between the inserted monomer and the helical frame. Thus, xylylene or carboxylic acid anhydride spacers have been introduced between two helical oligoamides of 8-amino-2-quinolinecarboxylic acid. Both these spacers presumably lack some of the structural features that confer quinoline units with a high helix propensity. Only one species is observed in solution in the case of an anhydride spacer. This species was shown by x-ray crystallog. to be a racemic mixture of P-P and M-M helixes. Unexpectedly, the anhydride is consistently incorporated within helical oligoamides. For the xylylene spacer, the P-P/M-M racemate and P-M meso compound are in equal proportions in chloroform, showing that this spacer does not have a propensity to adopt any helical conformation in this solvent. However, the equilibrium between the various isomers are shifted in toluene, where one species largely prevails. This species was shown by x-ray crystallog. to be the P-P/M-M racemate. Mol. dynamics simulations are consistent with these solution data.

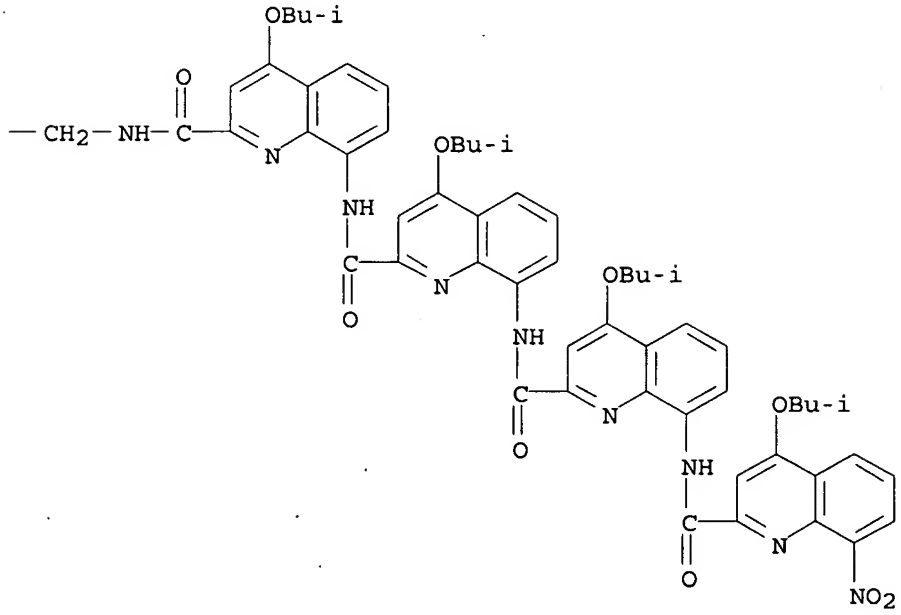
IT 871328-26-6
RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); BIOL (Biological study); PROC (Process)
(helix inversion and propensity of xylylene and anhydride spacers in the context of quinolinecarboxamide oligomers)

RN 871328-26-6 CA
CN 2-Quinolinecarboxamide, N,N'-[1,3-phenylenebis(methylene)]bis[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



OBu-i

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 7 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 143:405502 CA
TITLE: Chiral Induction in Quinoline-Derived Oligoamide Foldamers: Assignment of Helical Handedness and Role of Steric Effects
AUTHOR(S): Dolain, Christel; Jiang, Hua; Leger, Jean-Michel; Guionneau, Philippe; Huc, Ivan
CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Pessac, 33607, Fr.
SOURCE: Journal of the American Chemical Society (2005), 127(37), 12943-12951
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:405502

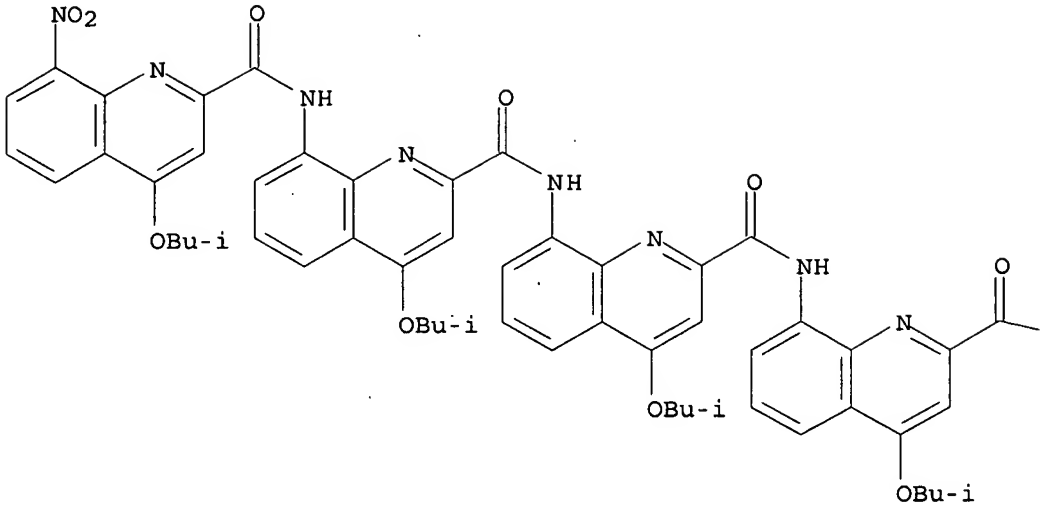
AB Chiral groups attached to the end of quinoline-derived oligoamide foldamers give rise to chiral helical induction in solution Using various chiral groups, diastereomeric excesses ranging from 9% to 83% could be measured by NMR and CD. Despite these relatively weak values and the fact that diastereomeric helixes coexist and interconvert in solution, the right-handed or left-handed helical sense favored by the terminal chiral group could be determined unambiguously using X-ray crystallog. Assignment of chiral induction was performed in an original way using the strong tendency of racemates to cocrystallize, and taking advantage of slow helix inversion rates, which allowed one to establish that the stereomers observed in the crystals do correspond to the major stereomers in solution The sense of chiral helical induction was rationalized on the basis of sterics. Upon assigning an Rs or Ss chirality to the stereogenic center using a nomenclature where the four substituents are ranked according to decreasing sizes, it is observed that Rs chirality always favors left-handed helicity and Ss chirality favors right-handed helicity (P). X-ray structures shed some light on the role of sterics in the mechanism of chiral induction. The preferred conformation at the stereocenter is apparently one where the bulkiest group should preferentially point away from the helix, the second largest group should be aligned with the helix backbone, and the smallest should point to the helix.

IT 663932-56-7
RL: PRP (Properties)
(chiral induction in quinoline-derived oligoamide foldamers)

RN 663932-56-7 CA
CN 2-Quinolinecarboxamide, 4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-N-[4-(2-methylpropoxy)-2-[[[4-(2-methylpropoxy)-2-[[[4-(2-methylpropoxy)-2-[[[(1R)-1-phenylethyl]amino]carbonyl]-8-quinolinyl]amino]carbonyl]-8-quinolinyl]amino]carbonyl]-8-quinolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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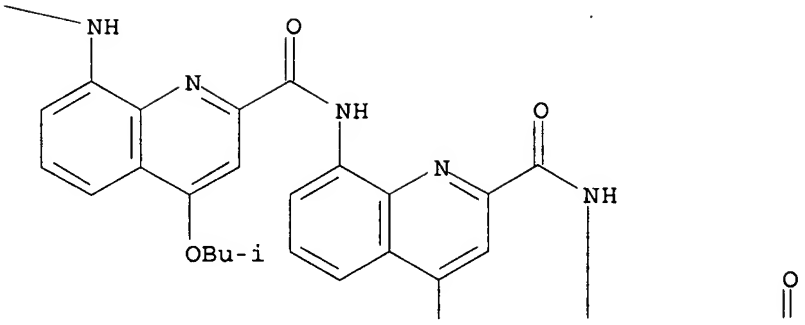

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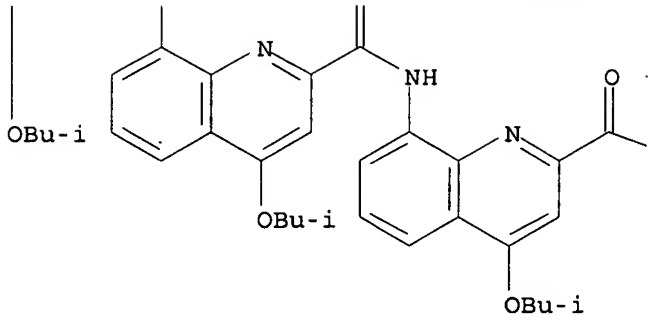

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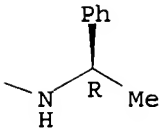


REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 8 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 142:463322 CA
TITLE: Molecular apple peels
AUTHOR(S): Garric, Joachim; Leger, Jean-Michel; Huc, Ivan
CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Pessac, 33607, Fr.
SOURCE: Angewandte Chemie, International Edition (2005), 44(13), 1954-1958
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:463322

AB Peeling the skin of an apple into a single helical ribbon gives a sort of shell that can be wound back around the apple. Such a shell can be constructed at the mol. scale using a helix with a reduced diameter at both ends which behaves as a capsule and entraps a small guest such as water.

IT 851794-94-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystallog.; use of a pyridine-quinoline amide helix with a reduced diameter at both ends as a capsule for encapsulation of water)

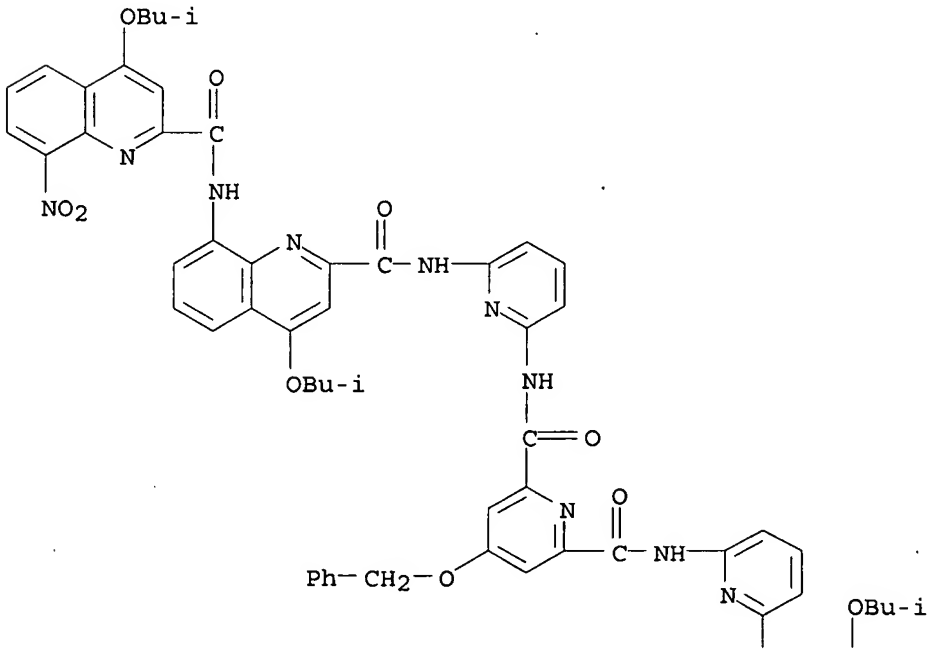
RN 851794-94-0 CA

CN 2,6-Pyridinedicarboxamide, N,N'-bis[6-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-pyridinyl]-4-(phenylmethoxy)-, compd. with methylbenzene (2:3), dihydrate (9CI) (CA INDEX NAME)

CM 1

CRN 851794-93-9
CMF C80 H73 N15 O15

PAGE 1-A



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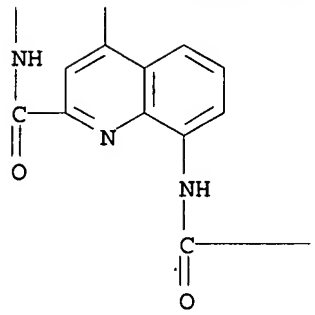

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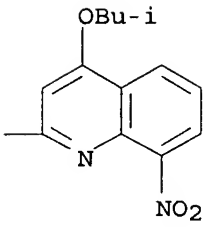
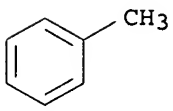


CM 2

CRN 108-88-3
CMF C7 H8



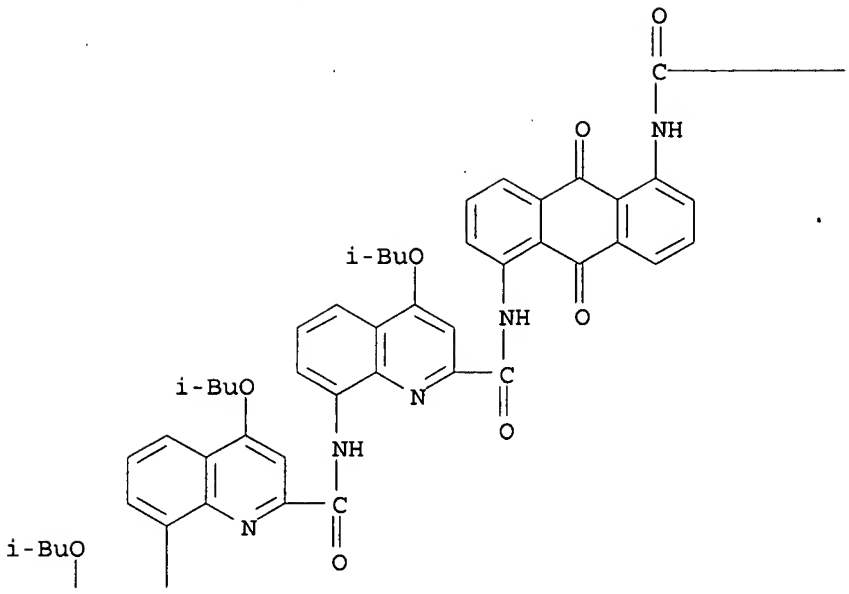
REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 9 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:260514 CA
TITLE: Design of an Inversion Center between Two Helical Segments
AUTHOR(S): Maurizot, Victor; Dolain, Christel; Leydet, Yoann; Leger, Jean-Michel; Guionneau, Philippe; Huc, Ivan
CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Pessac, 33607, Fr.
SOURCE: Journal of the American Chemical Society (2004), 126(32), 10049-10052
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:260514

AB A new strategy is proposed to control the relative orientation of two folded helical oligomers in such a way that they diverge from an aromatic linker and have opposite helical handedness. Mutual steric exclusion between the two helixes results from the fact that they cannot be at the same time folded and on the same side of the linker. The concept is validated using the helical conformations of oligoamides of 8-amino-2-quinolinecarboxylic acid, but it should be applicable to many families of oligomers and leads to the first designed meso-helixes.

IT 754216-31-4P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystal structure; preparation and crystal structure of oligoamides of amino-quinolinecarboxylic acid with an inversion center between two helical segments)
RN 754216-31-4 CA
CN 2-Quinolinecarboxamide, N,N'-(9,10-dihydro-9,10-dioxo-1,5-anthracenediyl)bis[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



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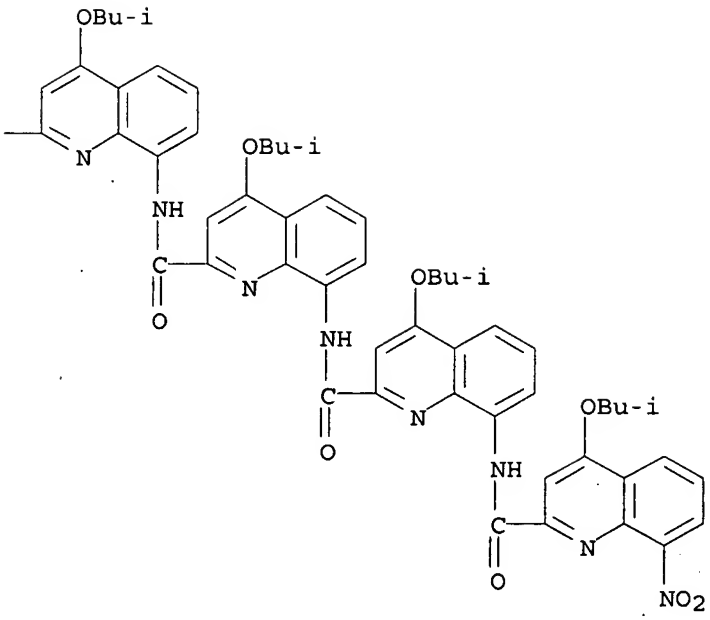

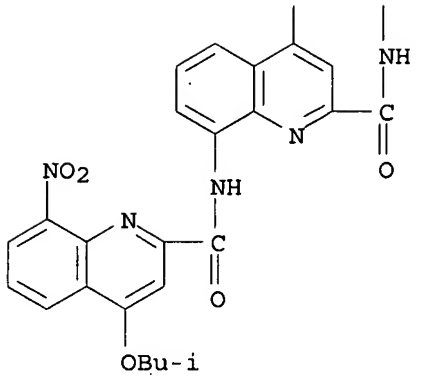

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 10 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 140:218096 CA
TITLE: Switching of Chiral Induction in Helical Aromatic Oligoamides Using Solid State-Solution State Equilibrium
AUTHOR(S): Jiang, Hua; Dolain, Christel; Leger, Jean-Michel; Gornitzka, Heinz; Huc, Ivan
CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Pessac, 33607, Fr.
SOURCE: Journal of the American Chemical Society (2004), 126(4), 1034-1035
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:218096
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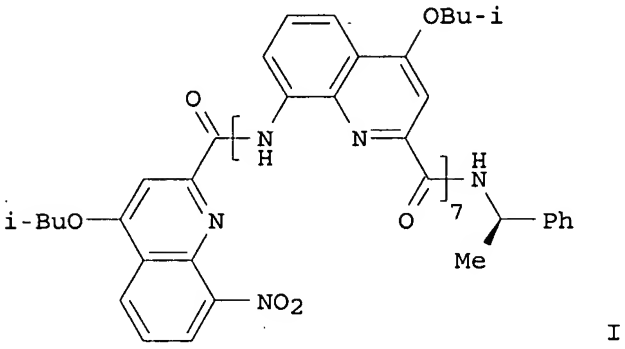


I

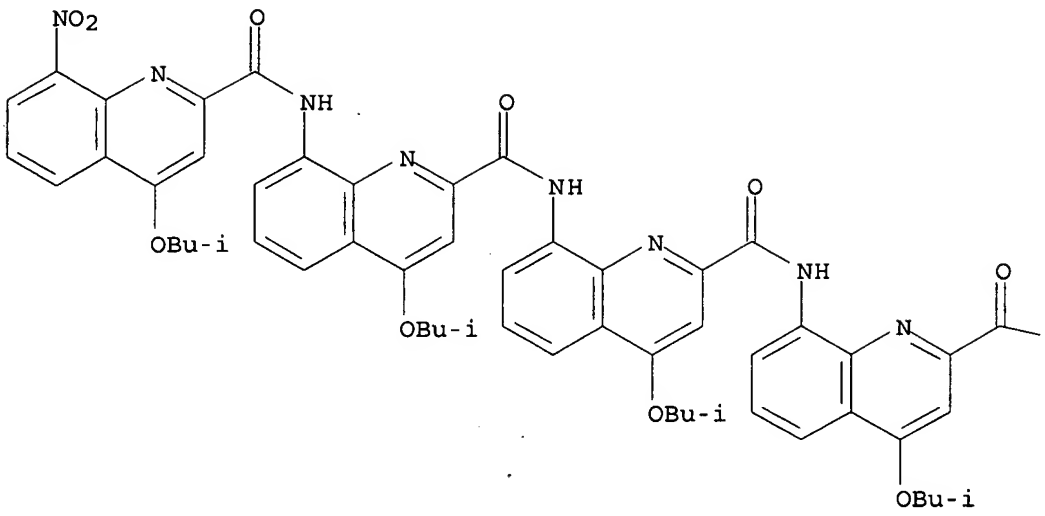
AB The introduction of an R asym. center in an aromatic oligoamide I that adopts stable helical conformations leads to a significant shift of the equilibrium between the right-handed and left-handed helixes in solution: the R-P and R-M helixes are diastereoisomers. However, these two species were found to cocrystallize in 1:1 proportions. Thus the chiral induction observed in solution is switched off in the solid state. This phenomenon represents an original and unexpected means to control handedness in helical oligomers.

IT 663932-56-7P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(preparation and crystal structure of an aminoquinolinecarboxamide-based helical oligomer that displays chiral induction properties in solution)

RN 663932-56-7 CA
CN 2-Quinolinecarboxamide, 4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-N-[4-(2-methylpropoxy)-2-[[[4-(2-methylpropoxy)-2-[[[4-(2-methylpropoxy)-2-[[[(1R)-1-phenylethyl]amino]carbonyl]-8-quinolinyl]amino]carbonyl]-8-quinolinyl]amino]carbonyl]-8-quinolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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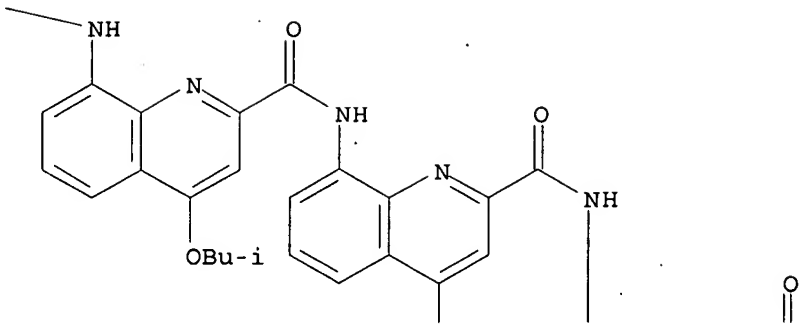

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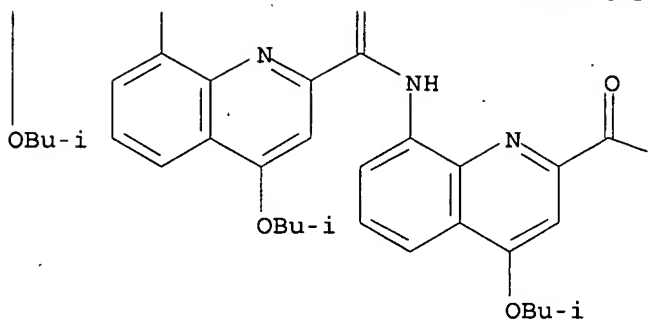

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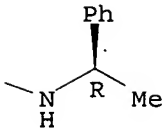


REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

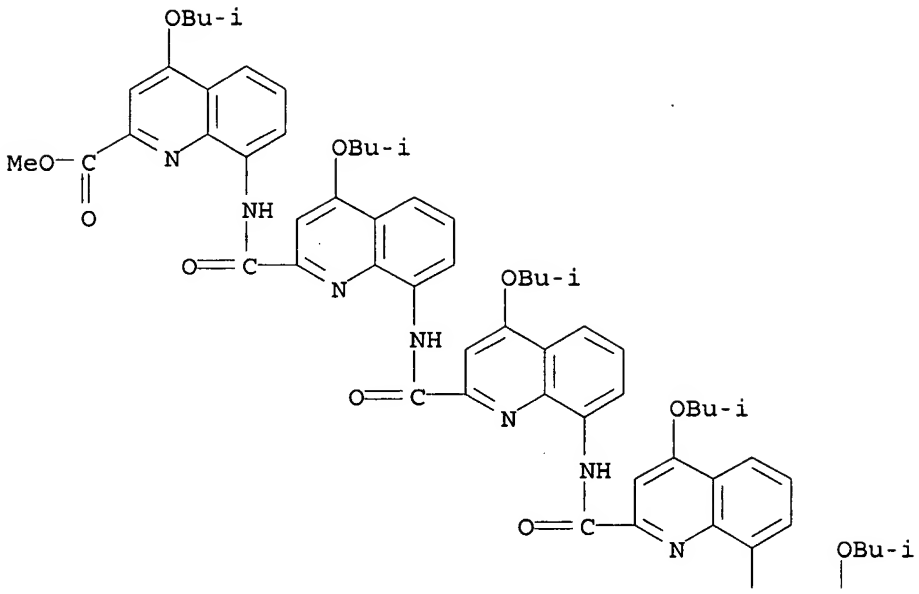
L21 ANSWER 11 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 139:365205 CA
TITLE: Aromatic δ-peptides: design, synthesis and structural studies of helical, quinoline-derived oligoamide foldamers
AUTHOR(S): Jiang, Hua; Leger, Jean-Michel; Dolain, Christel; Guionneau, Philippe; Huc, Ivan
CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Pessac, 33607, Fr.
SOURCE: Tetrahedron (2003), 59(42), 8365-8374
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:365205

AB Oligoamides of 8-amino-4-isobutoxy-2-quinolinecarboxylic acid were designed and synthesized, and their helical structures were characterized in the solid state by single crystal X-ray diffraction, and in solution by 1H NMR. The monomer Me 4-isobutoxy-8-nitro-2-quinolinecarboxylate is easily prepared in three steps from 2-nitroaniline and di-Me acetylene dicarboxylate. Successive hydrogenations of nitro groups, saponifications of esters and couplings of amines and acids via the acid chlorides gave a dimer, tetramer, hexamer, octamer, and decamer in a convergent fashion. The oligomers were shown to adopt a bent conformation stabilized by intramol. hydrogen bonds between amide hydrogens and adjacent quinoline nitrogens. In the solid, the dimer adopts a planar crescent shape and the octamer a helical conformation. All NMR data are consistent with similar conformations in solution The helixes are apparently remarkably stable. Some of them remain helical even at 120°C in deuterated DMSO. The structural studies confirm the predictions made by computer and demonstrate the high potency of the design principles.

IT 517883-18-0P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(crystal structure of; preparation of aromatic peptides and their helical structures in solid state by x-ray, and in solution by NMR)

RN 517883-18-0 CA
CN 2-Quinolinecarboxylic acid, 4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)

PAGE 1-A



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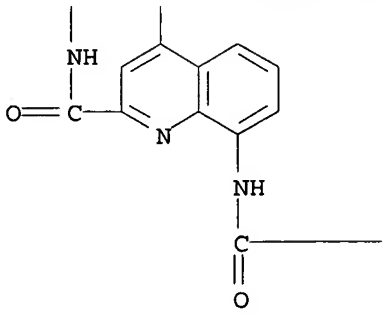

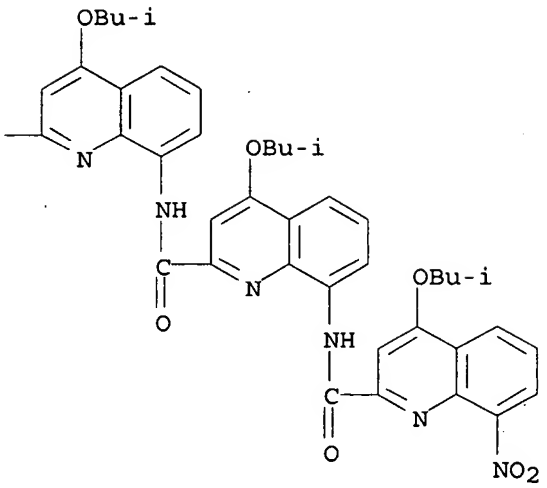

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L21 ANSWER 12 OF 12 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:338484 CA
TITLE: Aromatic δ-Peptides
AUTHOR(S): Jiang, Hua; Leger, Jean-Michel; Huc, Ivan
CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Pessac, 33607, Fr.
SOURCE: Journal of the American Chemical Society (2003), 125(12), 3448-3449
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:338484
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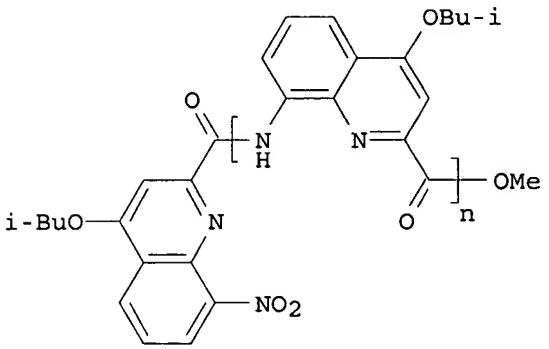


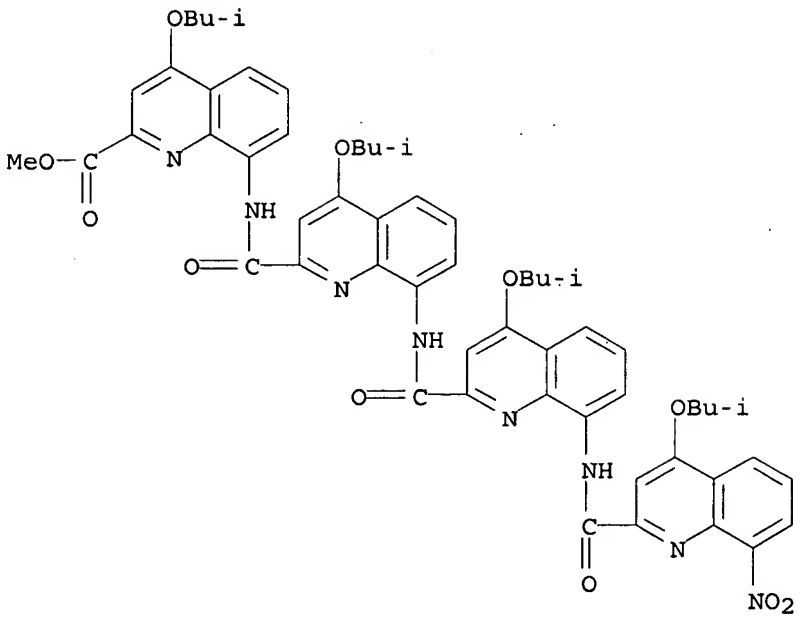
I

AB Oligoamides I (n = 1, 3, 7) of 8-amino-2-carboxy-quinoline were prepared and their stable helical conformations were characterized in solution by 1H NMR and in the solid state by single-crystal x-ray diffraction. The helix comprised only 2.5 units per turn, which represented the highest curvature achieved by aromatic oligoamides until now. 2-Nitroaniline and dimethylacetylene dicarboxylate were starting materials, and the synthesis strategy involved thermal closure of the pyridine ring, formation of alkyl-aryl ether using isobutanol under Mitsunobu conditions, and a segment doubling strategy with selective deprotections and couplings via acid chlorides to give dimer, tetramer and octamer of I.

IT 517883-17-9P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and helical conformation of aminoquinolinecarboxylate-based aromatic peptides)

RN 517883-17-9 CA

CN 2-Quinolinecarboxylic acid, 4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-[[[4-(2-methylpropoxy)-8-nitro-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-2-quinolinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)



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L23         128 L22 AND PY<2003

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L23 ANSWER 1 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 140:218058 CA
TITLE: Solid supported parallel synthesis of dimer libraries
AUTHOR(S): Subra, Gilles; Amblard, Muriel; Durand, Philippe; Komesli, Sylvianne; Renaut, Patrice; Martinez, Jean
CORPORATE SOURCE: Laboratoire des Aminoacides, Peptides et Proteines, Faculte de Pharmacie, UMR 5810, Montpellier, 34060, Fr.
SOURCE: Peptides 2000, Proceedings of the European Peptide Symposium, 26th, Montpellier, France, Sept. 10-15, 2000 (2001), Meeting Date 2000, 973-974.
Editor(s): Martinez, Jean; Fehrentz, Jean-Alain.
Editions EDK: Paris, Fr.
CODEN: 69EDWK; ISBN: 2-84254-048-4
DOCUMENT TYPE: Conference
LANGUAGE: English

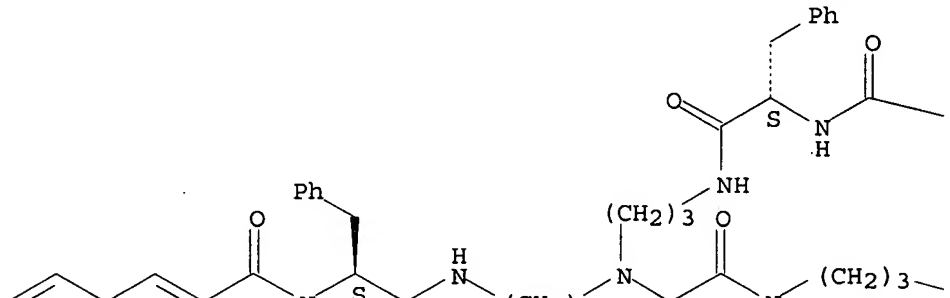
AB A symposium report. Dimer libraries, particularly the JMV 1783 dimer library, were synthesized using lysine as a central template via the Multipin technol. The core of the compds. in the dimer library synthesis is a diamino acid template which is linked to the Synphase crown by a Rink amide type linker. Eleven libraries generated a family of 650 members, of which 10 showed a growth hormone binding inhibition of > 80% at 10-5 M.

IT 664335-91-5P, JMV 1946
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(solid supported parallel synthesis of peptide dimer libraries and their growth factor hormone agonist activity)

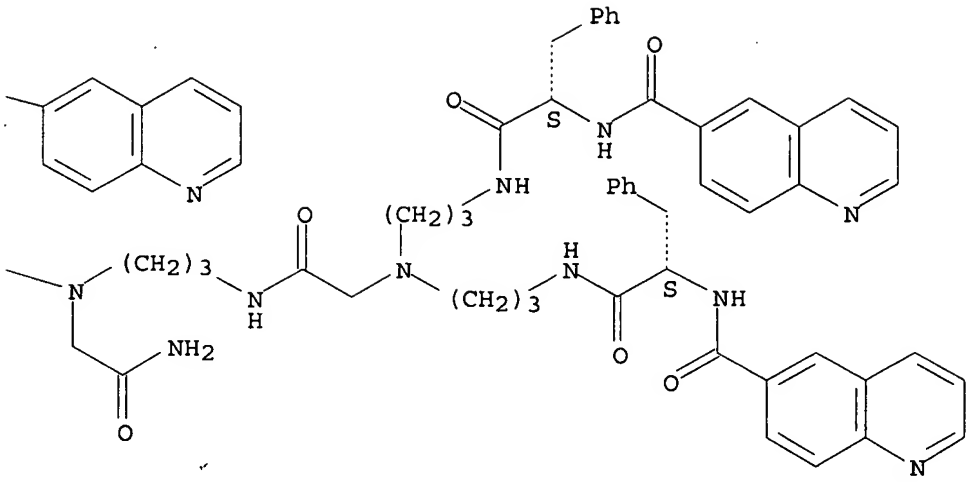
RN 664335-91-5 CA
CN 6-Quinolinecarboxamide, N,N',N'',N'''-[[(2-amino-2-oxoethyl)imino]bis[3,1-propanediylimino(2-oxo-2,1-ethanediyl)nitrilobis[3,1-propanediylimino[(1S)-2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]]tetrakis- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



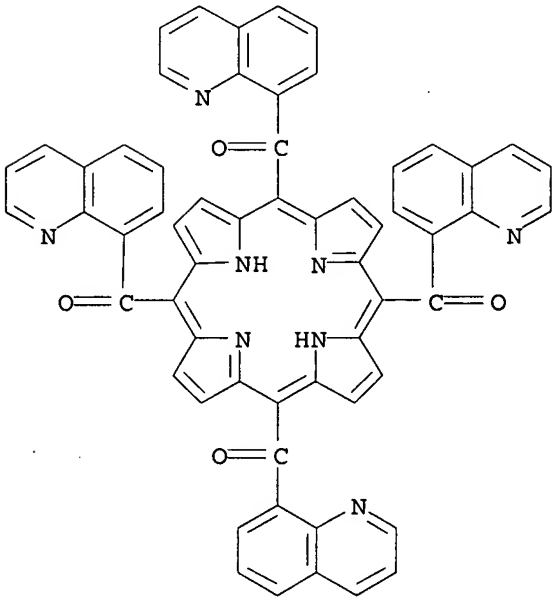
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:32394 CA
TITLE: Synthesis and characterization of new porphyrin reagents
AUTHOR(S): Yu, De-zhong; Guo, Xiu-hong
CORPORATE SOURCE: Department of Pharmacy, Wuhan Institute of Chemical Technology, Wuhan, 430073, Peop. Rep. China
SOURCE: Wuhan Huagong Xueyuan Xuebao (2002), 24(2), 13-15
CODEN: WXUXEY; ISSN: 1004-4736
PUBLISHER: Wuhan Huagong Xueyuan Xuebao Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB A method for the synthesis of quinolinyl-porphyrins has been presented. The quinolinyl-porphyrins were prepared by cyclocondensation of quinolinylcarboxyaldehyde with pyrrole in EtCO2H containing Ac2O followed by removing byproducts using chromatog. The products were characterized by IR and element anal. The Kα1 and Kα2 of the reagents have been determined by spectrophotometry. The reagents give high yield and good selectivity for anal. of zinc and copper ore.

IT 477841-45-5P
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(synthesis and characterization of new porphyrin reagents)

RN 477841-45-5 CA
CN Methanone, 21H,23H-porphine-5,10,15,20-tetrayltetrakis[8-quinolinyl- (9CI) (CA INDEX NAME)

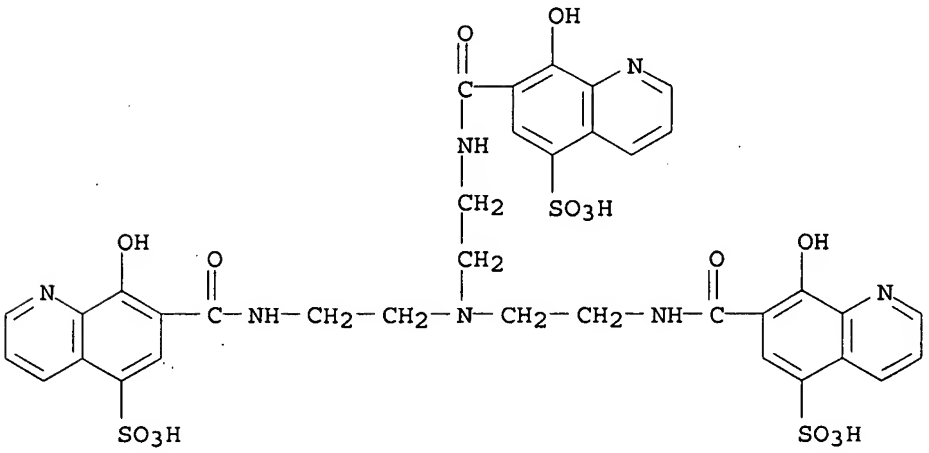


L23 ANSWER 3 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:362954 CA
TITLE: Comparative studies on the iron chelators O-TRENSOX and TRENCAMS: selectivity of the complexation towards other biologically relevant metal ions and Al3+
AUTHOR(S): Biaso, Frederic; Baret, Paul; Pierre, Jean-Louis; Serratrice, Guy
CORPORATE SOURCE: Laboratoire d'Etudes Dynamiques et Structurales de la Selectivite, Chimie Biomimetique, Universite Joseph Fourier, UMR CNRS 5616, Grenoble, F-38041, Fr.
SOURCE: Journal of Inorganic Biochemistry (2002), 89(1-2), 123-130
CODEN: JIBIDJ; ISSN: 0162-0134
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Complexation consts. have been determined by potentiometric titration and spectrophotometric measurements for several biol. relevant divalent metals (Ca2+, Cu2+, Zn2+) as well as Al3+ with the sulfonated tris(8-hydroxyquinolinate) tripodal ligand O-TRENSOX. The values demonstrate great selectivity of O-TRENSOX for Fe3+ according to the sequence Fe3+ >>Cu2+>Zn2+>Ca2+. This selectivity is compared to that shown by tris(hydroxamate) and tris(catecholate) ligands. 1H NMR spectroscopy of the diamagnetic complexes have been carried out in 2H2O solns.

IT 169209-68-1, O-TRENSOX
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(comparative studies on the iron chelators O-TRENSOX and TRENCAMS and selectivity of the complexation toward other biol. relevant metal ions and Al3+)

RN 169209-68-1 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy-, trisodium salt (CA INDEX NAME)



●3 Na

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

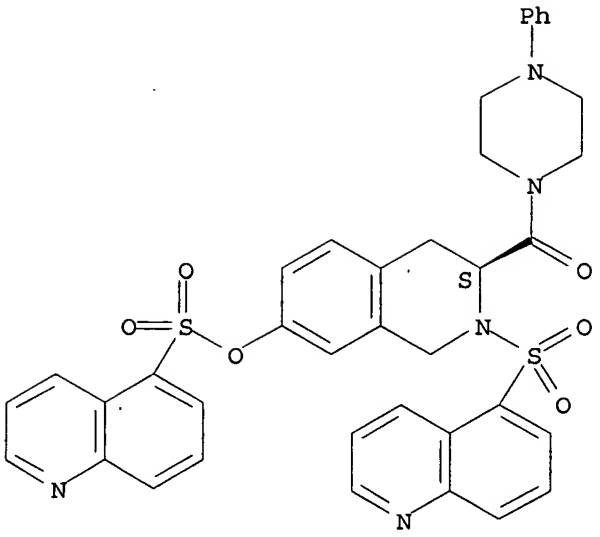
L23 ANSWER 4 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:319953 CA
TITLE: Synthesis, biological activity and molecular modeling studies of 1,2,3,4-tetrahydroisoquinoline derivatives as conformationally constrained analogues of KN62, a potent antagonist of the P2X7-receptor containing a tyrosine moiety
AUTHOR(S): Baraldi, Pier Giovanni; Makaeva, Rimma; Pavani, Maria Giovanna; Del Carmen Nunez, Maria; Spalluto, Giampiero; Moro, Stefano; Falzoni, Simonetta; Di Virgilio, Francesco; Romagnoli, Romeo
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Ferrara, Ferrara, Italy
SOURCE: Arzneimittel-Forschung (2002), 52(4), 273-285
CODEN: ARZNAD; ISSN: 0004-4172
PUBLISHER: Editio Cantor Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:319953

AB A new series of ring constrained analogs of the P2X7 receptor antagonist KN62 (1-[N,O-bis(1,5-isoquinolinesulfonyl)-N-methyl-L-tyrosyl]-4-phenylpiperazine) containing the 1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid core with S configuration in position 3 was synthesized and their antagonist activities were tested on human macrophage cells. While KN62 is a potent antagonist of the P2X7 receptor, these novel compds. are weak antagonists of the purinergic P2X7 receptor and only one compound showed appreciable activity as P2X7 antagonist, which was 30 times weaker than that reported for KN62. Along with this compound, several other derivs. were the most active inhibitors in this synthesized series. A mol. modeling study confirmed that an extended rather than folded conformation seems to be crucial for the antagonistic activity at the P2X7 receptor.

IT 271248-06-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. activity and mol. modeling studies of tetrahydroisoquinoline derivs. as conformationally constrained analogs of potent antagonist of P2X7-receptor KN62)

RN 271248-06-7 CA
CN 5-Quinolinesulfonic acid, (3S)-1,2,3,4-tetrahydro-3-[(4-phenyl-1-piperazinyl)carbonyl]-2-(5-quinolinylsulfonyl)-7-isoquinolinyl ester (CA INDEX NAME)

Absolute stereochemistry.

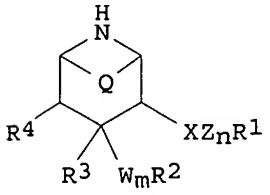


REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 5 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:257677 CA
TITLE: Methods of treating or preventing Alzheimer's disease using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes
INVENTOR(S): Nieman, James A.; Fang, Lawrence; Jagodzinska, Barbara
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 449 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002076440	A2	20021003	WO 2002-US9100		20020321 <--
WO 2002076440	A3	20021128			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2002306848	A1	20021008	AU 2002-306848		20020321 <--
US 2006079533	A1	20060413	US 2004-472868		20040202
PRIORITY APPLN. INFO.:			US 2001-278371P	P	20010323
			US 2001-308729P	P	20010730
			WO 2002-US9100	W	20020321

OTHER SOURCE(S): MARPAT 137:257677
GI



I

AB Disclosed are methods for treating or preventing Alzheimer's disease, and other diseases, and/or inhibiting β-secretase enzyme, and/or inhibiting deposition of A beta peptide in a mammal, using 3,4-disubstituted piperidinyl compds. (I) wherein the variables R1, R2, R3, R4, Q, W, X, Z, m, and n are defined below. Although neither the compds. nor the methods of preparation are claimed, .apprx.150 example prepns., translations from the German examples of patent WO 9709311, are included. I inhibit β-secretase with IC50 < 50 μM; compds. that are effective inhibitors of β-secretase activity demonstrate reduced cleavage of the substrate as compared to a control. In I, R1 is aryl, heterocycle; R2 is Ph, naphthyl, acenaphthyl, cyclohexyl, pyridyl, pyrimidinyl, pyrazinyl, oxopyridinyl, diazinyl, triazolyl, thienyl, oxazolyl, oxadiazolyl, thiazolyl, pyrrolyl, or furyl, optionally

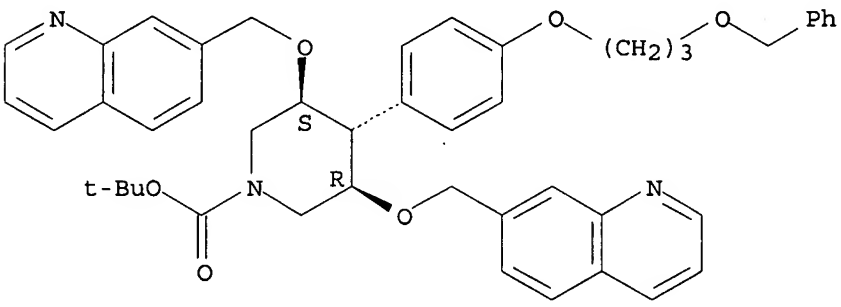
substituted. R3 is: H, hydroxy, lower-alkoxy, or lower-alkenyloxy; R4 is: H, lower-alkyl, lower-alkenyl, lower-alkoxy, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, benzyl, oxo, or where R3 and R4 together are a bond, or as specified in the claims. Q is: ethylene, or is absent; X is: a bond, -O-, -S-, -CH-R11- (R11 defined in claims), -CHOR9- (R9 defined in claims), -OCO, -CO-, or C:NOR10- (R10 is carboxyalkyl, alkoxycarbonylalkyl, alkyl or H), with the bond emanating from an O or S atom joining to a saturated C atom of group Z or to R1; W is: -O-, or -S-; Z is: lower-alkylene, lower-alkenylene, hydroxy-lower-alkylidene, -O-, -S-, -O-Alk- (Alk is a lower alkylene), -S-Alk-, -Alk-O-, or -Alk-S. N is: 1, or 0 or 1 when X is -O-CO; and where m is 0 or 1; with provisos.

IT 188874-62-6P, 1-Piperidinecarboxylic acid, 4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3,5-bis(7-quinolinylmethoxy)-, 1,1-dimethylethyl ester, (3α,4β,5α)-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)

RN 188874-62-6 CA

CN 1-Piperidinecarboxylic acid, 4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3,5-bis(7-quinolinylmethoxy)-, 1,1-dimethylethyl ester, (3α,4β,5α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



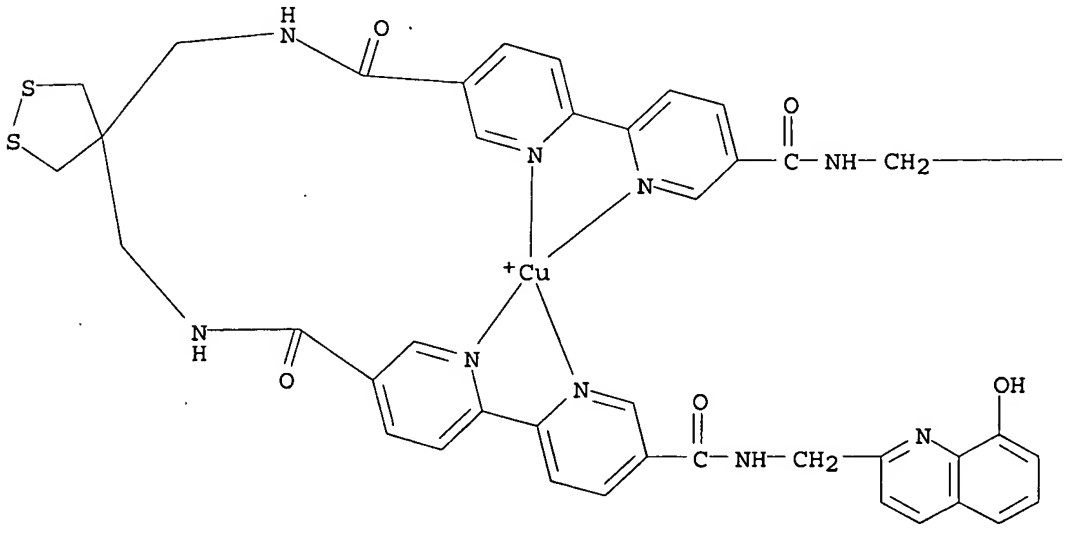
L23 ANSWER 6 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:253847 CA
TITLE: A new molecular switch: redox-driven translocation mechanism of the copper cation
AUTHOR(S): Kalny, Daniel; Elhabiri, Mourad; Moav, Tamar; Vaskevich, Alexander; Rubinstein, Israel; Shanzer, Abraham; Albrecht-Gary, Anne-Marie
CORPORATE SOURCE: Laboratoire de Physico-Chimie Bioinorganique, Faculte de Chimie, UMR 7509 CNRS, Universite Louis Pasteur, Strasbourg, 67000, Fr.
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2002), (13), 1426-1427
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

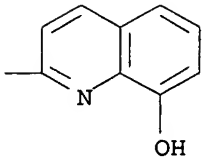
AB We report the synthesis of a novel mol. switch based on a double-stranded ditopic ligand which operates through the CuII/CuI couple; the mononuclear cuprous and cupric complexes were characterized by absorption spectrophotometry; reversible motion of the copper ion between the two binding sites is driven by an auxiliary oxidation and reduction reaction; the rate-limiting steps of this translocation process were determined as well as the corresponding kinetic parameters.

IT 460711-16-4P
RL: CPS (Chemical process); FMU (Formation, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); FORM (Formation, nonpreparative); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(mol. switch and redox-driven translocation mechanism of the copper cation)

RN 460711-16-4 CA
CN Copper(1+), [N5,N5''-[1,2-dithiolan-4-ylidenebis(methylene)]bis[N'-[(8-hydroxy-2-quinolinyl)methyl][2,2'-bipyridine]-5,5'-dicarboxamide-κN1,κN1']]-, (T-4)- (9CI) (CA INDEX NAME)

PAGE 1-A





REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

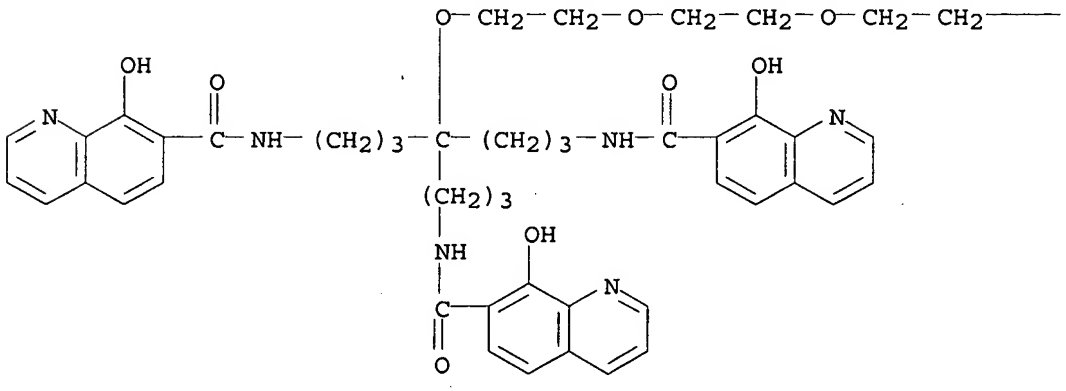
L23 ANSWER 7 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:53035 CA
TITLE: Hydrophilic and lipophilic iron chelators with the same complexing abilities
AUTHOR(S): Imbert, Daniel; Baret, Paul; Gaude, Didier; Gautier-Luneau, Isabelle; Gellon, Gisele; Thomas, Fabrice; Serratrice, Guy; Pierre, Jean-Louis
CORPORATE SOURCE: Laboratoire de Chimie Biomimetique, LEDSS UMR CNRS 5616, Universite Joseph Fourier, Grenoble, 38041, Fr.
SOURCE: Chemistry--A European Journal (2002), 8(5), 1091-1100
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new series of iron chelators with the same coordination sphere as the water-soluble ligand O-trensox, but featuring a variable hydrophilic-lipophilic balance, have been obtained by grafting oxyethylene chains of variable length on a C-pivot tripodal scaffold. The X-ray structure of a ferric complex exhibiting tris(8-hydroxyquinolinate) coordination and solution thermodn. properties (pKa of the ligands, stability consts. of the ferric complexes) have been determined The complexing ability (pFeIII values) of the ligands are similar to that of O-trensox. Partition coeffs. between water and octanol or chloroform have been measured and transport across a membrane has been mimicked ("shuttle process"). The results of biol. assays (iron chelation with free ligands or iron nutrition with ferric complexes) could not be correlated with the partition coeffs. These results call into question the role of distribution coeffs. (of the ligands and/or complexes) in the biol. activities of iron chelators.

IT 438527-46-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(iron complexation with O-trensox analogs bearing polyoxyethylenic chains)

RN 438527-46-9 CA
CN 7-Quinolinecarboxamide, N,N'-[4-[3-[[(8-hydroxy-7-quinolinyl)carbonyl]amino]propyl]-4-(3,6,9,12-tetraoxatridec-1-yloxy)-1,7-heptanediyl]bis[8-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A



—O—CH_2—CH_2—OMe

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 8 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:325436 CA
TITLE: Preparation of quinolinylindoles as antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Chopra, Ian
PATENT ASSIGNEE(S): Sepracor Inc., USA
SOURCE: U.S., 167 pp., Cont. of U.S. Ser. No. 639,622.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 6376670	B1	20020423	US 2000-658690	20000908	<--
US 6207679	B1	20010327	US 1998-45051	19980319	<--
US 6172084	B1	20010109	US 1998-99640	19980618	<--
US 6103905	A	20000815	US 1998-213385	19981211	<--
PRIORITY APPLN. INFO.:			US 1997-878781	B2 19970619	
			US 1998-45051	A2 19980319	
			US 1998-99640	A2 19980618	
			US 1998-213385	A1 19981211	
			US 2000-639622	A2 20000815	

OTHER SOURCE(S): MARPAT 136:325436
GI

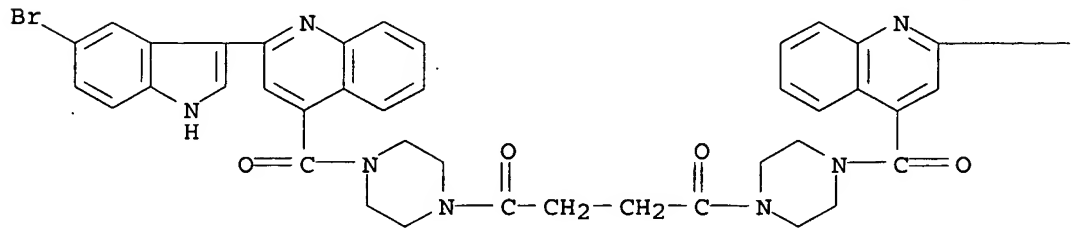
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

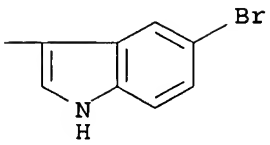
AB The title compds. [I; Z = CO, CR2; R = H, alkyl; R5-R8, R14-R17 = H, halo, alkyl, etc.; R9, R10 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl; R11 = H, alkyl; R12 = H, alkyl] which are bactericidal to a Gram-pos. bacterium via a non-lytic mechanism at its MIC (data given), were prepared E.g., a multi-step synthesis of II, was given.

IT 218463-49-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinylindole derivs. as antimicrobial agents)

RN 218463-49-1 CA
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 9 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:310127 CA
TITLE: Potent P2X7 receptor antagonists: tyrosyl derivatives synthesized using a sequential parallel synthetic approach
AUTHOR(S): Ravi, R. Gnana; Kertesy, Sylvia B.; Dubyak, George R.; Jacobson, Kenneth A.
CORPORATE SOURCE: Molecular Recognition Section, Laboratory of Bioorganic Chemistry, National Institute of Diabetes, Digestive and Kidney Diseases, National Institutes of Health, Bethesda, MD, 20892-0810, USA
SOURCE: Drug Development Research (2001), 54(2), 75-87
CODEN: DDREDK; ISSN: 0272-4391
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:310127
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel analogs of 1-(N,O-bis[5-isoquinolinesulfonyl]-N-methyl-L-tyrosyl)-4-phenylpiperazine (KN-62, 1) were synthesized and found to be potent antagonists in a functional assay, inhibition of ATP-induced K+ efflux in HEK293 cells expressing recombinant human P2X7 receptors. Antagonism of murine P2X7 receptors was also observed The analogs consisted of L-tyrosine derivs., of the general structure R1-Tyr(OR2)-piperazinyl-R3, in which three positions were systematically varied in structure through facile acylation reactions. Each of the three positions was optimized in sequence through parallel synthesis alternating with biol. evaluation, leading to the identification and optimization of potent P2X7 antagonists. The optimal groups at R1 were found to be large hydrophobic groups, linked to the α-amino position through carbamate, amide, or sulfonamide groups. The benzyloxycarbonyl (Cbz) group was preferred over most sulfonamides and other acyl groups examined, except for quinoline sulfonyl. At R2, an aryl-sulfonate ester was preferred, and the order of potency was p-tolyl, p-methoxyphenyl, Ph > α-naphthyl, β-naphthyl. A benzoyl ester was of intermediate potency. Aliphatic esters and carbonate derivs. at the tyrosyl phenol were inactive, while a tyrosyl O-benzyl ether was relatively potent. The most potent P2X7 receptor antagonists identified in this study contained Cbz at the R1 position, an aryl sulfonate at the R2 position, and various acyl groups at the R3 position. At R3, t-butyloxycarbonyl- and benzoyl groups were preferred. The opening of the piperazinyl ring to an ethylene diamine moiety abolished antagonism. In concentration-response studies, a di-isoquinolinyl, Boc derivative, (I) (MRS2306), displayed an IC50 value of 40 nM as an antagonist of P2X7 receptor-mediated ion flux and was more potent than the reference compound 1. Nα-Cbz, Boc-piperazinyl derivs., (II) (MRS2317), (III) (MRS2326), and (IV) (MRS2409) were less potent than 1, with IC50 values of 200-300 nM.

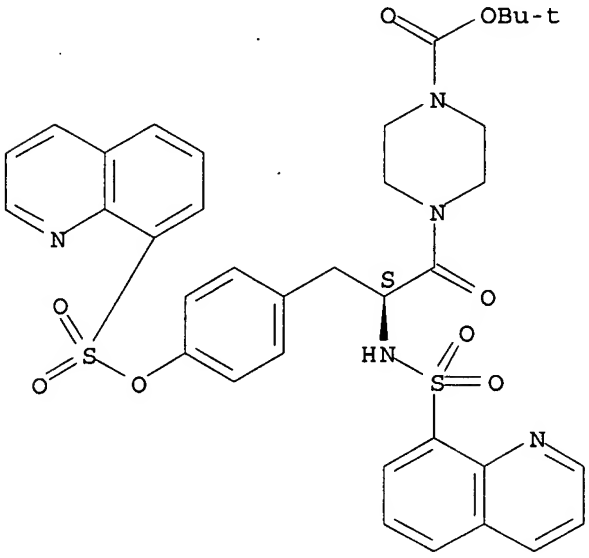
IT 410522-80-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(potent P2X7 receptor antagonists tyrosyl derivs. synthesized using a

sequential parallel synthetic approach)

RN 410522-80-4 CA

CN 1-Piperazinecarboxylic acid, 4-[(2S)-1-oxo-2-[(8-quinolinylsulfonyl)amino]-3-[4-[(8-quinolinylsulfonyl)oxy]phenyl]propyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



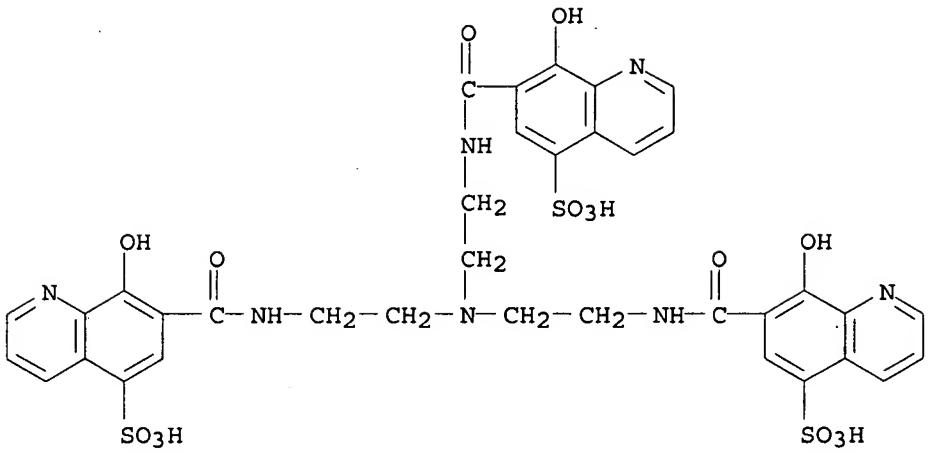
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 10 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:177906 CA
TITLE: New 8-hydroxyquinoline and catecholate iron chelators: influence of their partition coefficient on their biological activity
AUTHOR(S): Henry, Christophe; Rakba, Nafissa; Imbert, Daniel; Thomas, Fabrice; Baret, Paul; Serratrice, Guy; Gaude, Didier; Pierre, Jean-Louis; Ward, Roberta J.; Crichton, Robert R.; Lescoat, Gerard
CORPORATE SOURCE: Unite de Biochimie, Universite catholique de Louvain, Louvain-La-Neuve, 1348, Belg.
SOURCE: Biochemical Pharmacology (2001), 62(10), 1355-1362
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Four new hexadendate chelators, three hydroxyquinoline-based, Csox, O-Trensox, Cox750, and one catecholate-based CacCam-which have comparable skeletal structures and pFe, but widely different partition coeffs., (Kpart), 0.01, 0.02, 1 and 3.2 resp., have been tested for their iron chelating efficacy in vitro by two methods. First, by their ability to remove iron from ferritin in solution or second, to remove iron from iron-loaded hepatocytes in vitro. Our objective was to ascertain the importance of Kpart and pFe, on the biol. efficiency of the mol. Previous studies proposed that an ideal value of Kpart of 1 should give maximum biol. activity. Mobilization of iron by Csox and CacCAM from ferritin was similar and furthermore more efficient than desferrioxamine B. In the iron-loaded hepatocyte cultures, the three hydroxyquinoline chelators, although showing diversity in terms of lipophilicity, appeared to be very similar in their capacity to chelate iron. CacCAM, the unique catecholate, was the most efficient of the mols. tested, as well as being the least toxic in the cellular model despite having the lowest value of pFe. In conclusion, the use of the partition coefficient and pFe, as tools for predicting biol. activity of iron chelators should be not generalized. Further studies are required to understand the influence of the structure on the biol. activity of the mol.

IT 169209-68-1
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(influence of partition coefficient on 8-hydroxyquinoline and catecholate iron chelator activity)

RN 169209-68-1 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy-, trisodium salt (CA INDEX NAME)



●3 Na

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

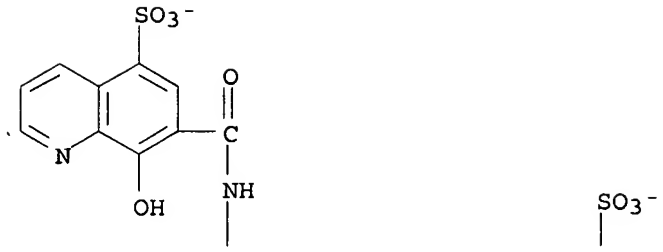
L23 ANSWER 11 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:128230 CA
TITLE: From 8-hydroxy-5-sulfoquinoline to new related fluorogenic ligands for complexation of aluminum(III) and gallium(III)
AUTHOR(S): Launay, Franck; Alain, Valerie; Destandau, Emilie; Ramos, Nathalie; Bardez, Elisabeth; Baret, Paul; Pierre, Jean-Louis
CORPORATE SOURCE: Laboratoire de Photophysique et Photochimie Supramoleculaires et Macromoleculaires, (CNRS UMR 8531), Ecole Normale Superieure de Cachan, Cachan, 94235, Fr.
SOURCE: New Journal of Chemistry (2001), 25(10), 1269-1280
CODEN: NJCHE5; ISSN: 1144-0546
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The hexadentate tripodal ligand O-TRENSOX (already known as a siderophore), incorporating three 8-hydroxy-5-sulfoquinoline (8-HQS) subunits, was studied as a potential fluorogenic ligand of Al(III) and Ga(III). For the sake of comparison, every chelation study was also carried out with n-BUSOX, a ligand similar to one arm of O-TRENSOX. Chelations were studied at the optimal pH for fluorescence emission: pH = 4 for Al(III) and pH = 2 for Ga(III). An outstanding 'tripod' effect is exhibited by the values of the stability consts.: with O-TRENSOX, log β111 = 24.8 for Al(III) and 33.7 for Ga(III), whereas with n-BUSOX, log β110 = 8.6 for Al(III) and 11.6 for Ga(III) at 25°. O-TRENSOX is nearly as efficient for Ga(III) chelation as for Fe(III). When increasing the [metal]/[ligand] ratio, fluorescence emission rose until either 1 : 1 chelation with n-BUSOX or 3 : 1 chelation with O-TRENSOX was achieved. Then, the resulting fluorescence intensity leveled off. The fluorescence emission intensity from n-BUSOX chelates is 10-fold larger than that from O-TRENSOX chelates, suggesting that a self-quenching process occurs within the latter complexes. In terms of selectivity, ions such as Zn(II) or Cd(II), known to form strongly fluorescent complexes with 8-HQS, are not chelated at pH = 2 by n-BUSOX and O-TRENSOX. Thus, they are not potential interferences for Ga(III) determination, whereas Fe(III) strongly interferes, quenching the fluorescence. Conversely, although less stable at pH = 4, the chelates of Zn(II) and Cd(II) are possible interferences for Al(III) determination because of their strong fluorescence emission.

IT 390426-83-2
RL: PRP (Properties)
(fluorescence spectra and stability constant of)

RN 390426-83-2 CA
CN Aluminate(5-), bis[[7-[[[2-[bis[2-[[(8-hydroxy-5-sulfo-7-quinolinyl)carbonyl]amino]ethyl]amino]ethyl]amino]carbonyl-κO]-8-(hydroxy-κO)-5-quinolinesulfonato(4-)]-, hexahydrogen, (T-4)- (9CI) (CA INDEX NAME)

PAGE 1-A



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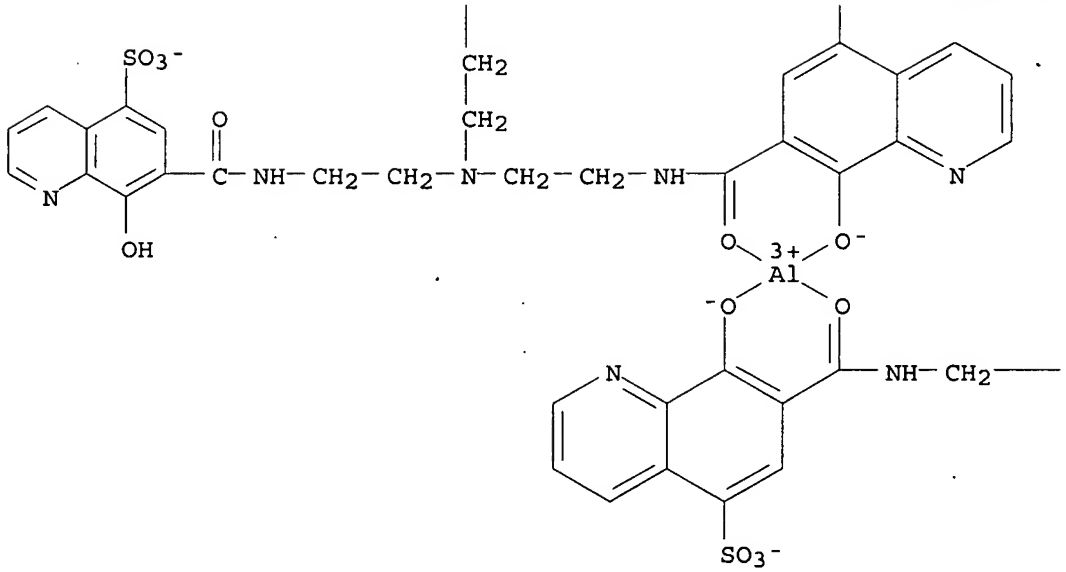
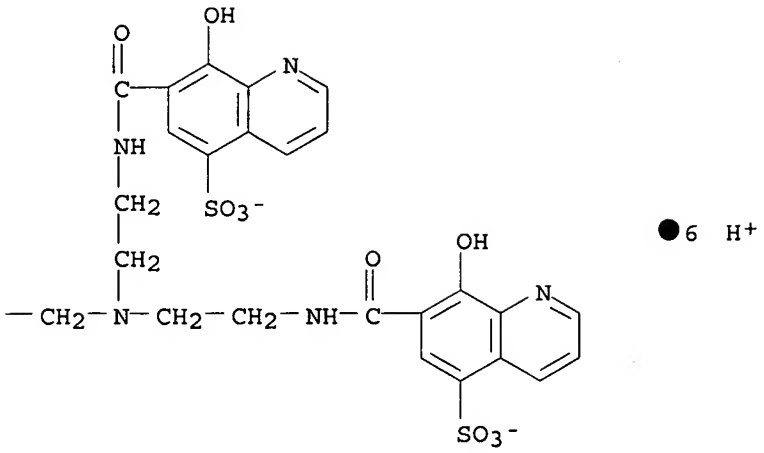




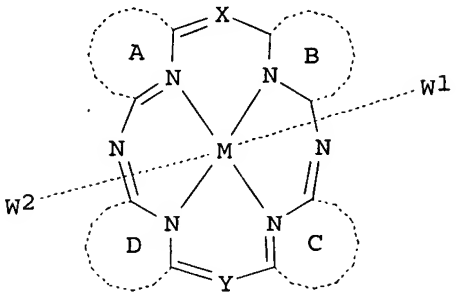
● 6 H^+

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 12 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 135:325312 CA
TITLE: Optical recording material containing diazaporphyrin compound
INVENTOR(S): Nishimoto, Taizo; Ogiso, Akira; Tsukahara, Hiroshi; Inoue, Shinobu; Misawa, Tsutayoshi; Koike, Shoji
PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan; Yamamoto Chemicals Inc.
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

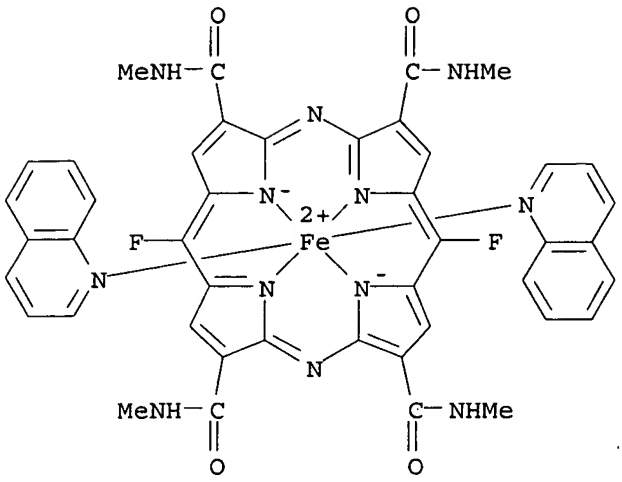
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001287460	A	20011016	JP 2000-106501	20000407 <--
PRIORITY APPLN. INFO.:			JP 2000-106501	20000407

OTHER SOURCE(S): MARPAT 135:325312
GI



I

AB The material has a recording layer of organic dyes containing diazaporphyrin compound I (A, B, C = (substituted) pyrrole ring; X, Y = (substituted) methine; M = divalent metal, W1-2 = N-containing aromatic ring. which may have substituent coordinated to M). The WORM-type recording material recorded and read at wavelength 300-500 and/or 500-700 nm is obtained.
IT 367459-68-5
RL: DEV (Device component use); USES (Uses)
(optical recording material containing diazaporphyrin compound)
RN 367459-68-5 CA
CN Iron, [10,20-difluoro-N,N',N'',N'''-tetramethyl-21H,23H-5,15-diazaporphine-3,7,13,17-tetracarboxamidato(2-)-κN21,κN22,κN23,κN24]bis(quinoline)-, (OC-6-12)- (9CI) (CA INDEX NAME)


MeNH
C
O
C
NHMe
N
N
N
2+
Fe
F
F
N
N
N
N
N
MeNH
C
O
C
NHMe
O

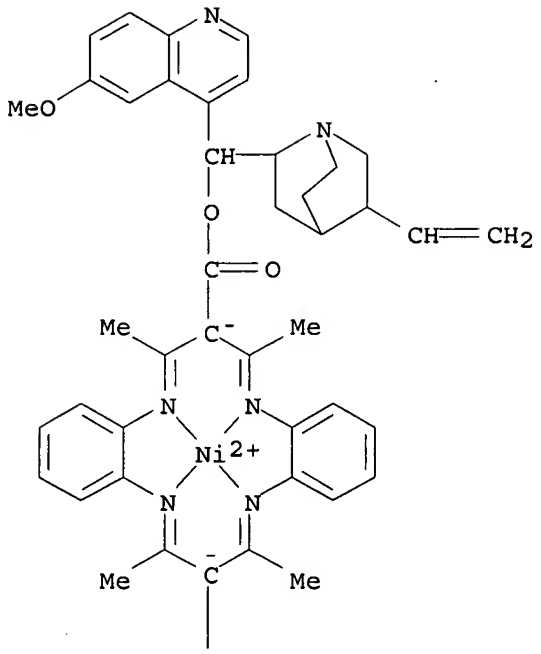
L23 ANSWER 13 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 135:204345 CA
TITLE: New chiral receptors based on dibenzotetraaza[14]annulenes
AUTHOR(S): Eilmes, J.; Michalski, O.; Wozniak, K.
CORPORATE SOURCE: Faculty of Chemistry, Jagiellonian University, Krakow, 30-060, Pol.
SOURCE: Inorganica Chimica Acta (2001), 317(1,2), 103-113
CODEN: ICHAA3; ISSN: 0020-1693
PUBLISHER: Elsevier Science S.A.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:204345

AB Reactions of dibenzotetraaza[14]annulene Ni(II) complexes 1 and 2 with oxalyl chloride and chiral terpene alcs. ((-)menthol, (-)borneol), and the Cinchona alkaloid (quinine) afforded new mono and disubstituted derivs. bearing corresponding ester groups at the meso positions. The demetalation of di(-)menthyloxycarbonyl and di(-) bornyloxycarbonyl derivs. was accomplished by gaseous HCl, leading to corresponding free bases. Single-crystal x-ray diffraction of the free ligand equipped with two (-)menthyloxycarbonyl substituents revealed a saddle-like shape of the mol. resulting in the nonequivalence of two axial coordination sites of the macrocycle. The (-) menthyloxycarbonyl substituents define the 'walls' of a cavity on one side of the macrocyclic platform. The two menthyl rings belonging to the meso substituents appeared to be nonequivalently arranged on both propanediiminate parts of the macrocycle, relative to their Ph and Me substituents. The mols. of the ligand are arranged in stacking columns and form cavities in the crystal lattice. The mols. of solvent (benzene) reside in these cavities. The amine protons of the central tetraaza fragment of the macrocycle are involved in two asym. intramol. N-H···N H bonds. The 1H and 13C NMR spectra measured at room temperature, in CDCl3 solution, provided evidence of conformational nonequivalence within both meso-disubstituted propanediiminate fragments of the macrocycle. Addnl., two nonequiv. NH protons were detected in the 1H NMR spectra of both free ligands. The new products were characterized by elemental analyses, ESI MS, IR, 1H and 13C NMR data.

IT 357168-06-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and NMR of)

RN 357168-06-0 CA
CN Nickel, [bis[(8α,9R)-6'-methoxycinchonan-9-yl] 7,16-dihydro-6,8,15,17-tetramethyldibenzo[b,i][1,4,8,11]tetraazacyclotetradecine-7,16-dicarboxylato(2-)-κN5,κN9,κN14,κN18]-, (SP-4-1)- (9CI) (CA INDEX NAME)

PAGE 1-A



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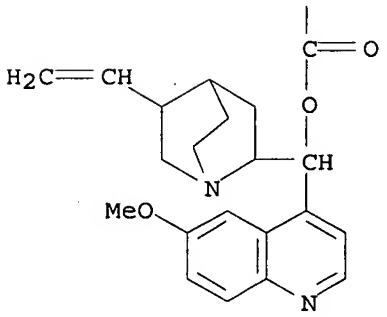


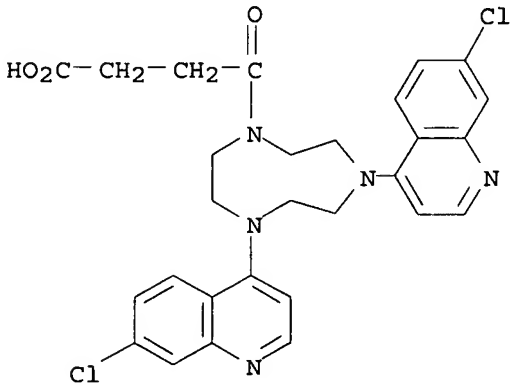
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 14 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 135:76769 CA
TITLE: Antiplasmodial Activity and Cytotoxicity of Bis-, Tris-, and Tetraquinolines with Linear or Cyclic Amino Linkers
AUTHOR(S): Girault, Sophie; Grellier, Philippe; Berecibar, Amaya; Maes, Louis; Lemiere, Pascal; Mouray, Elisabeth; Davioud-Charvet, Elisabeth; Sergheraert, Christian
CORPORATE SOURCE: Institut de Biologie et Institut Pasteur de Lille, Universite de Lille II, Lille, 59021, Fr.
SOURCE: Journal of Medicinal Chemistry (2001), 44(11), 1658-1665
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:76769

AB Bisquinoline heteroalkanediamines were structurally modified in order to study the effects of enhanced bulkiness and rigidity on both their activity on strains of Plasmodium falciparum expressing different degrees of chloroquine (CQ) resistance and their cytotoxicity toward mammalian cells. While cyclization yielded mols. of greater rigidity that were not more active than their linear counterparts, they were characterized by an absence of cytotoxicity. Alternatively, dimerization of these compds. led to tetraquinolines that are very potent for CQ-resistant strains and noncytotoxic.

IT 347895-61-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antiplasmodial activity and cytotoxicity of bis-, tris-, and tetraquinolines with linear or cyclic amino linkers)

RN 347895-61-8 CA
CN 1H-1,4,7-Triazonine-1-butanoic acid, 4,7-bis(7-chloro-4-quinolinyl)octahydro-γ-oxo- (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

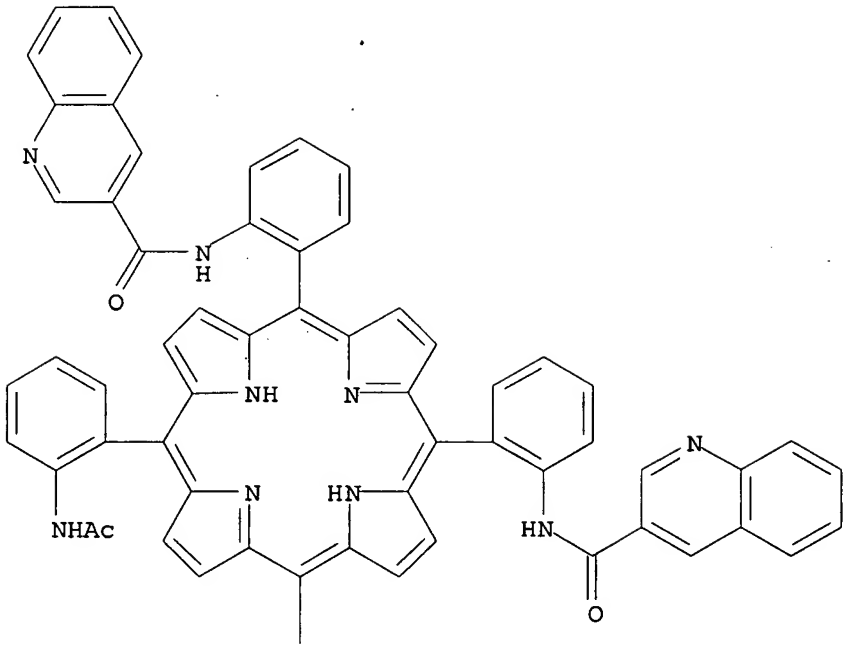
L23 ANSWER 15 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 134:337553 CA
TITLE: Application of 3-quinolinoyl picket porphyrins to the electroreduction of dioxygen to water: mimicking the active site of cytochrome c oxidase
AUTHOR(S): Ricard, David; Didier, Amandine; L'Her, Maurice; Boitrel, Bernard
CORPORATE SOURCE: Universite de Bourgogne/LSEO UMR-CNRS 5632, Dijon, 21000, Fr.
SOURCE: ChemBioChem (2001), 2(2), 144-148
Published in: Angew. Chem., Int. Ed., 40(3)
CODEN: CBCHFX; ISSN: 1439-4227
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:337553

AB Cytochrome c oxidase (CcO), the terminal enzyme of the respiratory chain, performs the 4e- reduction of dioxygen to water in the mitochondria. This reaction is coupled with proton translocation across the membrane. The so-called Fea3-CuB binuclear active site of this enzyme reduces dioxygen to water without any leaking of partially reduced intermediates, such as hydrogen peroxide, which are toxic for the cell. The authors report results about the synthesis and the electrocatalytic activity of quinolinoyl picket porphyrins with or without copper in the distal side of the porphyrin and also with either a tailed or an external nitrogen base to stabilize the iron(II) ion as a five-coordinate complex. These new picket porphyrins are efficient catalysts for the electroredn. of dioxygen to water, with or without copper in the distal side of the porphyrin and whether or not a tailed nitrogen base stabilizes iron(II) as a five-coordinate complex.

IT 338445-15-1P
RL: BSU (Biological study, unclassified); CAT (Catalyst use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(3-quinolinoyl picket porphyrins synthesis and electroredn. of oxygen to water as cytochrome oxidase active site mimic)

RN 338445-15-1 CA
CN 3-Quinolinecarboxamide, N,N',N''-[[20-[2-(acetylamino)phenyl]-21H,23H-porphine-5,10,15-triyl]tri-2,1-phenylene]tris-, stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A



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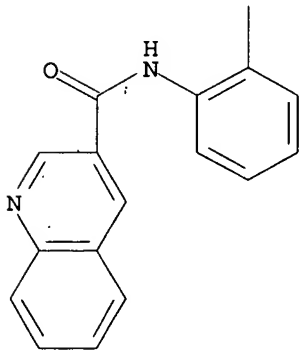


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 16 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 134:252658 CA
TITLE: Preparation of tyrosine derivatives as inhibitors of α4 containing integrin-mediated binding to ligands VCAM-1 and MAdCAM.
INVENTOR(S): Jackson, David Y.; Sailes, Frederick C.; Sutherlin, Daniel P.
PATENT ASSIGNEE(S): Genentech, Inc., USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021584	A1	20010329	WO 2000-US26326	20000925 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2385882	A1	20010329	CA 2000-2385882	20000925 <--
EP 1214292	A1	20020619	EP 2000-965417	20000925 <--
EP 1214292	B1	20070613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6469047	B1	20021022	US 2000-669779	20000925 <--
JP 2003509488	T	20030311	JP 2001-524964	20000925
AU 780385	B2	20050317	AU 2000-76138	20000925
AT 364592	T	20070715	AT 2000-965417	20000925
US 2004110753	A1	20040610	US 2002-198328	20020716
US 2004158076	A1	20040812	US 2004-772678	20040204

PRIORITY APPLN. INFO.:	US 1999-156062P	P	19990924
	US 2000-669779	A1	20000925
	WO 2000-US26326	W	20000925
	US 2002-198328	A1	20020716

OTHER SOURCE(S): MARPAT 134:252658

AB Tyrosine derivs., e.g., ArCH2CH[N(A)(Z)]CO-Y [Z = H, alkyl; A = B(CH2)q-X-, where B = (un)substituted Ph and X = CO, SO2, null or B = cyanoalkyl, carbocyclyl or heterocyclyl and X = CO; R6 = H, alkyl, amino, cyano, hydroxy, alkylsulfonyl, etc.; q = 0-3; Y is H, (un)substituted alkoxy, alkoxyalkoxy, aryloxy, alkylaminoalkoxy, dialkylaminoalkoxy,alkylamino, arylamino, heterocyclyl or heteroarylalkyl; Ar is Ph which has hydroxy, carbonate, thiocarbonate, carbamoyloxy or acyloxy groups and optionally other substituents] were prepared as inhibitors of α4 containing integrin-mediated binding to ligands such as VCAM-1 and MAdCAM. Methods of synthesis are described and inhibitory binding data are tabulated for 416 compds., including N-(o-chlorobenzoyl)-O-(allylcarbamoyl)-L-tyrosine, for which IC50 is < 1.0 micromolar.

IT 331470-69-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tyrosine derivs. as inhibitors of α4 containing

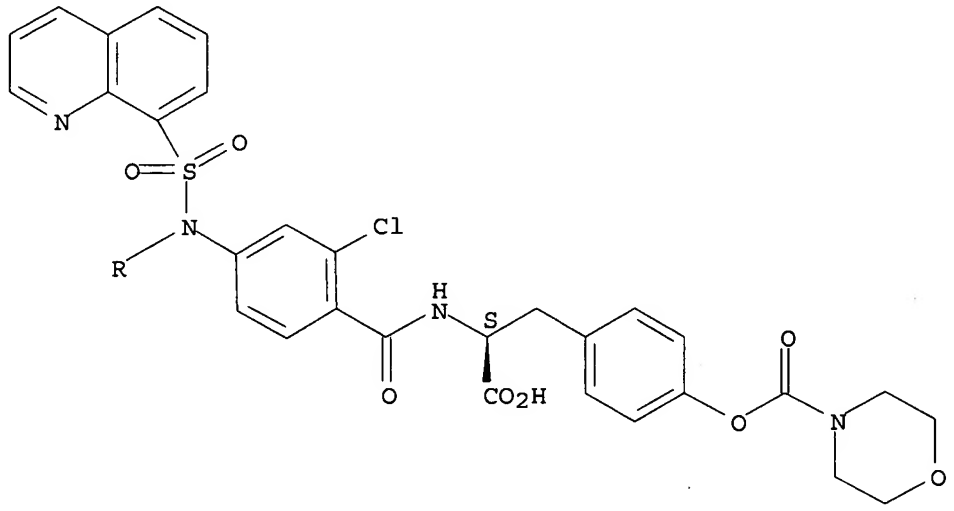
integrin-mediated binding to ligands VCAM-1 and MAdCAM.)

RN 331470-69-0 CA

CN L-Tyrosine, N-[4-[bis(8-quinolinylsulfonyl)amino]-2-chlorobenzoyl]-, 4-morpholinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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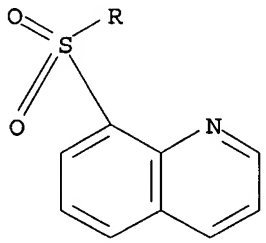
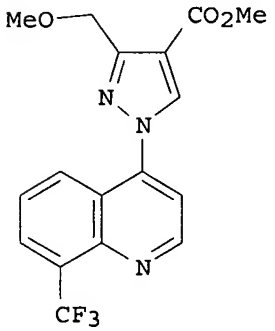


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 17 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 134:100865 CA
TITLE: Preparation of 1-(4-quinolyl)-1H-pyrazoles as agrochemical fungicides
INVENTOR(S): Emeric, Gilbert; Gary, Stephanie; Gerusz, Vincent; Gourlaouen, Nelly; Hartmann, Benoit; Huser, Nathalie; Lachaise, Helene; Le Hir De Fallois, Loic; Perez, Joseph; Wegmann, Thomas
PATENT ASSIGNEE(S): Aventis CropScience SA, Fr.
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002385	A1	20010111	WO 2000-FR1816	20000629 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2795726	A1	20010105	FR 1999-8596	19990630 <--
PRIORITY APPLN. INFO.:			FR 1999-8596 A	19990630

OTHER SOURCE(S): MARPAT 134:100865
GI



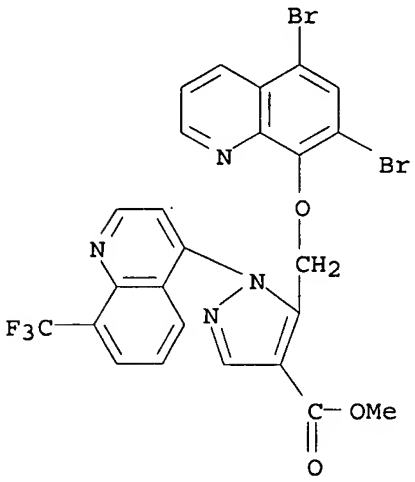
II

AB R1R2 [I; R1 = (un)substituted 4-quinolyl; R2 = di- or trisubstituted pyrazolo] were prepared Thus, MeOCH2COCH2CO2Me was condensed with HC(OMe)2NMe2 and the product cyclocondensed with H2NNH2 to give Me 5-methoxymethylpyrazole-4-carboxylate which was N-arylated by 4-chloro-8-trifluoromethylquinoline to give title compound II. Data for biol. activity of I were given.
IT 318492-76-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic

preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(4-quinolyl)-1H-pyrazoles as agrochem. fungicides)

RN 318492-76-1 CA

CN 1H-Pyrazole-4-carboxylic acid, 5-[[(5,7-dibromo-8-quinolinyl)oxy]methyl]-1-[8-(trifluoromethyl)-4-quinolinyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

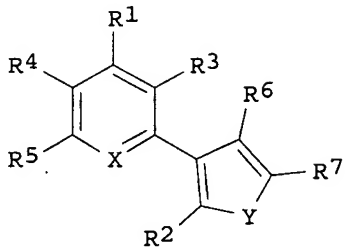
L23 ANSWER 18 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 134:86170 CA
TITLE: Quinoline-indole antimicrobial agents
INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-badalian, Anita; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: U.S., 151 pp., Cont.-in-part of U.S. Ser. No. 45,051.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6172084	B1	20010109	US 1998-99640	19980618 <--
US 6207679	B1	20010327	US 1998-45051	19980319 <--
US 6103905	A	20000815	US 1998-213385	19981211 <--
US 6376670	B1	20020423	US 2000-658690	20000908 <--

PRIORITY APPLN. INFO.:

US 1997-878781	B2	19970619
US 1998-45051	A2	19980319
US 1998-99640	A2	19980618
US 1998-213385	A1	19981211
US 2000-639622	A2	20000815

OTHER SOURCE(S): MARPAT 134:86170
GI



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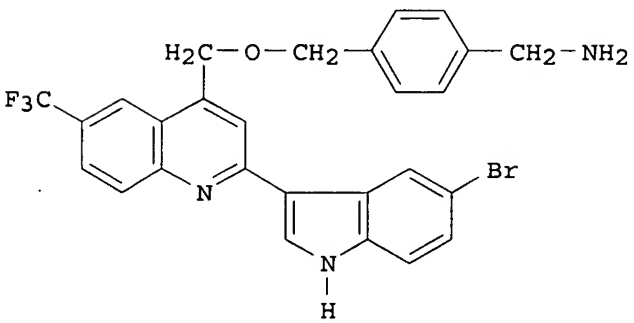


II

AB Indolylquinolines I [X = N; Y = NR; R-R3 = independently H, halogen, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, NH2, NO2, SH, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, CO, CONH2, anhydride, silyl, alkylsulfonyl, arylsulfonyl, alkylseleno, aldehyde, ester,

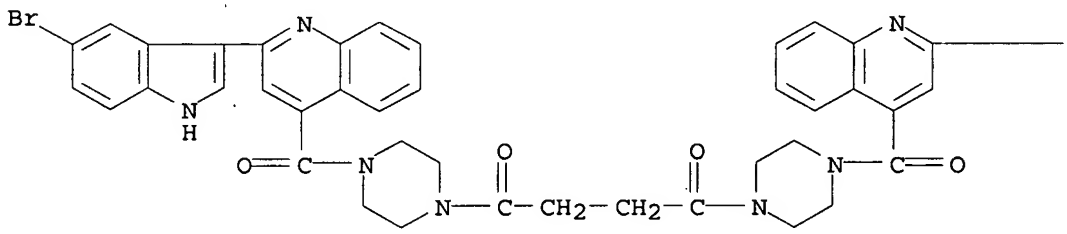
heteroalkyl, CN, guanidine, amidine, acetal, ketal, amine oxide, (hetero)aryl, azide, aziridine, carbamate, epoxide, C(:NH)OH, imide, oxime, SO2NH2, CSNH2, thiocarbamate, urea, thiourea, or (CH2)mR80; R4R5, R6R7 = atoms required to complete an (un)substituted fused benzo ring system; R80 = (un)substituted aryl, cycloalkyl, cycloalkenyl, heterocycle, or polycycle; m = 0-8] were prepared by conventional or combinatorial synthetic methods for use as bactericides. Thus, 4-H2NCH2C6H4CO2H was esterified, N-tert-butoxycarbonylated, reduced, and treated with iodine to give 4-BocNHCH2C6H4CH2I, which was coupled with the indolylquinolinemethanol fragment and deblocked to give the product II. II had MIC's <7 μg/mL against methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterobacter sp., and Streptococcus pneumoniae.

IT 218463-49-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylquinoline bactericides by conventional or combinatorial methods)

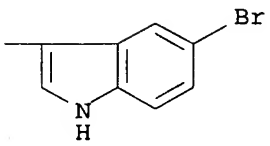
RN 218463-49-1 CA

CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

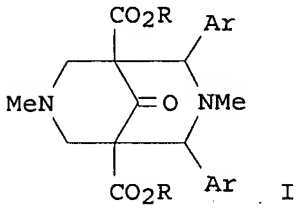


PAGE 1-B



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 19 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:362761 CA
TITLE: Synthesis and Opioid Receptor Affinity of a Series of 2,4-Diaryl-Substituted 3,7-Diazabicyclononanones
AUTHOR(S): Siener, Tom; Cambareri, Antonella; Kuhl, Ulrich; Englberger, Werner; Haurand, Michael; Koegel, Babette; Holzgrabe, Ulrike
CORPORATE SOURCE: Institute of Pharmacy and Food Chemistry, University of Wuerzburg, Wuerzburg, 97074, Germany
SOURCE: Journal of Medicinal Chemistry (2000), 43(20), 3746-3751
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:362761
GI

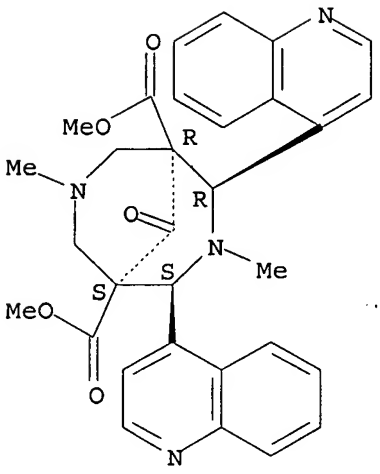


I

AB 3,7-Diazabicyclo[3.3.1]nonan-9-ones (I; R = Me, Et; Ar = 2-, 3-, 4-pyridinyl; 1-, 2-naphthalenyl; 2-, 4-quinolinyl; substituted phenyl) were synthesized using a double Mannich procedure. Radioligand binding assays were performed to measure the affinity of the compds. to the μ-, δ-, and κ-opioid receptors. The affinity of all 2,4-diphenyl-substituted 3,7-diazabicyclo[3.3.1]nonan-9-ones to the μ- and δ-receptors was found to be low. In contrast, with exception of the nitrophenyl- and cyanophenyl-substituted compds., most of the diazabicycles showed considerable affinity for the κ-receptor. In particular, the m-fluoro-, p-methoxy-, and m-hydroxy-substituted compds. have an affinity in the submicromolar range. Because of solubility problems in aqueous media, salts of HZ2 (I; R = Me, Ar = 2-pyridinyl) were synthesized. The methiodide shows high κ-affinity and may, thus, be a promising candidate for development of a peripheral κ-agonist, e.g., for use in the case of rheumatoid arthritis.

IT 250339-62-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and opioid receptor affinity of 2,4-diaryl-3,7-diazabicyclononanones)
RN 250339-62-9 CA
CN 3,7-Diazabicyclo[3.3.1]nonane-1,5-dicarboxylic acid, 3,7-dimethyl-9-oxo-2,4-di-4-quinolinyl-, dimethyl ester, (1R,2R,4S,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

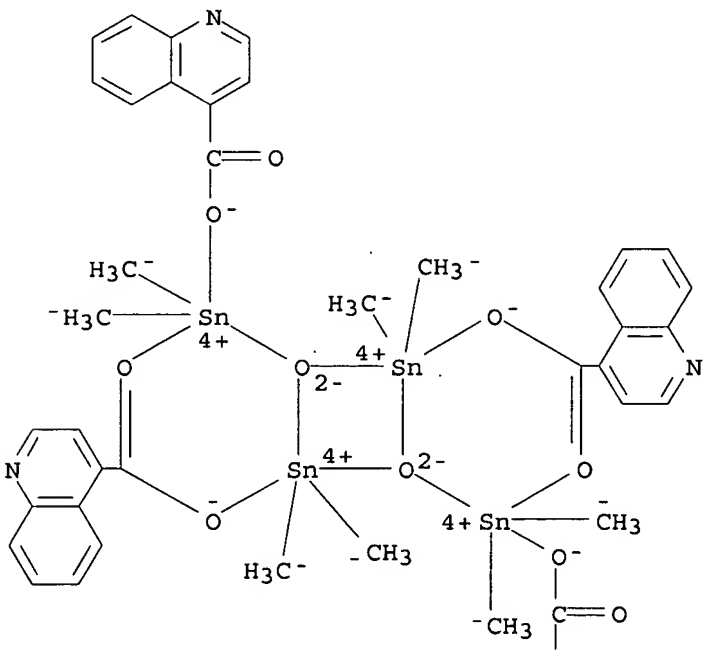
L23 ANSWER 20 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:281853 CA
TITLE: A Novel Rhombohedral Grid Based on Tetraorganodistannoxane as Corner Unit
AUTHOR(S): Xiong, Ren-Gen; Zuo, Jing-Lin; You, Xiao-Zeng; Fun, Hoong-Kun; Raj, S. Shanmuga Sundara
CORPORATE SOURCE: Coordination Chemistry Institute State Key Laboratory of Coordination Chemistry, Nanjing University, Nanjing, 210093, Peop. Rep. China
SOURCE: Organometallics (2000), 19(20), 4183-4186
CODEN: ORGND7; ISSN: 0276-7333
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:281853

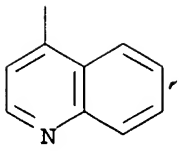
AB Under hydrothermal conditions, the reaction of vanillic acid with trimethyltin chloride gives rise to a novel 2D rhombohedral grid, {([Me2Sn(VA)0.5]2O)2·2H2O}n (1), with a tetraorganodistannoxane as corner unit.

IT 299433-75-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation, fluorescence, and crystal structure of)

RN 299433-75-3 CA
CN Tin, octamethyldi-μ3-oxobis[μ-(4-quinolinecarboxylato-κO4:κO4')]bis(4-quinolinecarboxylato-κO4)tetra-, stereoisomer (9CI) (CA INDEX NAME)

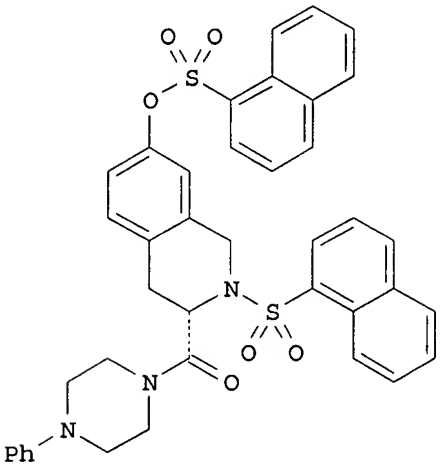
PAGE 1-A





REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 21 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:4634 CA
TITLE: Synthesis of conformationally constrained analogues of KN62, a potent antagonist of the P2X7-receptor
AUTHOR(S): Baraldi, Pier Giovanni; Romagnoli, Romeo; Tabrizi, Mojgan Aghazadeh; Falzoni, Simonetta; Di Virgilio, Francesco
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Ferrara, Ferrara, I-44100, Italy
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(7), 681-684
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



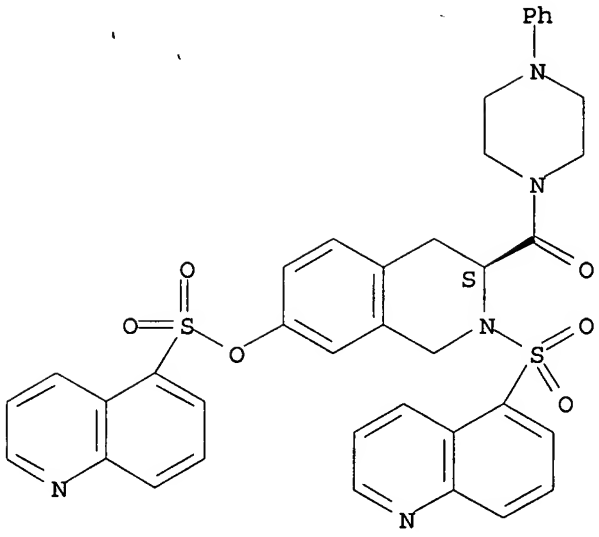
I

AB Conformationally constrained analogs of KN62 containing 1,2,3,4-tetrahydro-7-hydroxyisoquinoline-3-carboxylic acid with S configuration in position 3, e.g. I, were synthesized and their antagonist activities were tested on human macrophage cells. While KN62 is a potent antagonist of the P2X7 receptor, these analogs were inactive as antagonists and only one compound showed appreciable activity as P2X7 antagonist, which was 30 times weaker than that reported for KN62.

IT 271248-06-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn and structure-activity relationship of hydroxyisoquinolinylcarbonylphenylpiperazine arylsulfonates as P2X7-receptor antagonist)

RN 271248-06-7 CA
CN 5-Quinolinesulfonic acid, (3S)-1,2,3,4-tetrahydro-3-[(4-phenyl-1-piperazinyl)carbonyl]-2-(5-quinolinylsulfonyl)-7-isoquinolinyl ester (CA INDEX NAME)

Absolute stereochemistry.



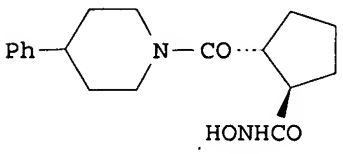
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 22 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 132:49888 CA
TITLE: Cyclic hydroxamic acids as metalloproteinase inhibitors
INVENTOR(S): Xue, Chu-Baio; Decicco, Carl P.; He, Xiaohua
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965867	A1	19991223	WO 1999-US13723	19990617 <--
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2333554	A1	19991223	CA 1999-2333554	19990617 <--
AU 9946923	A	20000105	AU 1999-46923	19990617 <--
EP 1087937	A1	20010404	EP 1999-930371	19990617 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002518368	T	20020625	JP 2000-554694	19990617 <--
US 6429213	B1	20020806	US 1999-335086	19990617 <--
US 2003139597	A1	20030724	US 2002-177235	20020620
US 6858626	B2	20050222		

PRIORITY APPLN. INFO.:	US 1998-89557P	P	19980617
	US 1999-127599P	P	19990402
	US 1999-335086	A3	19990617
	WO 1999-US13723	W	19990617

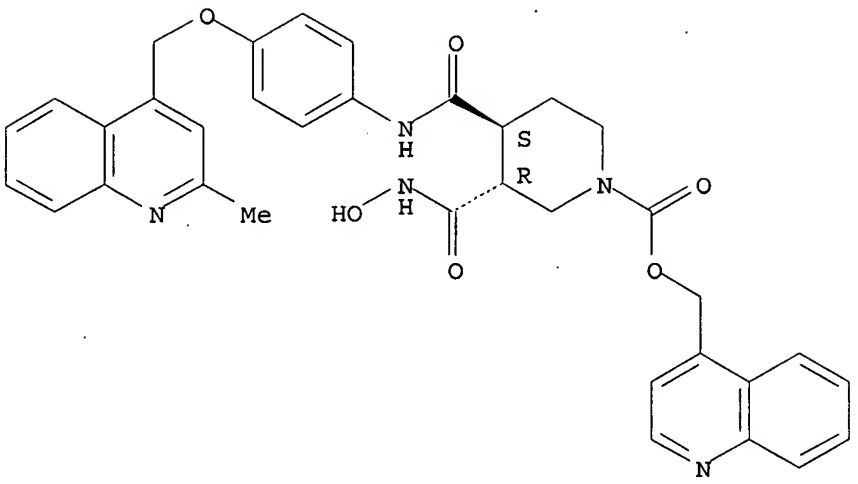
OTHER SOURCE(S): MARPAT 132:49888
GI



I

AB Title cyclic hydroxamic acids were prepared which are useful as metalloprotease inhibitors (no data). Thus, trans-1,2-cyclopentanedicarboxylic acid was amidated with 4-phenylpiperidine and treated with NH2OH to give the hydroxamide I.
IT 252918-30-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic hydroxamic acids as metalloproteinase inhibitors)
RN 252918-30-2 CA
CN 1-Piperidinecarboxylic acid, 3-[(hydroxyamino)carbonyl]-4-[[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]amino]carbonyl]-, 4-quinolinylmethyl ester, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



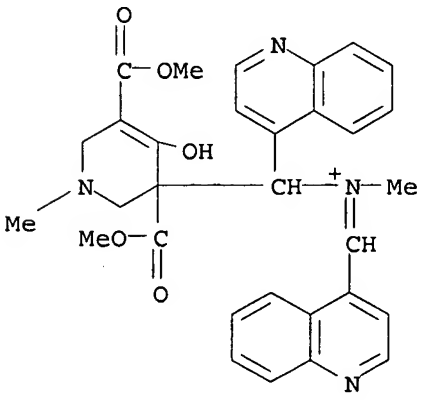
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 23 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 131:350972 CA
TITLE: Conformational and configurational behavior of κ-agonistic 3,7-diazabicyclo[3.3.1]nonan-9-ones-synthesis, nuclear magnetic resonance studies and semiempirical PM3 calculations
AUTHOR(S): Siener, Tom; Holzgrabe, Ulrike; Drosihn, Susanne; Brandt, Wolfgang
CORPORATE SOURCE: Am Hubland, Institut fur Pharmazie und Lebensmittelchemie, Universitat Wurzburg, Wurzburg, D-97074, Germany
SOURCE: Journal of the Chemical Society, Perkin Transactions 2: Physical Organic Chemistry (1999), (9), 1827-1834
CODEN: JCPKBH; ISSN: 0300-9580
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 2,4-Diaryl substituted 3,7-diazabicyclo[3.3.1]nonan-9-one 1,5-diesters were found to have a high affinity for κ-opioid receptors. To develop highly potent analgesics, the purpose of this study was the synthesis and the structural characterization of the novel 2,4-bis(4-nitrophenyl), 2,4-bis(3-nitrophenyl), 2,4-bis(4-quinolyl), 2,4-bis(2-quinolyl), 2,4-bis(1-naphthyl) and 2,4-bis(2-naphthyl) substituted 3,7-diazabicyclo[3.3.1]nonan-9-one 1,5-diesters by means of NMR spectroscopy and mol. modeling. Several derivs. undergo trans-cis isomerization of the aromatic rings linked to the rigid skeleton whereas others show rotational isomerization. Semiempirical quantum-chemical PM3 calcns. were performed to analyze the thermodn. stability of the isomers as well as the mechanism of the trans-cis or cis-trans isomerization.

IT 250339-69-6
RL: FMU (Formation, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); FORM (Formation, nonpreparative); PROC (Process); RACT (Reactant or reagent)
(synthesis, NMR studies and semiempirical PM3 calcns. of of κ-agonistic 3,7-diazabicyclo[3.3.1]nonan-9-ones)

RN 250339-69-6 CA
CN 4-Quinolinemethanaminium, N-methyl-N-(4-quinolinylmethylene)-α-[1,2,3,6-tetrahydro-4-hydroxy-3,5-bis(methoxycarbonyl)-1-methyl-3-pyridinyl]- (CA INDEX NAME)



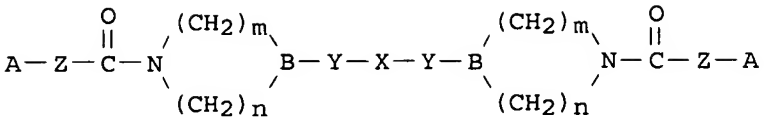
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 24 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 131:170370 CA
TITLE: Preparation of N-acyl cyclic amine compounds as inhibitors of IgE production
INVENTOR(S): Ishiwata, Hiroyuki; Sato, Seiichi; Kabeya, Mototsugu; Oda, Soichi; Hattori, Yukio; Suda, Makoto; Shibasaki, Manabu; Nakao, Hiroshi; Nagoya, Takao
PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942446	A1	19990826	WO 1999-JP659	19990216 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2320971	A1	19990826	CA 1999-2320971	19990216 <--
AU 9924408	A	19990906	AU 1999-24408	19990216 <--
AU 747815	B2	20020523		
BR 9908105	A	20001017	BR 1999-8105	19990216 <--
EP 1057815	A1	20001206	EP 1999-903925	19990216 <--
EP 1057815	B1	20070905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
HU 2001004432	A2	20020429	HU 2001-4432	19990216 <--
NZ 505912	A	20020927	NZ 1999-505912	19990216 <--
CN 1114591	B	20030716	CN 1999-803094	19990216
RU 2220140	C2	20031227	RU 2000-124097	19990216
AT 372320	T	20070915	AT 1999-903925	19990216
TW 587077	B	20040511	TW 1999-88102504	19990219
NO 2000004092	A	20000816	NO 2000-4092	20000816 <--
NO 317422	B1	20041025		
MX 2000PA08146	A	20010328	MX 2000-PA8146	20000818 <--
US 2003096828	A1	20030522	US 2002-173670	20020619
US 6645957	B2	20031111		

PRIORITY APPLN. INFO.: JP 1998-37650 A 19980219
WO 1999-JP659 W 19990216
US 2000-622586 A3 20000821

OTHER SOURCE(S): MARPAT 131:170370
GI



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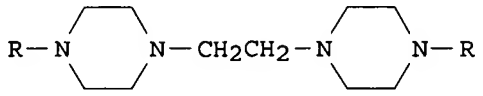
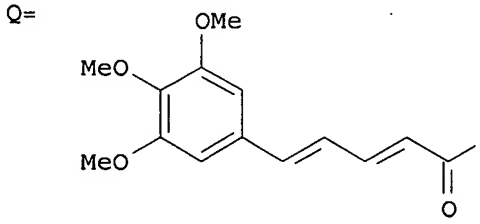


II



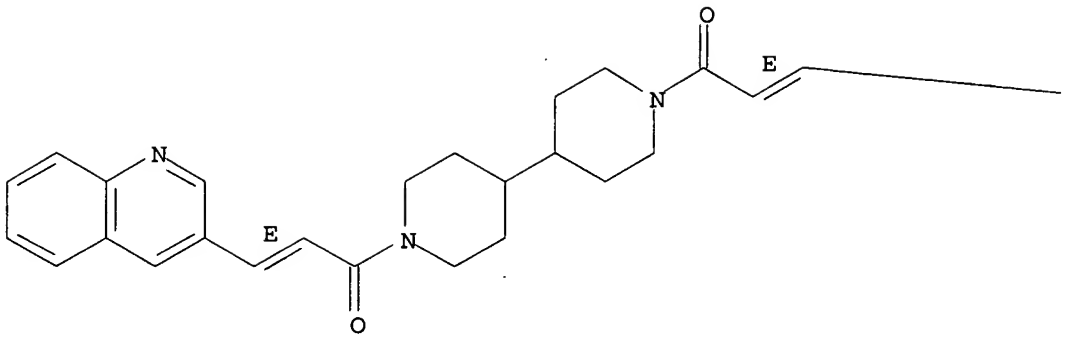
AB Cyclic amine amides such bis(N-acylpiperazine), bis(N-acylpiperidine), and bis(N-acyl-1,4-diazepine) compds. represented by general formula [I; wherein A represents an optionally substituted alicyclic, aromatic, or heterocyclic compound; B represents nitrogen or CH; X represents optionally substituted lower alkylene or optionally substituted divalent residue of alicyclic, aromatic, or heterocyclic compound; Y represents a single bond, lower alkylene, NH, lower alkylimino; Z represents CH:CH, C.tplbond.C, (CH:CH)2, C.tplbond.CCH:CH, CH:CHC.tplbond.C, or an optionally substituted divalent residue of benzene, pyridine, pyrimidine, or pyrazine; and m and n are each an integer of 1 to 4] are prepared Because of having an excellent IgE antibody production inhibitory effect, these compds. are useful as antiallergic agents for the treatment of allergic immune diseases such as asthma, atopic dermatitis, allergic rhinitis, inflammatory colon diseases, contact skin diseases, and allergic eye diseases. Thus, (E,E)-5-(3,4,5-trimethoxyphenyl)-2,4-pentadienoic acid was treated with oxalyl chloride in DMF /CH2Cl2 at room temperature for 30 min and then condensed with 1,3-bis(piperazin-1-yl)propane (II; R = H) tetrahydrochloride in the presence of diisopropylethylamine in CH2Cl2 to give II (R = Q), which at 10-6 M inhibited by 100% the production of IgE in B cell from mouse (Balb/C) spleen.

IT 239066-12-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acyl cyclic amine compds. as inhibitors of IgE production for treatment and prevention of allergic immune diseases)

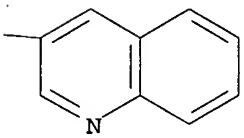
RN 239066-12-7 CA
CN 4,4'-Bipiperidine, 1,1'-bis[(2E)-1-oxo-3-(3-quinolinyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

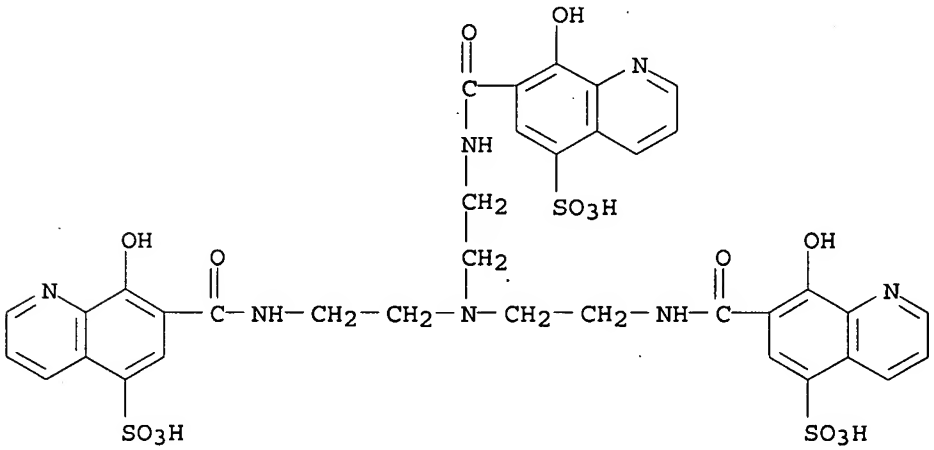


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 25 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 130:231361 CA
TITLE: Structural Characterization of a Tris-salicylate Coordination for Iron(III) with the Tripodal Ligand O-TRENSOX
AUTHOR(S): Serratrice, Guy; Baret, Paul; Boukhalfa, Hakim; Gautier-Luneau, Isabelle; Luneau, Dominique; Pierre, Jean-Louis
CORPORATE SOURCE: Laboratoire de Chimie Biomimetique, Universite Joseph Fourier, Grenoble, 38041, Fr.
SOURCE: Inorganic Chemistry (1999), 38(5), 840-841
CODEN: INOCAJ; ISSN: 0020-1669
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Tris-bidentate tripodal ligand O-TRENSOX (LH7+ in protonated form), containing three 8-hydroxyquinoline-5-sulfonate subunits connected to a tris(2-aminoethyl)amine framework via amide linkages at the ortho (7-) positions relative to their hydroxy groups, was reacted with ferric perchlorate hydrate in 1 M HClO4 to afford crystalline iron(III) complex [FeLH4]ClO4·6.5H2O. An x-ray crystal structure study revealed a facial isomer of tris-salicylate coordination for Fe(III) in slightly distorted octahedral geometry. Six O atoms coordinated to Fe(III) are H bonded either to the quinolinium or to the tertiary N atoms and create a cavity which tightly fits the metal and, consequently, stabilizes the structure in highly acidic medium (≤ 2 M HClO4).

IT 169209-68-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(complexation with iron(III))
RN 169209-68-1 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy-, trisodium salt (CA INDEX NAME)



●3 Na

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 26 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 130:191789 CA
TITLE: Glycyrrhizic acid and some of its derivatives as psychoactive agents
AUTHOR(S): Tolstikova, T. G.; Baltina, L. A.; Tolstikov, G. A.
CORPORATE SOURCE: Inst. Org. Khim., Ufimsk. Nauchnogo Tsentra Ross. Akad. Nauk, Ufa, Russia
SOURCE: Doklady Akademii Nauk (1998), 358(4), 558-560
CODEN: DAKNEQ; ISSN: 0869-5652
PUBLISHER: MAIK Nauka
DOCUMENT TYPE: Journal
LANGUAGE: Russian

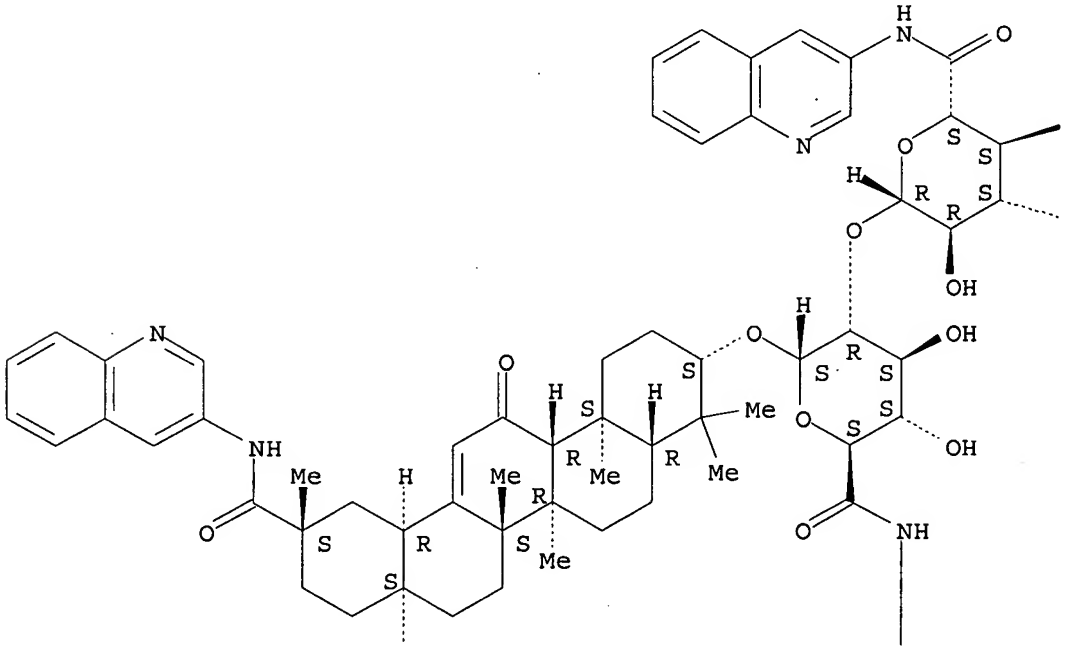
AB Psychotropic activities are reported for tris-amides of glycyrrhizic acid with 3-aminoquinoline, 6-aminoquinoline, and 2-amino-4-phenylthiazole. Tests performed included orientation response, hexenal sleep, chloral hydrate sleep, phenamine and apomorphine stereotypy, phenamin toxicity, and interactions with the tranquilizer seduxen.

IT 170277-51-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(glycyrrhizic acid and its tris-amides as psychoactive agents)

RN 170277-51-7 CA
CN α-D-Glucopyranosiduronamide, (3β,20β)-11,29-dioxo-29-(3-quinolinylamino)olean-12-en-3-yl N-3-quinolinyl-2-O-(N-3-quinolinyl-β-D-glucopyranuronamidosyl)- (9CI) (CA INDEX NAME)

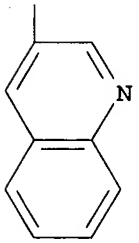
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

PAGE 2-A



L23 ANSWER 27 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 130:168399 CA
TITLE: Preparation of ring-bridged bis-quinolines for the treatment of degenerative diseases of the central nervous system
INVENTOR(S): Schohe-Loop, Rudolf; Seidel, Peter-Rudolf; Bullock, William; Feurer, Achim; Terstappen, Georg; Schuhmacher, Joachim; Vander Staay, Franz-Josef; Schmidt, Bernard; Fanelli, Richard J.; Chisholm, Jane C.; McCarthy, Richard T.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

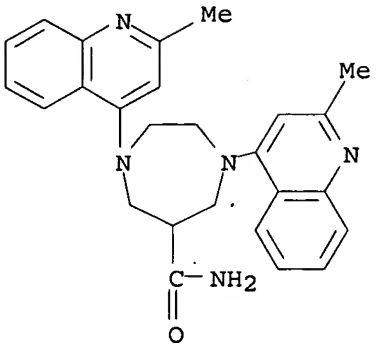
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5866562	A	19990202	US 1996-738123	19961025 <--
PRIORITY APPLN. INFO.:			US 1996-738123	19961025

OTHER SOURCE(S): CASREACT 130:168399; MARPAT 130:168399
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A, A1, D, D1, E, E1, G, G1, L, L1 = H, cyclopropyl, cyclopentyl, etc.; R1R2 = II-IV (wherein R5, R7 = H, Ph, cyclopentyl, etc.; R6 = H, Me; b = 1-3; R8, R9 = H; or R8 = H, and R9 = R5), etc.] and their salts, useful for the treatment of degenerative diseases such as dementia, were prepared Thus, general procedure for preparing bis-quinolines I was given. E.g., compound V showed Ki of 35 nM/L against 125-apamine binding to bovine cerebral membranes and 73% inhibition of the Rb efflux at 10 μM.

IT 220364-70-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ring-bridged bis-quinolines for the treatment of degenerative diseases of the central nervous system)
RN 220364-70-5 CA
CN 1H-1,4-Diazepine-6-carboxamide, hexahydro-1,4-bis(2-methyl-4-quinolinyl)- (CA INDEX NAME)



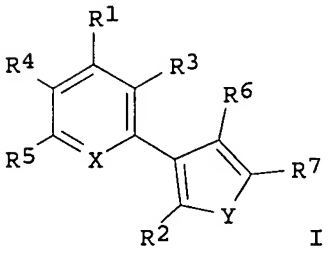
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 28 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 130:81422 CA
TITLE: Quinoline-indole antimicrobial agents
INVENTOR(S): Kumaravel, Gnanasambandam; Hoemann, Michael Z.; Melikian-Badalian, Anita; Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

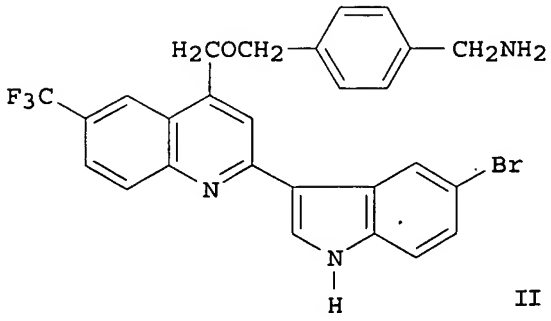
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857931	A2	19981223	WO 1998-US12762	19980618 <--
WO 9857931	A3	19990429		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, BM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6207679	B1	20010327	US 1998-45051	19980319 <--
CA 2293418	A1	19981223	CA 1998-2293418	19980618 <--
EP 991623	A2	20000412	EP 1998-930396	19980618 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
HU 2000003364	A2	20010628	HU 2000-3364	19980618 <--
HU 2000003364	A3	20020328		
JP 2002505689	T	20020219	JP 1999-504835	19980618 <--
AU 757059	B2	20030130	AU 1998-79797	19980618
NO 9906269	A	20000216	NO 1999-6269	19991217 <--

PRIORITY APPLN. INFO.: US 1997-878781 A 19970619
US 1998-45051 A2 19980319
WO 1998-US12762 W 19980618

OTHER SOURCE(S): MARPAT 130:81422
GI



I



II

AB Indolylquinolines I [X = (un)substituted CH, N, N(O), P, As; Y =

(un)substituted CH2, NH, O, Ph, S, AsH, Se; R1-R3 = H, halogen, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, NH2, NO2, SH, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, CO, CO2H, CONH2, anhydride, silyl, alkylsulfonyl, alkylseleno, aldehyde, ester, heteroalkyl, CN, epoxide, C(:NH)OH, oxime, SO2NH2, CSNH2, CS2NH2, urea, thiourea; R4R5, R6R7 = atoms required to complete a moncyclic or polycyclic ring system] were prepared individually or by combinatorial synthesis for use as bactericides. Thus, 4-H2NC6H4CO2H was esterified, N-tert-butoxycarbonylated, reduced and treated with iodine to give 4-BocNHC6H4CH2I which was coupled with the indolylquinolinemethanol fragment and deblocked to give the product II. II had MIC's <7 μg/mL against methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterobacter sp., and Streptococcus pneumoniae.

IT 218463-49-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylquinoline bactericides)

RN 218463-49-1 CA

CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

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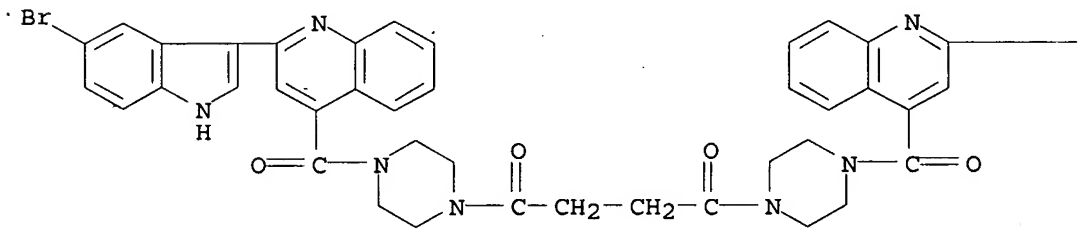

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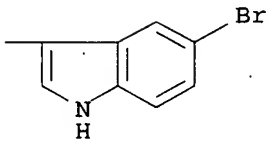
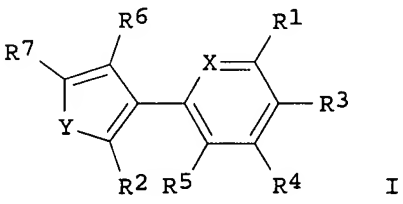


L23 ANSWER 29 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 130:81421 CA
TITLE: Preparation of indolyl(iso)quinolines as bactericides
INVENTOR(S): Kumaravel, Gnanasambandam; Hoemann, Michael Z.; Melikian-Badalian, Anita; Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Rossi, Richard F.
PATENT ASSIGNEE(S): Sepracor Inc., USA
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857952	A1	19981223	WO 1998-US12706	19980618 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9882586	A	19990104	AU 1998-82586	19980618 <--
PRIORITY APPLN. INFO.:			US 1997-878781	A2 19970619
			WO 1998-US12706	W 19980618

OTHER SOURCE(S): MARPAT 130:81421
GI



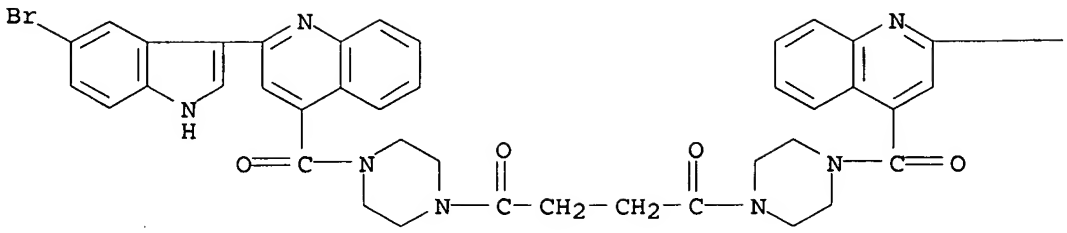
I

AB Title compds. [I; X = CR, N, NO, P, As; Y = CR2, NR, O, PR, S, AsR, Se; R,R1-R3 = H, halo, alkyl, alkoxy, etc.; R4R5,R6R7 = atoms to complete (un)substituted rings] were prepared Thus, solid-phase synthesis of a 1-(3-indolyl)isoquinoline-3-aminoalkylcarboxamide was described. Data for biol. activity of I were given.

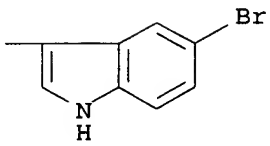
IT 218463-49-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolyl(iso)quinolines as bactericides)

RN 218463-49-1 CA
CN Piperazine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[4-[[2-(5-bromo-1H-indol-3-yl)-4-quinolinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 30 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 130:38708 CA
TITLE: Preparation of 4-(4-chlorophenyl)benzyl-A 82846B derivative and related compounds as antibiotics
INVENTOR(S): Cooper, Robin D. G.; Huff, Bret E.; Nicas, Thalia I.; Quatroche, John T.; Rodriguez, Michael J.; Snyder, Nancy J.; Staszak, Michael A.; Thompson, Richard C.; Wilkie, Stephen C.; Zweifel, Mark J.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 28 pp., Cont.-in-part of U.S. Ser. No. -356,413, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5840684	A	19981124	US 1995-410155	19950324	<--
AU 9511389	A	19950810	AU 1995-11389	19950124	<--
AU 703106	B2	19990318			
ZA 9500553	A	19960724	ZA 1995-553	19950124	<--
RU 2145609	C1	20000220	RU 1995-101039	19950124	<--
TW 457248	B	20011001	TW 1995-84100590	19950124	<--
IN 1995CA00063	A	20050311	IN 1995-CA63	19950124	
HU 68715	A2	19950728	HU 1995-230	19950125	<--
HU 225164	B1	20060728			
CA 2141106	A1	19950729	CA 1995-2141106	19950125	<--
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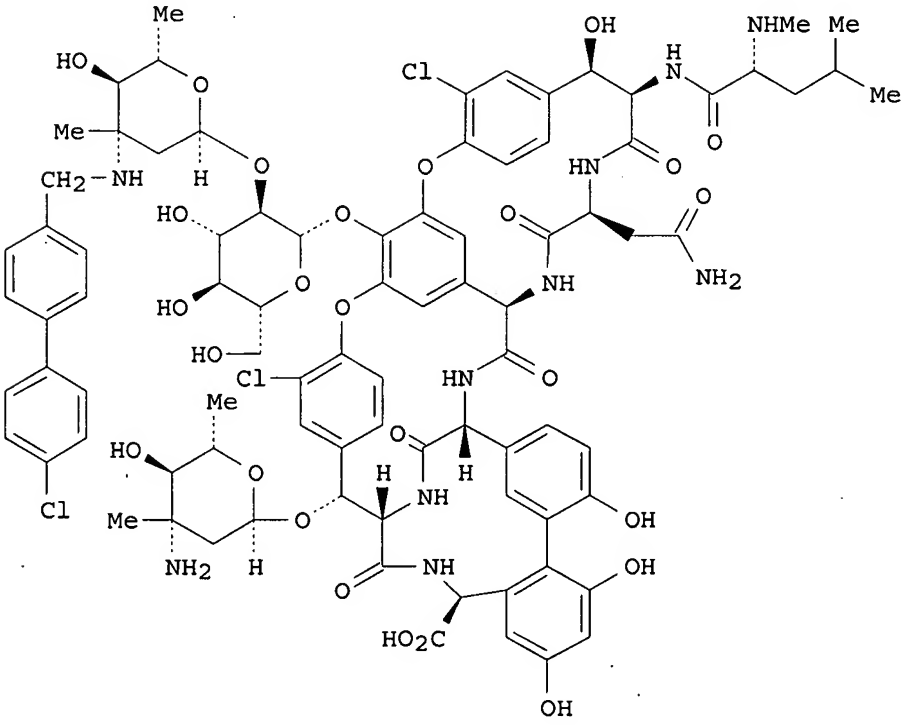
CA 2546625	A1	19950729	CA 1995-2546625	19950125	<--
CA 2546910	A1	19950729	CA 1995-2546910	19950125	<--
AT 248856	T	20030915	AT 2000-200988	19950125	
AT 253077	T	20031115	AT 1995-300429	19950125	
CZ 292895	B6	20031217	CZ 1995-184	19950125	
PT 1016670	T	20031231	PT 2000-200988	19950125	
PT 667353	T	20040331	PT 1995-300429	19950125	
ES 2204444	T3	20040501	ES 2000-200988	19950125	
AT 266042	T	20040515	AT 2000-201724	19950125	
ES 2210274	T3	20040701	ES 1995-300429	19950125	
PT 1031576	T	20040831	PT 2000-201724	19950125	
ES 2220335	T3	20041216	ES 2000-201724	19950125	
NO 9500298	A	19950731	NO 1995-298	19950126	<--
NO 323103	B1	20070102			
IL 112457	A	20040620	IL 1995-112457	19950126	
FI 9500374	A	19950729	FI 1995-374	19950127	<--
FI 117095	B1	20060615			
JP 07258289	A	19951009	JP 1995-11847	19950127	<--
JP 3756539	B2	20060315			
BR 9500365	A	19951017	BR 1995-365	19950127	<--
CN 1119649	A	19960403	CN 1995-100041	19950127	<--
CN 1071334	B	20010919			
PL 180961	B1	20010531	PL 1995-306976	19950127	<--
CA 2216167	A1	19961003	CA 1996-2216167	19960314	<--
CA 2216167	C	20070717			
WO 9630401	A1	19961003	WO 1996-US3550	19960314	<--

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN					
AU 9653121	A	19961016	AU 1996-53121	19960314	<--
EP 817797	A1	19980114	EP 1996-909713	19960314	<--
EP 817797	B1	20061227			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI					
JP 11502534	T	19990302	JP 1996-529455	19960314	<--
AT 349464	T	20070115	AT 1996-909713	19960314	
ES 2274525	T3	20070516	ES 1996-909713	19960314	
US 5843889	A	19981201	US 1997-816224	19970312	<--
US 5977062	A	19991102	US 1998-62235	19980417	<--
CZ 292921	B6	20040114	CZ 2000-1517	20000425	
FI 2005000512	A	20050513	FI 2005-512	20050513	
FI 117096	B1	20060615			
FI 2005000513	A	20050513	FI 2005-513	20050513	
FI 117097	B1	20060615			
PRIORITY APPLN. INFO.:			US 1994-189393	B2 19940128	
			US 1994-356413	B2 19941215	
			CA 1995-2141106	A3 19950125	
			CZ 1995-184	A3 19950125	
			US 1995-410155	A 19950324	
			WO 1996-US3550	W 19960314	

OTHER SOURCE(S): MARPAT 130:38708

GI



I

AB The title compound (I) and related compds., active against a wide variety of bacteria, including activity against vancomycin-resistant isolates, were prepared by condensation of A 82846B with the appropriate aldehydes in polar

solvents followed by reduction of the resulting Schiff bases with NaCH3CN. For example, a stirred mixture of 20 g A82846B acetate salt in 1000 mL MeOH was treated under N with 2.88 g 4'-chlorobiphenylcarboxaldehyde followed by 500 mL MeOH, 0.84 g NaBH3CN was added followed by 500 mL MeOH, the whole was refluxed (65°) for 25 h , pH adjusted (1N aqueous NaOH) to 9.0 (54.7°) and the product worked-up to give 22.87 g I which in vitro inhibited Staphylococcus aureus with MIC = 0.06-2 μg/mL. Approx. 288 related A 82846B derivs. were prepared and tested, and compound I was claimed. A capsule, suspension and tablet formulation containing I were given.

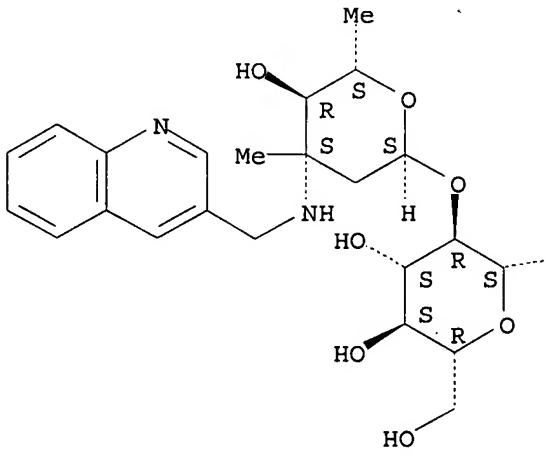
IT 183669-66-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(4-chlorophenyl)benzyl-A 82846B and related compds. as antibiotics)

RN 183669-66-1 CA

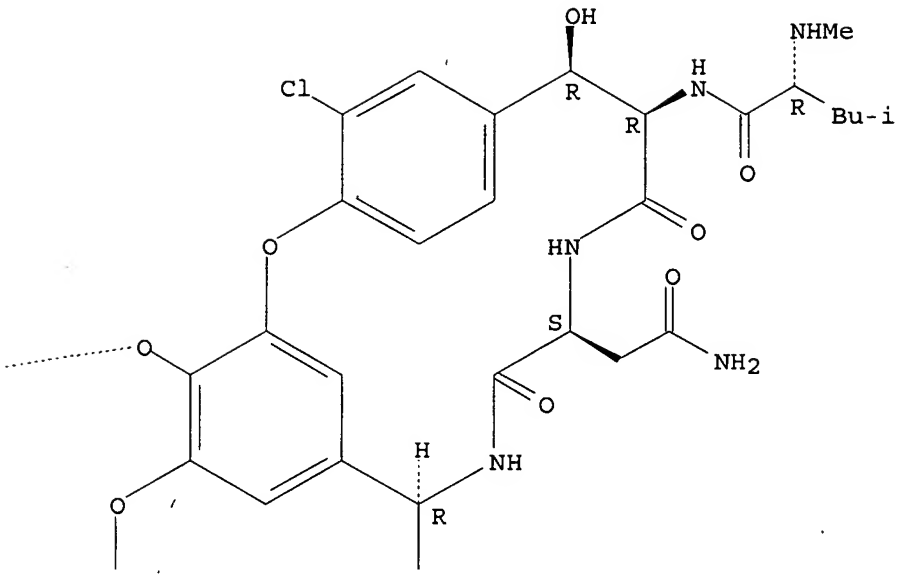
CN Vancomycin, N3''-(3-quinolinylmethyl)-22-O-[2,3,6-trideoxy-3-C-methyl-3-[(3-quinolinylmethyl)amino]-α-L-arabino-hexopyranosyl]-, (4''R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

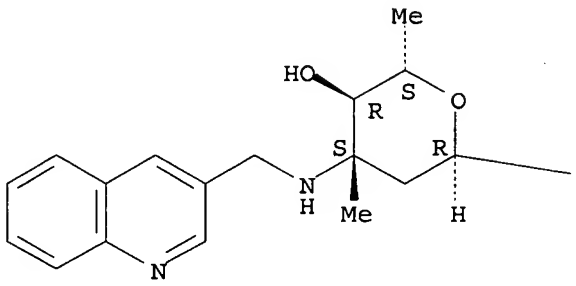


PAGE 1-B

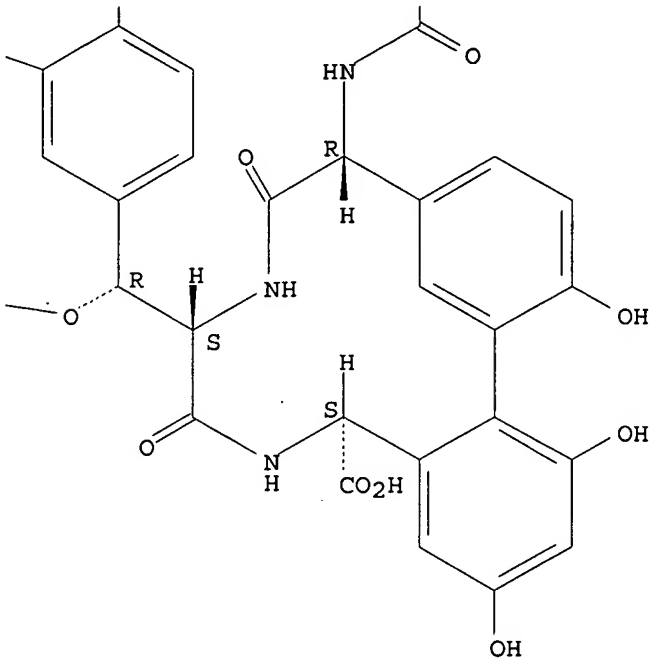


PAGE 2-A

Cl



PAGE 2-B



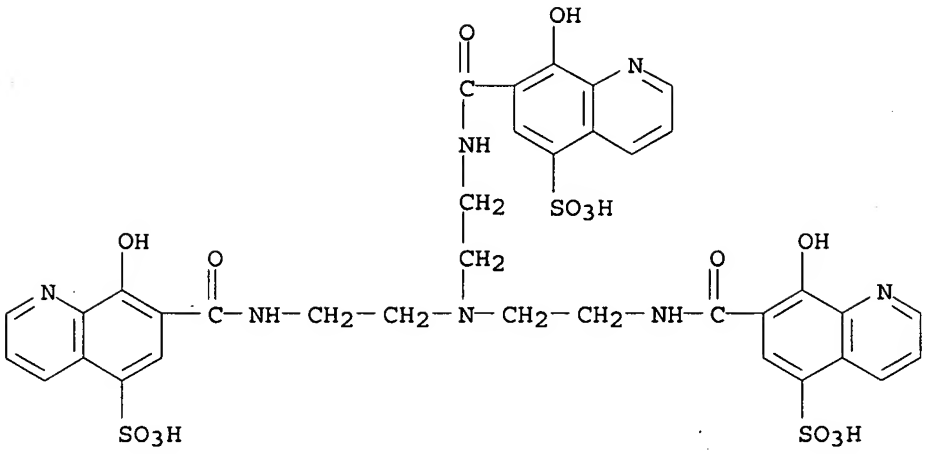
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 31 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 129:117796 CA
TITLE: Iron mobilization and cellular protection by a new synthetic chelator O-Trensox
AUTHOR(S): Rakba, Nafissa; Aouad, Fouad; Henry, Christophe; Caris, Catherine; Morel, Isabelle; Baret, Paul; Pierre, Jean-Louis; Brissot, Pierre; Ward, Roberta J.; Lescoat, Gerard; Crichton, Robert R.
CORPORATE SOURCE: Inserm U 49, Unite de Recherches Hepatologiques, Rennes, Fr.
SOURCE: Biochemical Pharmacology (1998), 55(11), 1797-1806
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We tested a new synthetic, 8-hydroxyquinoline-based, hexadentate iron chelator, O-Trensox and compared it with desferrioxamine B (DFO). Iron mobilization was evaluated: (i) in vitro by using ferritin and hemosiderin; DFO mobilized iron much more rapidly from ferritin at pH 7.4 than did O-Trensox, whereas at pH 4, ferritin and hemosiderin iron mobilization was very similar with both chelators; (ii) in vitro by using cultured rat hepatocytes which had been loaded with 55Fe-ferritin; here DFO was slightly more effective after 100 h than O-Trensox; (iii) in vivo administration i.p. to rats which had been iron-loaded with iron dextran; O-Trensox mobilized 51.5% of hepatic iron over two weeks compared to 48.8% for DFO.. We also demonstrated the effect of O-Trensox in decreasing the entry of 55Fe citrate into hepatocyte cultures. The protective effect of O-Trensox against iron toxicity induced in hepatocyte cultures by ferric citrate was shown by decreased release of the enzymes lactate dehydrogenase (LDH), aspartate aminotransferase (AST), and alanine aminotransferase (ALT) from the cultures and, using ESR (EPR) measurements, decreased production of lipid radicals. O-Trensox was more effective than DFO in quenching hydroxyl radicals in an acellular system.

IT 169209-68-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(iron mobilization and cellular protection by synthetic chelator O-Trensox)

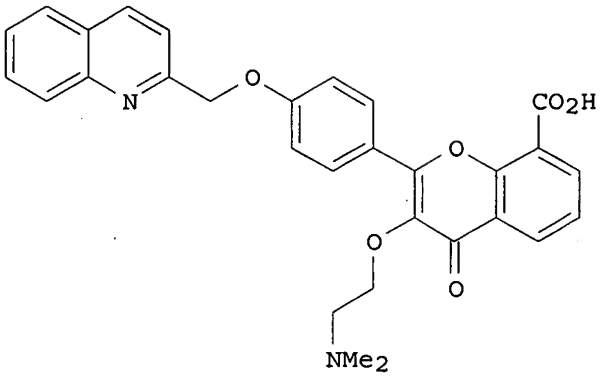
RN 169209-68-1 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy-, trisodium salt (CA INDEX NAME)



●3 Na

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 32 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 129:16039 CA
TITLE: Synthesis of 3- and 5'-substituted flavone-8-carboxylic acids as "three-armed" leukotriene CysLT1 receptor antagonists
AUTHOR(S): Zwaagstra, Mariel E.; Korthouwer, Ronald E. M.; Timmerman, Henk; Zhang, Ming-Qiang
CORPORATE SOURCE: Division of Medicinal Chemistry, Leiden-Amsterdam Center for Drug Research, Vrije Universiteit, Amsterdam, 1081, Neth.
SOURCE: European Journal of Medicinal Chemistry (1998), 33(2), 95-102
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

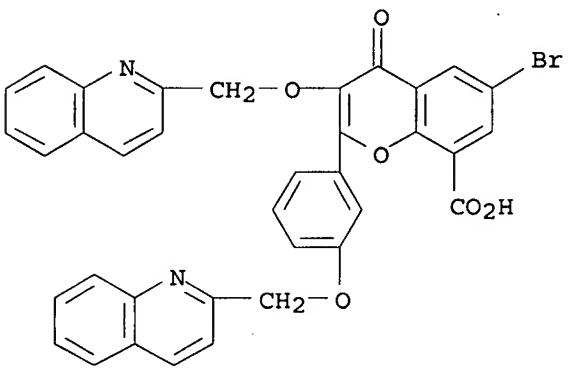
AB Mol. modeling of leukotriene CysLT1 receptor antagonists have suggested that in addition to the two binding sites for a lipophilic and an acidic group, the receptor has a "third pocket" to accommodate "three-armed" ligands such as montelukast. Based on the most rigid CysLT1 receptor antagonist 3'-[2-(2-quinolinyl)ethenyl]flavone-8-carboxylic acid, the authors have synthesized 3- and 5'-substituted flavone derivs. to probe this addnl. binding pocket. Introduction of large substituents, e.g. 2-quinolinylmethoxy, to the C5' position of the flavone skeleton abolished the CysLT1 receptor affinity whereas the same modification at the C3 position yielded a potent CysLT1 antagonist. This observation implies that the third binding pocket of the receptor has considerable steric tolerance, probably corresponding to the substituents at C3 of the flavone skeleton. Further modification by introducing a C3 substituent containing a basic nitrogen resulted in flavonecarboxylic acid I with potent H1 antihistaminic activity although the CysLT1 antagonistic activity was much reduced. Further study on the CysLT1 receptor recognition of three-armed antagonists may facilitate the design of more effective antiasthmatic agents, e.g. dual antagonists of histamine H1 and leukotriene CysLT1 receptors.

IT 207617-44-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and cysteinyl-leukotriene receptor antagonist activity of flavonecarboxylic acids)

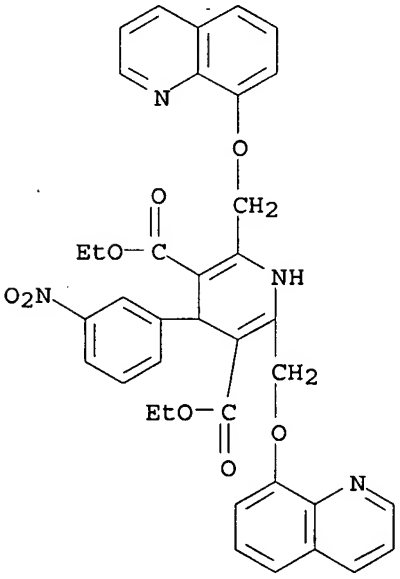
RN 207617-44-5 CA

CN 4H-1-Benzopyran-8-carboxylic acid, 6-bromo-4-oxo-3-(2-quinolinylmethoxy)-2-[3-(2-quinolinylmethoxy)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 33 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 128:243923 CA
TITLE: Synthesis of 2-mono and 2,6-disubstituted methyl-1,4-dihydropyridines
AUTHOR(S): Rastgar Mirzaei, Yousef; Akbari Dilmaghani, Karim
CORPORATE SOURCE: Organic Synthesis Research Lab., Faculty of Chemistry, Tabriz University, Tabriz, 51664, Iran
SOURCE: Iranian Journal of Chemistry & Chemical Engineering (1997), 16(1), 33-35
CODEN: IJCEE9; ISSN: 1021-9986
PUBLISHER: Jahad Daneshgahi
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The 2-mono and 2,6-disubstituted methyl-1,4- dihydropyridines were synthesized by reaction of morpholine, thiophenol, 8-hydroxyquinoline, 2-naphthol and 2-mercapto-1-methylimidazole with 2-bromo-1,4-dihydropyridines and 2,6-dibromo-1,4-dihydropyridines.
IT 204852-02-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 204852-02-8 CA
CN 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-4-(3-nitrophenyl)-2,6-bis[(8-quinolinyloxy)methyl]-, diethyl ester (9CI) (CA INDEX NAME)



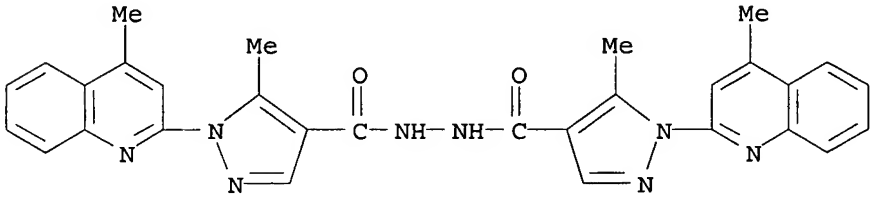
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 34 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 128:217320 CA
TITLE: Iodobenzene diacetate mediated synthesis of N,N'-diacylhydrazines: a convenient synthesis of 1,3,4-oxadiazoles
AUTHOR(S): Singh, Shiv P.; Batra, Hitesh; Sharma, Pawan K.
CORPORATE SOURCE: Dep. Chem., Kurukshetra Univ., Haryana, 119, India
SOURCE: Journal of Chemical Research, Synopses (1997), (12), 468-469
CODEN: JRPSDC; ISSN: 0308-2342
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:217320

AB Iodobenzene diacetate was an excellent reagent for the oxidation of acid hydrazides to N,N'-diacylhydrazines, which undergo ready cyclization to yield oxadiazoles.

IT 204260-44-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(iodobenzene diacetate mediated preparation of N,N'-diacylhydrazines and a convenient preparation of 1,3,4-oxadiazoles)

RN 204260-44-6 CA
CN 1H-Pyrazole-4-carboxylic acid, 5-methyl-1-(4-methyl-2-quinolinyl)-, 2-[[5-methyl-1-(4-methyl-2-quinolinyl)-1H-pyrazol-4-yl]carbonyl]hydrazide (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 35 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 128:192678 CA
TITLE: Preparation of diamide compounds as IgE production inhibitors
INVENTOR(S): Ishiwata, Hiroyuki; Kabeya, Mototsugu; Shigyo, Hiromichi; Shiratsuchi, Masami; Hattori, Yukio; Nakao, Hiroshi; Nagoya, Takao; Sato, Seiichi; Oda, Soichi; et al.
PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9807702	A1	19980226	WO 1997-JP2882	19970820 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9738668	A	19980306	AU 1997-38668	19970820 <--
EP 926138	A1	19990630	EP 1997-935832	19970820 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6340682	B1	20020122	US 1999-147711	19990223 <--
US 2002042414	A1	20020411	US 2001-978102	20011017 <--
US 6828316	B2	20041207		

PRIORITY APPLN. INFO.:	JP 1996-222770	A	19960823
	WO 1997-JP2882	W	19970820
	US 1999-147711	A3	19990223

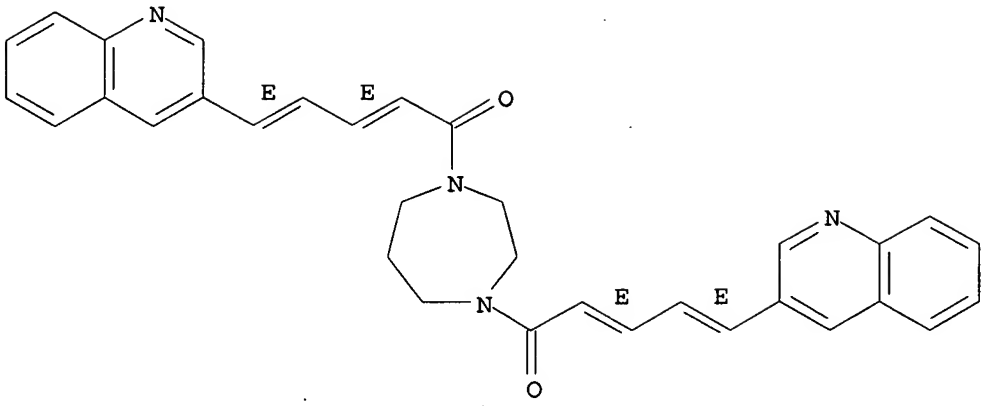
OTHER SOURCE(S): MARPAT 128:192678

AB Diamide derivs. ABCOWCOBA [A represents optionally substituted Ph, etc.; B represents CH:CH, C.tplbond.C, phenylene, etc.; and W represents 1,4,8-triazabicyclo[4,4,0]decane, etc.] are prepared The title compds. are useful as antiallergic agents, etc. Thus, 1,4-bis[5-phenylpenta-(2E,4E)-dienoyl]hexahydro-1,4-diazepine at 10-5 M gave 100% inhibition of IgE production in B cells.

IT 203721-30-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diamide compds. as IgE production inhibitors)

RN 203721-30-6 CA
CN 1H-1,4-Diazepine, hexahydro-1,4-bis[1-oxo-5-(3-quinolinyl)-2,4-pentadienyl]-, (all-E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



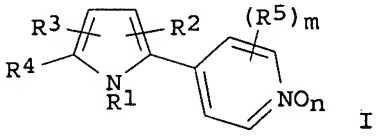
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 36 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 128:140613 CA
TITLE: Preparation of pyridylpyrroles as interleukin and tumor necrosis factor antagonists.
INVENTOR(S): Kawai, Akiyoshi; Kawai, Makoto; Murata, Yoshinori; Takada, Junji; Sakakibara, Minoru
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9802430	A1	19980122	WO 1997-IB703	19970616 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2260213	A1	19980122	CA 1997-2260213	19970616 <--
CA 2260213	C	20050329		
AU 9730441	A	19980209	AU 1997-30441	19970616 <--
EP 912548	A1	19990506	EP 1997-925215	19970616 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9710352	A	19990817	BR 1997-10352	19970616 <--
JP 2000514808	T	20001107	JP 1998-505790	19970616 <--
JP 3455230	B2	20031014		
IN 1997DE01918	A	20050311	IN 1997-DE1918	19970709
US 2002049235	A1	20020425	US 1999-214573	19991210 <--
US 6417202	B2	20020709		

PRIORITY APPLN. INFO.: WO 1996-IB671 A 19960711
WO 1997-IB703 W 19970616

OTHER SOURCE(S): MARPAT 128:140613
GI



I

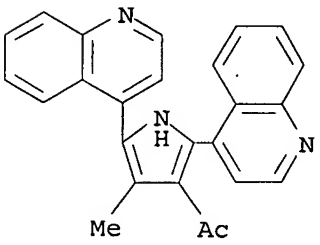
AB Title compds. [I; R1 = H, R6, R6NH, R6CO, R6NHCO, Ar, ArNH, ArCO, etc.; Ar = (substituted) Ph, naphthyl, pyridyl, quinolyl, thienyl, furyl, pyrrolyl, indolyl, benzothienyl, benzofuryl; R6 = (halo)alkyl; R2, R4 = H, halo, R6, alkenyl, alkynyl, R6NH, R6O, R6S, R6SO, R6SO2, 1,4-dioxa-8-azaspiro[4,5]decanyl, etc.; R3 = alkenyl, alkynyl, halo, hydroxyalkyl, Ar, CHO, CO2H, tetrazolyl, triazolyl, imidazolyl, oxazolyl, thiazolyl, R6CO, R6CONH, ArCONH, etc.; 2 of R2-R4 = atoms to form (substituted) 5-8 membered rings; R5 = H, halo, R6, Ar, ArO, ArS, ArNH,, ArCO, R6CO, R6O2C, R6NHCO, etc.; 2 adjacent R5 = atoms to form a (substituted) fused benzene ring; m = 0-4; n = 0, 1], were prepared Thus, 4-pyridinecarboxaldehyde, 2,4-pentanedione, aqueous NH3, and EtOH were refluxed together to give 41%

3-acetyl-4-methyl-2,5-di(4-pyridyl)-1H-pyrrole. Tested I inhibited TNFα biosynthesis with IC50 = 100 nM-10 μM.

IT 202285-20-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylpyrroles as interleukin and tumor necrosis factor antagonists)

RN 202285-20-9 CA

CN Ethanone, 1-(4-methyl-2,5-di-4-quinolinyl-1H-pyrrol-3-yl)- (CA INDEX NAME)



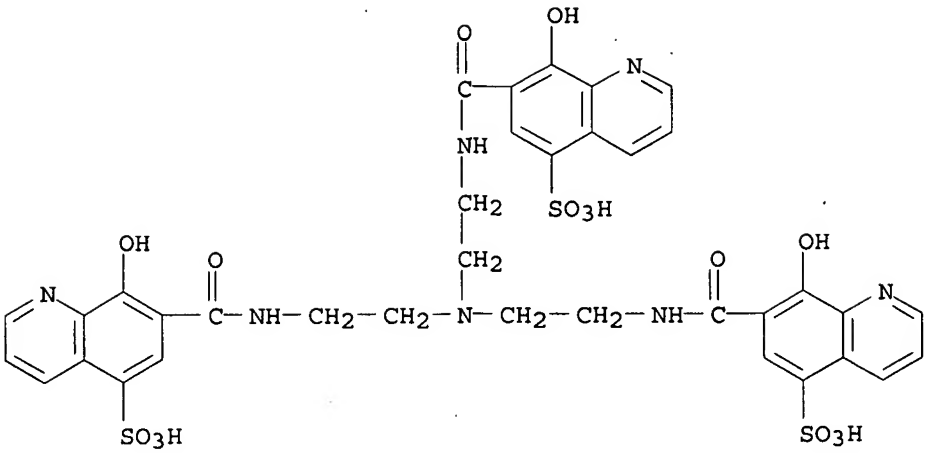
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 37 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 127:239481 CA
TITLE: O-TRENSOX, a New Tripodal Iron Chelator Based on 8-Hydroxyquinoline Subunits: Thermodynamic and Kinetic Studies
AUTHOR(S): Serratrice, Guy; Boukhalfa, Hakim; Beguin, Claude; Baret, Paul; Caris, Catherine; Pierre, Jean-Louis
CORPORATE SOURCE: Laboratoire de Chimie Biomimetique, Universite Joseph Fourier, Grenoble, Fr.
SOURCE: Inorganic Chemistry (1997), 36(18), 3898-3910
CODEN: INOCAJ; ISSN: 0020-1669
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The thermodn. stability of Fe(III) complexes with a new hexadentate tripodal ligand (O-TRENSOX) incorporating three 8-hydroxyquinoline ("oxine") subunits, linked to a tetraamine ("TREN") via an amide connection, has been investigated by the use of UV-vis spectrophotometry and potentiometric methods. O-TRENSOX has been found to form, at pH < 1, a protonated complex FeLH52+ (orange color) which deprotonates, over the pH range 1-2, to a green complex FeLH2- through a four-proton process. The first protonation constant of ferric O-TRENSOX has been determined to be 5.60. The stability constant log β110 has been determined to be 30.9. A pFe (pFe = - log [Fe3+]) value of 29.5 has been calculated at pH = 7.4, [ligand]tot = 10 μM, αvδ [Fe3+]tot = 1 μM, indicating that O-TRENSOX is one of the most powerful among the iron synthetic chelators. Cyclic voltammetry expts. have shown that the system FeIII-O-TRENSOX/FeII-O-TRENSOX is quasi reversible, with a redox potential of 0.087 V vs NHE. This value is related to the high complexing ability of O-TRENSOX for both the ferric and ferrous iron redox states, making it relevant for biol. uses. The kinetics of formation and acid hydrolysis of the ferric O-TRENSOX complex have been investigated in acidic medium using the diode array stopped-flow spectrophotometry technique in 2.0 M NaClO4/HClO4 at 25 °. The determining step for the complex formation involves the reaction of FeOH2+ with the LH7+ ligand species, with a rate constant of 789 ± 17 M-1 s-1. The acid hydrolysis of the FeLH2- complex in 0.02-1.0 M HClO4 and ionic strength 2.0 M NaClO4/HClO4 leads to the FeLH52+ complex, indicating that O-TRENSOX is a very strong chelating agent for Fe(III) in acidic medium. The kinetic data have been interpreted by a stepwise mechanism related to the successive protonation of four binding sites. The spectroscopic change is consistent with removal of one arm of the ligand followed by a shift from a bis(oxinate) to a bis(salicylate) mode of coordination.

IT 169209-68-1, O-TRENSOX
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(thermodn. and kinetic studies of tripodal iron chelator TRENSOX based on hydroxyquinoline subunits)

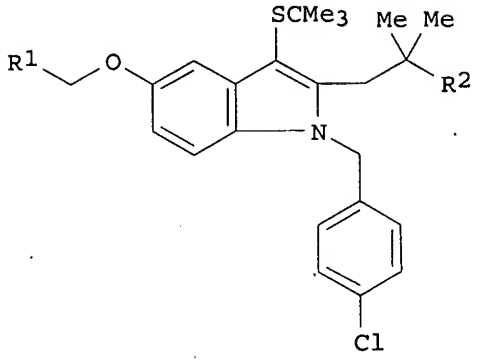
RN 169209-68-1 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy-, trisodium salt (CA INDEX NAME)



● 3 Na

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 38 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 126:305526 CA
TITLE: Synthesis of indolylalkoxyiminoalkylcarboxylates as leukotriene biosynthesis inhibitors
AUTHOR(S): Kolasa, Teodozyj; Bhatia, Pramila; Brooks, Clint D. W.; Hulkower, Keren I.; Bouska, Jennifer B.; Harris, Richard R.; Bell, Randy L.
CORPORATE SOURCE: Immunoscience Research, D-47K, Abbott Laboratories, 100 Abbott Park, IL, 60064-3500, USA
SOURCE: Bioorganic & Medicinal Chemistry (1997), 5(3), 507-514
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

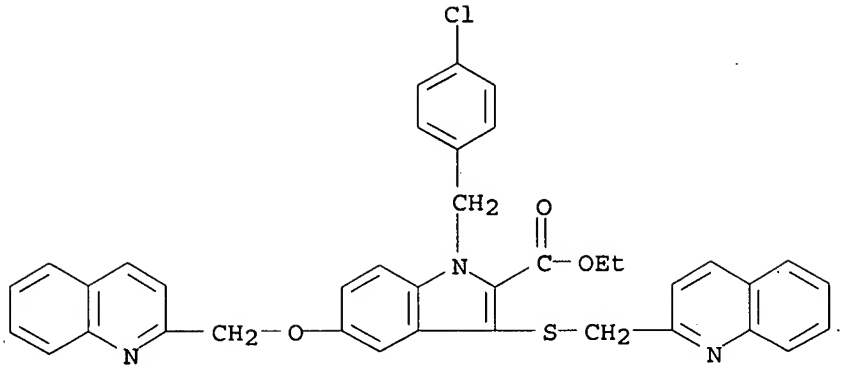


I

AB A series of substituted indolylalkoxyiminoalkylcarboxylates, e.g., I (R1 = 2-quinolinyl, 2-pyridyl, 4-thiazolyl, 2-benzothiazolyl, R2 = CH2ON:CHCO2H, CH2ON:CMeCO2H), were found to be potent leukotriene biosynthesis inhibitors. The structure-activity relationships were investigated. Representative potent inhibitors identified were the quinolyl I (R1 = 2-quinolinyl, R2 = CH2ON:CHCO2H) (A-86885) and pyridyl I (R1 = 2-pyridyl, R2 = R2 = CH2ON:CHCO2H) (A-86886) congeners with in vitro IC50s of 21 and 9 nM and in vivo leukotriene inhibition in the rat with oral ED50s of 0.9 and 1.7 mg/kg, resp.

IT 168018-36-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and leukotriene biosynthesis inhibitory activity of indolyliminoacetic and -propionic acid derivs. and structure activity)

RN 168018-36-8 CA
CN 1H-Indole-2-carboxylic acid, 1-[(4-chlorophenyl)methyl]-5-(2-quinolinylmethoxy)-3-[(2-quinolinylmethyl)thio]-, ethyl ester (CA INDEX NAME)


Cl
CH2
O
C
OEt
N
CH2
O
S
CH2
N
N

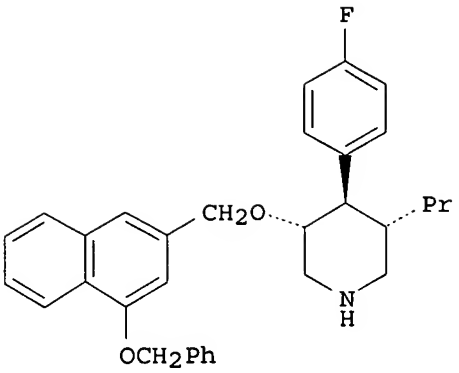
L23 ANSWER 39 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 126:277402 CA
TITLE: New 4-aryl-3-aralkoxypiperidines and -azabicylooctanes for treating heart and kidney insufficiency
INVENTOR(S): Binggeli, Alfred; Breu, Volker; Bur, Daniel; Fischli, Walter; Gueller, Rolf; Hirth, Georges; Maerki, Hans-Peter; Mueller, Marcel; Oefner, Christian; Stadler, Heinz; Vieira, Eric; Wilhelm, Maurice; Wostl, Wolfgang
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 492 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9709311	A1	19970313	WO 1996-EP3803	19960829 <--
W: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG, TR				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IN 1996MA01426	A	20050304	IN 1996-MA1426	19960813
CA 2230931	A1	19970313	CA 1996-2230931	19960829 <--
AU 9667432	A	19970327	AU 1996-67432	19960829 <--
AU 708616	B2	19990805		
EP 863875	A1	19980916	EP 1996-927715	19960829 <--
EP 863875	B1	20030604		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1202152	A	19981216	CN 1996-197674	19960829 <--
JP 11500447	T	19990112	JP 1997-510837	19960829 <--
JP 3648251	B2	20050518		
BR 9610385	A	19990706	BR 1996-10385	19960829 <--
HU 9900926	A2	19990928	HU 1999-926	19960829 <--
HU 9900926	A3	20021228		
NZ 315677	A	20000228	NZ 1996-315677	19960829 <--
RU 2167865	C2	20010527	RU 1998-106388	19960829 <--
AT 242213	T	20030615	AT 1996-927715	19960829
IL 123293	A	20030624	IL 1996-123293	19960829
CZ 292327	B6	20030917	CZ 1998-684	19960829
PT 863875	T	20031031	PT 1996-927715	19960829
ES 2201192	T3	20040316	ES 1996-927715	19960829
PL 193686	B1	20070330	PL 1996-325425	19960829
ZA 9607424	A	19970307	ZA 1996-7424	19960902 <--
TW 474932	B	20020201	TW 1996-85110684	19960902 <--
NO 9800954	A	19980428	NO 1998-954	19980305 <--
NO 310069	B1	20010514		
US 6051712	A	20000418	US 1999-255185	19990222 <--
HK 1016177	A1	20060901	HK 1999-101299	19990330
US 6150526	A	20001121	US 1999-456283	19991207 <--

PRIORITY APPLN. INFO.:

CH 1995-2548	A	19950907
CH 1996-1876	A	19960726
WO 1996-EP3803	W	19960829
US 1996-711339	A3	19960906
US 1999-255185	A1	19990222

OTHER SOURCE(S): MARPAT 126:277402
GI



I

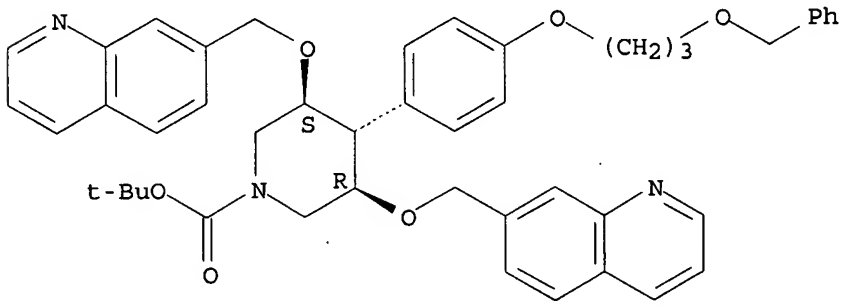
AB New piperidine and azabicyclooctane derivs. (> 1000 compds.) are renin inhibitors for treatment of high blood pressure, heart and kidney insufficiency. Thus, the piperidine derivative I was prepared from 1-benzyl-3-propyl-4-piperidinone by reaction with 4-FC6H4Br, followed by 1-benzyloxy-3-chloromethylnaphthalene and deblocking. I had a renin-inhibiting IC50 of 0.317 μM.

IT 188874-62-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidine and azabicyclooctane derivs. as renin inhibitors)

RN 188874-62-6 CA

CN 1-Piperidinecarboxylic acid, 4-[4-[3-(phenylmethoxy)propoxy]phenyl]-3,5-bis(7-quinolinylmethoxy)-, 1,1-dimethylethyl ester, (3α,4β,5α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



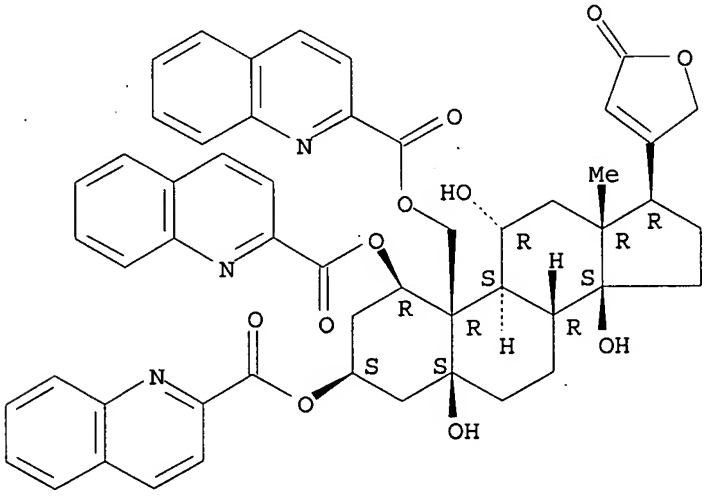
L23 ANSWER 40 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 126:209228 CA
TITLE: Nanogram-scale derivatization of hydroxy groups for highly sensitive HPLC/MS/CD detection
AUTHOR(S): Zhao, Ning; Guo, Jin-Song; Lo, Lee-Chiang; Berova, Nina; Nakanishi, Koji; Haupert, Garner T.; Warrack, M.; Tymiak, Adrienne A.
CORPORATE SOURCE: Dep. Chem., Columbia Univ., New York, NY, 10027, USA
SOURCE: Chemical Communications (Cambridge) (1997), (1), 43-44
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A strategy for performing submicrogram-scale structural studies of saponins and related compds. is worked out by: (i) naphthoylation to sensitize HPLC detection by fluorescence as well as configurational studies by exciton coupled CD; and (ii) ω-cyanoundecanoylation to increase LC/MS sensitivity (.apprx.100-fold).

IT 188055-77-8P
RL: ANT (Analyte); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)
(nanogram-scale derivatization of hydroxy groups for highly sensitive HPLC/MS/CD detection)

RN 188055-77-8 CA
CN Card-20(22)-enolide, 5,11,14-trihydroxy-1,3,19-tris[(2-quinolinylcarbonyl)oxy]-, (1β,3β,5β,11α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

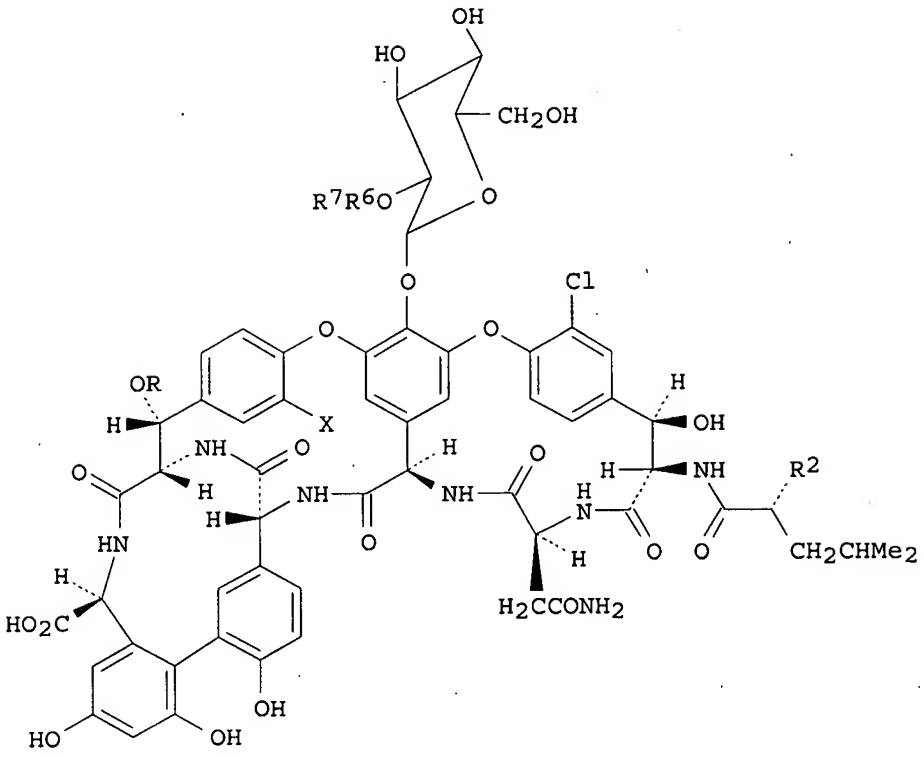


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 41 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 126:19338 CA
TITLE: Preparation of glycopeptide antibiotic derivatives
INVENTOR(S): Cooper, Robin D. G.; Huff, Bret E.; Nicas, Thalia I.; Quatroche, John T.; Rodriguez, Michael J.; Snyder, Nancy J.; Staszak, Michael A.; Thompson, Richard C.; Wilkie, Stephen C.; Zweifel, Mark J.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630401	A1	19961003	WO 1996-US3550	19960314 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5840684	A	19981124	US 1995-410155	19950324 <--
CA 2216167	A1	19961003	CA 1996-2216167	19960314 <--
CA 2216167	C	20070717		
AU 9653121	A	19961016	AU 1996-53121	19960314 <--
EP 817797	A1	19980114	EP 1996-909713	19960314 <--
EP 817797	B1	20061227		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 11502534	T	19990302	JP 1996-529455	19960314 <--
PRIORITY APPLN. INFO.:			US 1995-410155 A2	19950324
			US 1994-189393 B2	19940128
			US 1994-356413 B2	19941215
			WO 1996-US3550 W	19960314

OTHER SOURCE(S): MARPAT 126:19338
GI



I

AB The present invention provides glycopeptide antibiotic derivative compds. [I; X = H, Cl; R = N-R7a-(un)substituted 4-epivancosaminyl; R2 = NMeR7b; R6 = N-R7-(un)substituted 4-epivancosaminyl; R7, R7a, R7b = H, C2-16 alkenyl, C2-12 alkynyl, C1-12 alkyl-R8, C1-12 haloalkyl, C2-6 alkenyl-R8, C2-6 alkynyl-R8, C1-12 alkoxy-R8; provided that R7 = R7a = R7b ≠ H; R8 = (un)substituted multicyclic aryl, heteroaryl, Ph, or C4-10 cycloalkyl, etc.]. These derivative compds. possess antibacterial activity against a wide variety of bacteria, including activity against vancomycin-resistant isolates. In general, I were prepared by reductive alkylation of the glycopeptide A82846B, i.e. I (R = R1 = 4-epivancosaminyl, R2 = R6 = H, R4 = CH2CHMe2, CH2CONH2, X = Y = Cl), with aldehydes. I [R = R1 = N-(4-nitrobenzyl)-4-epivancosaminyl, R2 = R6 = H, R4 = CH2CHMe2, CH2CONH2, X = Y = Cl] showed min. inhibitory concentration of ≤0.06, ≤0.06, ≤0.06, and 0.5 μg/mL against Staphylococcus aureus 446, Enterococcus faecalis 276, E. gallinarum 245, and Escherichia coli EC14, resp. Tablets containing 200 mg I.HCl [R = 4-epivancosaminyl, R1 = N-[4-(4-chlorophenyl)benzyl]-4-epivancosaminyl, R2 = R6 = H, R4 = CH2CHMe2, CH2CONH2, X = Y = Cl] were formulated.

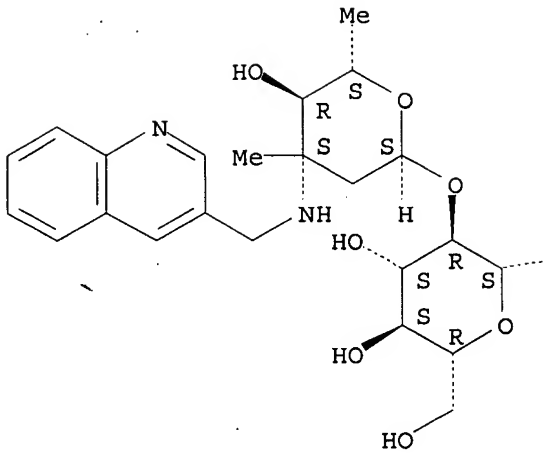
IT 183669-66-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of glycopeptide antibiotic derivs. as antibacterial agents)

RN 183669-66-1 CA

CN Vancomycin, N3''-(3-quinolinylmethyl)-22-O-[2,3,6-trideoxy-3-C-methyl-3-[(3-quinolinylmethyl)amino]-α-L-arabino-hexopyranosyl]-, (4''R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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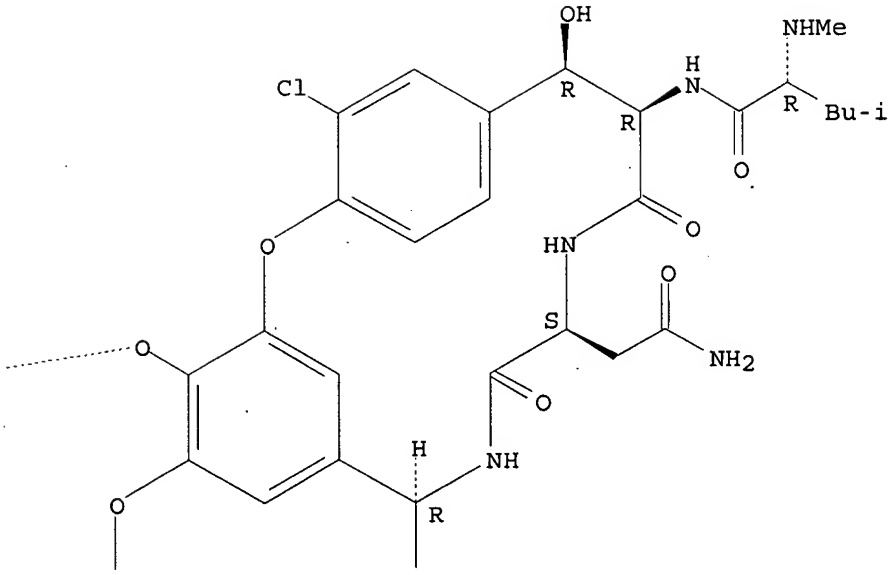

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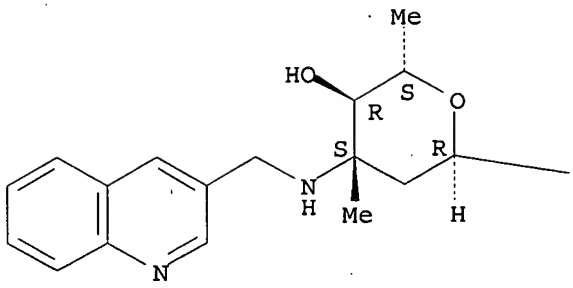
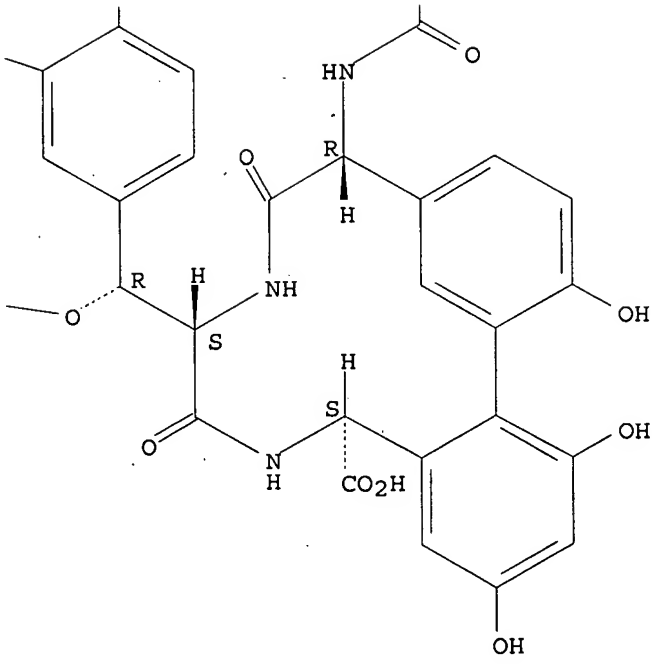


PAGE 2-B

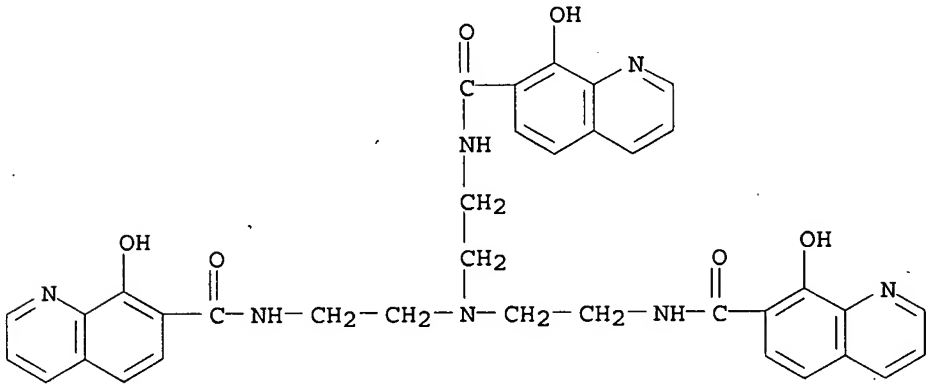


L23 ANSWER 42 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 124:343079 CA
TITLE: Synthesis and NMR study of two lipophilic iron(III) sequestering agents based on 8-hydroxyquinoline; H-bonding and conformational changes
AUTHOR(S): Caris, Catherine; Baret, Paul; Pierre, Jean-Louis; Serratrice, Guy
CORPORATE SOURCE: Lab. Chimie Biomimetique, Univ. Joseph Fourier, Grenoble, 38041, Fr.
SOURCE: Tetrahedron (1996), 52(13), 4659-72
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:343079

AB The synthesis of two tripodal iron chelating agents based on 8-hydroxyquinoline is described. The ligands consist of tris(2-aminoethylamine) (spacer) linked in 2- or 7-position to three 8-hydroxyquinoline units (allowing the complexation of iron). NMR study of these ligands in DMSO-d6 solns. evidence intramol. H-bond networks inducing conformational changes in relation to the protonation state of the tertiary amine.

IT 169209-67-0P, O-Trenox
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (synthesis and NMR study of two lipophilic iron(III) sequestering agents based on 8-hydroxyquinoline)

RN 169209-67-0 CA
CN 7-Quinolinecarboxamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[8-hydroxy- (9CI) (CA INDEX NAME)



L23 ANSWER 43 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 124:246404 CA
TITLE: Electrophotographic photoreceptor containing disazo pigment as charge-generating agent
INVENTOR(S): Hanatani, Yasuyuki; Kimoto, Keizo; Iwasaki, Hiroaki; Sakai, Hirosuke; Tanaka, Tomoki; Sugase, Ayako
PATENT ASSIGNEE(S): Mita Industrial Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07325416	A	19951212	JP 1994-118727	19940531 <--

PRIORITY APPLN. INFO.: JP 1994-118727 19940531
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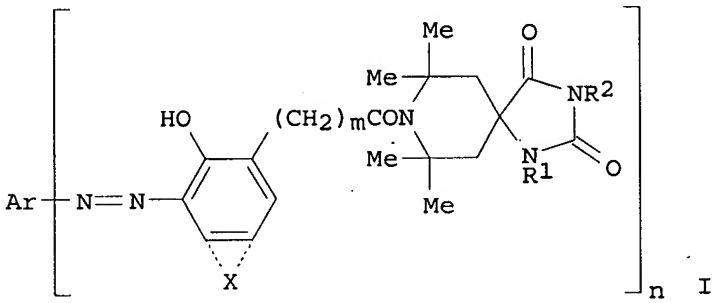


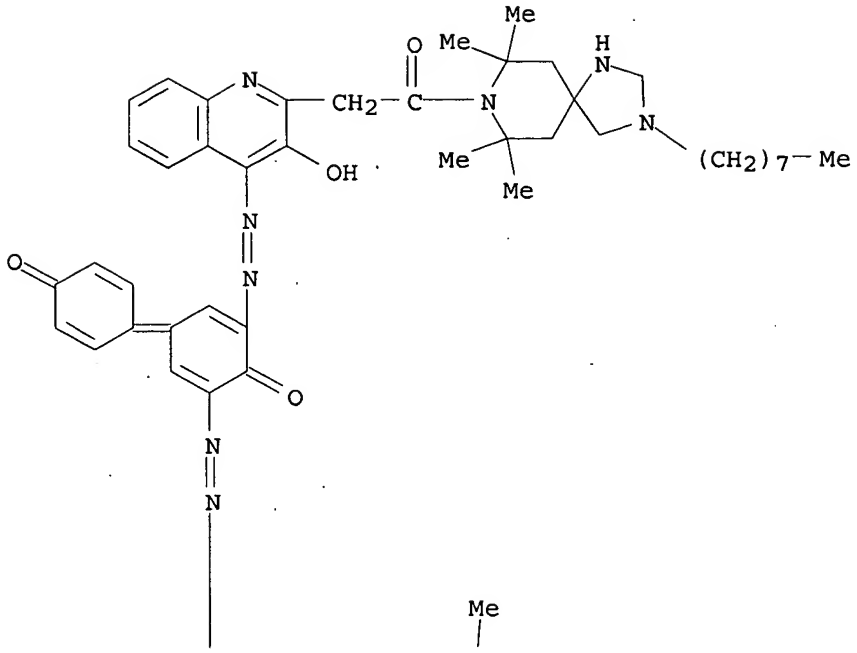
AB The photoreceptor contains a hindered-amine-having disazo pigment I (Ar = 2-4-valent aromatic linking group; R1 = H, alkyl, aryl; R2 = alkyl, aryl; X = organic residue to form aromatic carbocycle or heterocycle with benzene ring; n = 2-4; m = 1-3) as a charge-generating agent. The photoreceptor shows high sensitivity and repeating durability.

IT 174898-17-0
RL: DEV (Device component use); USES (Uses)
(charge-generating agent; electrophotog. photoreceptor containing disazo pigment as charge-generating agent)

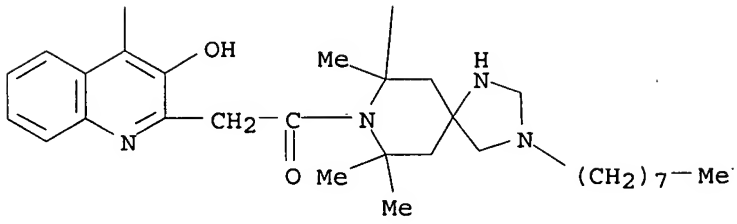
RN 174898-17-0 CA

CN 1,3,8-Triazaspiro[4.5]decane, 8,8'-[[2-oxo-5-(4-oxo-2,5-cyclohexadien-1-ylidene)-3,5-cyclohexadiene-1,3-diyl]bis[azo(3-hydroxy-4,2-quinolinediyl)(1-oxo-2,1-ethanediyl)]]bis[7,7,9,9-tetramethyl-3-octyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



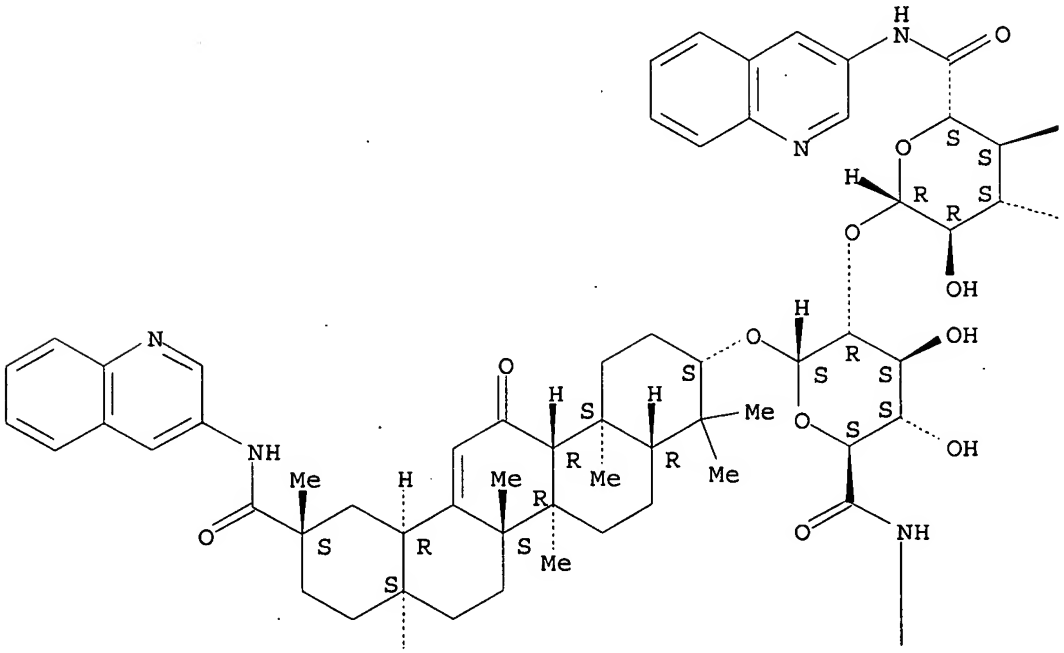
L23 ANSWER 44 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 123:314386 CA
TITLE: Glycyrrhizic acid triamide with 3-aminoquinoline with antidepressant activity
INVENTOR(S): Baltina, L. A.; Tolstikova, T. G.; Popov, V. G.; Davydova, V. A.; Zarudij, F. A.; Tolstikov, G. A.
PATENT ASSIGNEE(S): Institut Khimii Bashkirskogo Nauchnogo Tsentra Uralskogo Otdeleniya AN SSSR, Russia
SOURCE: U.S.S.R. From: Izobreteniya 1994, (11), 185. CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1764302	A1	19940615	SU 1990-4902355	19901126 <--
PRIORITY APPLN. INFO.:			SU 1990-4902355	19901126

AB Title only translated.
IT 170277-51-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidepressant glycyrrhizic acid triamide with aminoquinoline)
RN 170277-51-7 CA
CN α-D-Glucopyranosiduronamide, (3β,20β)-11,29-dioxo-29-(3-quinolinylamino)olean-12-en-3-yl N-3-quinolinyl-2-O-(N-3-quinolinyl-β-D-glucopyranuronamidosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

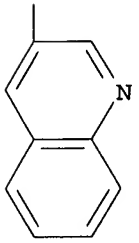
PAGE 1-A



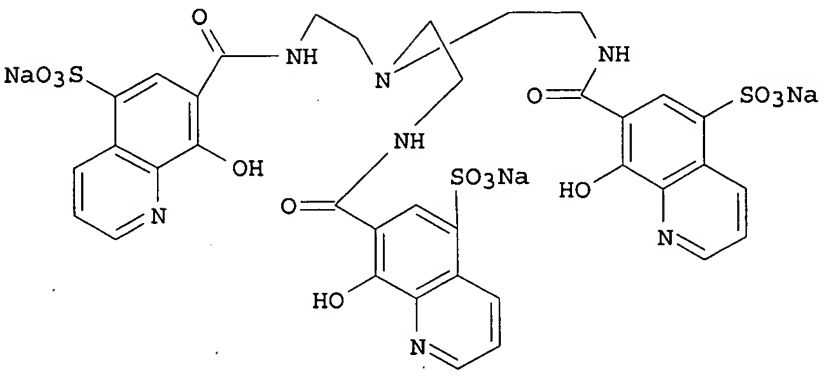
PAGE 1-B

PAGE 2-A

Me



L23 ANSWER 45 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 123:267822 CA
TITLE: O-TRENSOX: A Promising Water-Soluble Iron Chelator (Both FeIII and FeII) Potentially Suitable for Plant Nutrition and Iron Chelation Therapy
AUTHOR(S): Baret, Paul; Beguin, Claude G.; Boukhalfa, H.; Caris, Catherine; Laulhere, Jean-Pierre; Pierre, Jean-Louis; Serratrice, Guy
CORPORATE SOURCE: Laboratoire d'Etudes Dynamiques et Structurales de la Selectivite, Universite J. Fourier, Grenoble, 38041, Fr.
SOURCE: Journal of the American Chemical Society (1995), 117(38), 9760-1
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

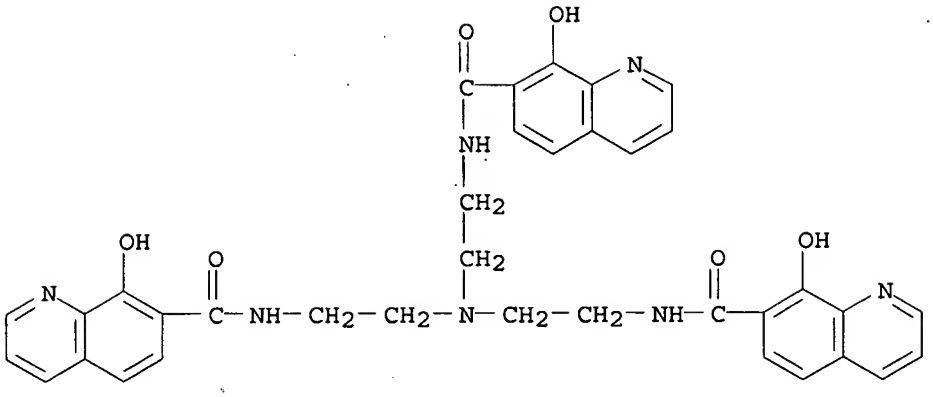


I

AB A synthetic siderophore, O-TRENSOX (I), was designed; the affinity for Fe, in both oxidation states (III) and (II), of this ligand is very high (pFeIII = 29.5 and pFeII = 17.9). The ferric complex of O-TRENSOX is able to prevent and to reverse Fe chlorosis in several plant species. This complex is not photoreducible and does not induce radical damages under Fenton conditions. The free ligand exhibits promising properties for Fe chelation therapy.

IT 169209-67-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(for preparation of tris(hydroxy(sulfonyl)quinolinylcarboxamidoethyl)amine)

RN 169209-67-0 CA
CN 7-Quinolinecarboxamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[8-hydroxy- (9CI) (CA INDEX NAME)



L23 ANSWER 46 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 123:256541 CA
TITLE: Preparation of 8-hydroxyquinoline-containing iron chelants for plant nutrition
INVENTOR(S): Baret, Paul; Caris, Catherine; Laulhere, Jean-Pierre; Pierre, Jean-Louis
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique, Fr.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

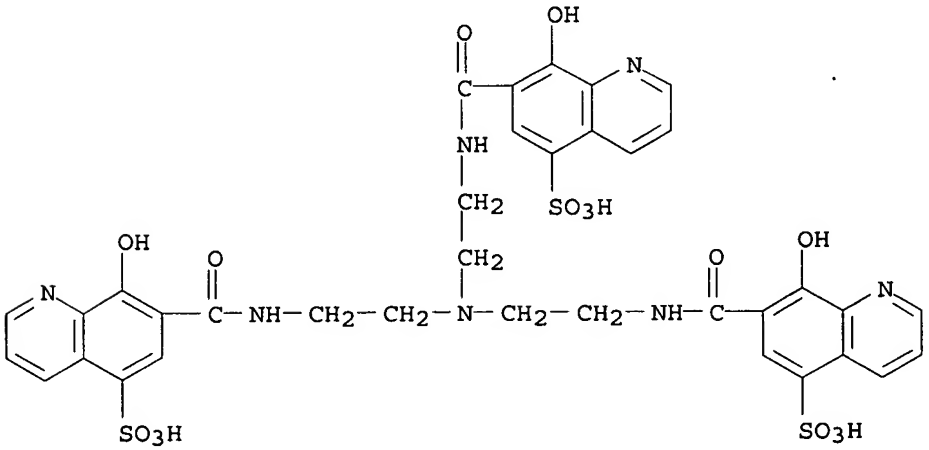
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9512580	A1	19950511	WO 1994-FR1202	19941017 <--
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2711991	A1	19950512	FR 1993-13310	19931103 <--
FR 2711991	B1	19951222		

PRIORITY APPLN. INFO.: FR 1993-13310 A 19931103
OTHER SOURCE(S): MARPAT 123:256541

AB R1Z1(R1Z2)Z(ZrR1)n-2 [R1 = quinolyl group Q; R = H or a hydroxy-protective group; R2-R6 = H, halo, alkyl, etc.; Z = a saturated or unsatd., cyclic or aliphatic, linear or branched hydrocarbon group optionally polyfunctionalized by functions selected from secondary amine, tertiary amine, imine and oxy functions; Z1,Z2<...Zr = CH, CH2, CO, N, NH; n = 2-4] were prepared Thus, 8-hydroxyquinoline was carboxylated and the product used to amidate N(CH2CH2NH2)3 after which the product was treated with oleum to give N(CH2CH2NHR1)3 (R1 = Q in which R,R2-R5,R6 = H, R5 = SO3H) which was used to prepare in Fe complex. Data for biol. use of said complexes were given in graphic form.

IT 169209-69-2DP, Iron complex
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 8-hydroxyquinoline-containing iron chelants for plant nutrition)

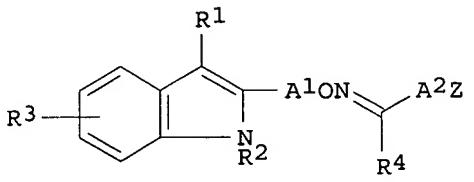
RN 169209-69-2 CA
CN 5-Quinolinesulfonic acid, 7,7',7''-[nitrilotris(2,1-ethanediyliminocarbonyl)]tris[8-hydroxy- (9CI) (CA INDEX NAME)



L23 ANSWER 47 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 123:227986 CA
TITLE: Indole iminooxy derivatives which inhibit leukotriene biosynthesis
INVENTOR(S): Kolasa, Teodozyi; Bhatia, Pramila; Brooks, Dee W.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5399699	A	19950321	US 1994-186410	19940124 <--
ZA 9500555	A	19960206	ZA 1995-555	19950124 <--

PRIORITY APPLN. INFO.: US 1994-186410 A 19940124
OTHER SOURCE(S): MARPAT 123:227986
GI



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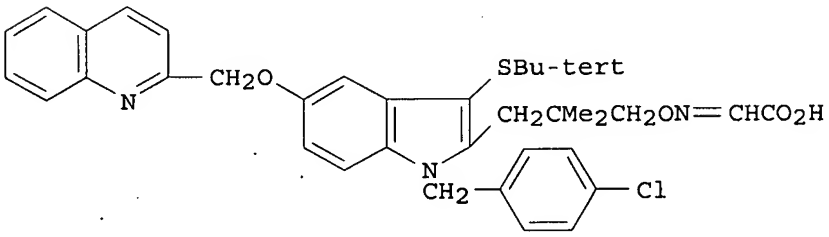


II

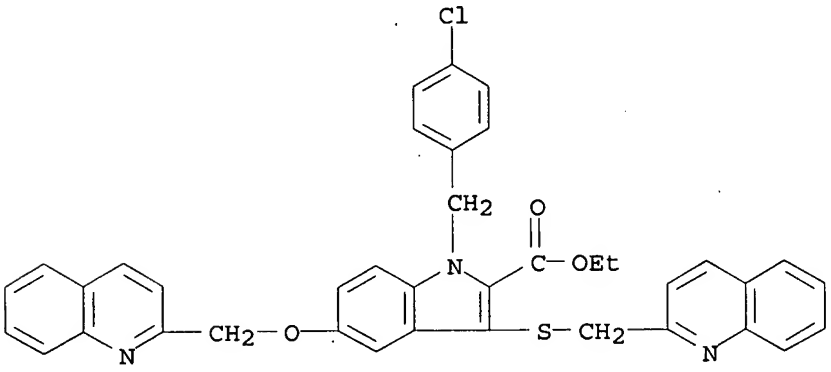
AB Compds. of the structure I where A1 is alkylene or cycloalkylene; A2 is a valence bond, alkylene, or cycloalkylene; R1 is selected from hydrogen, alkylthio, optionally substituted phenylthio, optionally substituted phenylalkylthio, optionally substituted 2-, 3- and 4-pyridylthio, optionally substituted 2- and 3-thienylthio, and optionally substituted 2-thiazolylthio; R2 is selected from optionally substituted phenylalkyl and optionally substituted heteroarylakyl; R3 is selected from alkyl, alkoxy, optionally substituted Ph, optionally substituted phenoxy, optionally substituted phenylalkyl, optionally substituted phenylalkoxy, optionally substituted naphthyl,optionally substituted naphthyloxy, optionally substituted naphthylalkyl, optionally substituted naphthylalkoxy, optionally substituted heteroaryl, optionally substituted heteroaryloxy, optionally substituted heteroarylalkyl, and optionally substituted heteroarylalkoxy; R4 is selected from hydrogen and optionally substituted alkyl; and Z is selected from COOB, C(OB)R6R6, COOalkyl, COOalkylaryl, CONR5R6, and COR6 are potent inhibitors of lipoxygenase enzymes and thus inhibit the biosynthesis of leukotrienes. These compds. are useful in the treatment or amelioration of allergic and inflammatory disease states. Thus, e.g., reaction of 4-methoxyphenylhydrazine

hydrochloride with 4-chlorobenzyl chloride afforded 1-(4-chlorobenzyl)-1-(4-methoxyphenyl)hydrazine; Fisher-indole reaction of the latter with tert-BuSCH2COCH2CMe2CO2Et afforded Et 3-[1-(4-chlorobenzyl)-3-(t-butylthio)-5-methoxyindol-2-yl]-2,2-dimethylpropionate which was demethylated to the 5-OH and subsequently the 5-(2-quinolinemethoxy) derivs.; reduction of the latter to 3-[1-(4-chlorobenzyl)-3-(t-butylthio)-5-(2-quinolinemethoxy)indol-2-yl]-2,2-dimethylpropan-1-ol followed by reaction with N-hydroxyphthalimide under standard Mitsunobu reaction conditions provides the N-phthaloyl intermediate which was deprotected with hydrazine hydrate to provide the O-substituted hydroxylamine; reaction of the latter with glyoxylic acid afforded indole iminooxy derivative II. II inhibited LTB4 biosynthesis in vitro in human polymorphonuclear leukocytes with IC50 = 0.010 μM; II inhibited leukotriene biosynthesis in vivo with an ED50 of 0.90 mg/kg.

IT 168018-36-8P
RL: BYP (Byproduct); PREP (Preparation)
(indole iminooxy derivs. which inhibit leukotriene biosynthesis)

RN 168018-36-8 CA

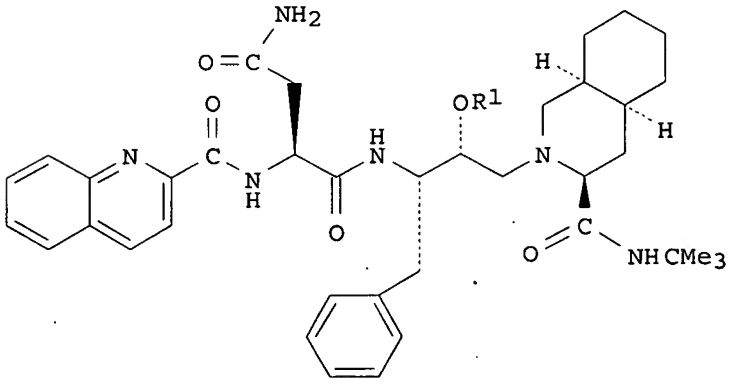
CN 1H-Indole-2-carboxylic acid, 1-[(4-chlorophenyl)methyl]-5-(2-quinolinylmethoxy)-3-[(2-quinolinylmethyl)thio]-, ethyl ester (CA INDEX NAME)



L23 ANSWER 48 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 121:231363 CA
TITLE: Preparation of antiretroviral amino acid derivatives
INVENTOR(S): Bold, Guido; Faessler, Alexander; Lang, Marc
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 594540	A1	19940427	EP 1993-810724	19931014 <--
EP 594540	B1	19980401		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 164592	T	19980415	AT 1993-810724	19931014 <--
AU 9349072	A	19940505	AU 1993-49072	19931018 <--
AU 670121	B2	19960704		
FI 9304634	A	19940424	FI 1993-4634	19931020 <--
CA 2108934	A1	19940424	CA 1993-2108934	19931021 <--
IL 107356	A	19980104	IL 1993-107356	19931021 <--
NO 9303816	A	19940425	NO 1993-3816	19931022 <--
ZA 9307859	A	19940425	ZA 1993-7859	19931022 <--
CN 1089606	A	19940720	CN 1993-118762	19931022 <--
HU 65876	A2	19940728	HU 1993-3011	19931022 <--
HU 214330	B	19980302		
PL 173529	B1	19980331	PL 1993-300829	19931022 <--
JP 06228132	A	19940816	JP 1993-266170	19931025 <--

PRIORITY APPLN. INFO.: CH 1992-3312 A 19921023
OTHER SOURCE(S): CASREACT 121:231363; MARPAT 121:231363
GI



I

AB The title compound [I; R1 = acyl] and their salts, useful as antiretrovirals (no data), are prepared E.g., 2(S)-[1(S)-(tert-butoxycarbonylamino)-2-phenylethyl]oxirane was reacted with (S,S,S)-N-tert-butyldecahydroisoquinolinecarboxamide in EtOH at 90° for 16 h gt N-tert-butyldecahydro-2-[2(R)-hydroxy-4-phenyl-3(S)-[tert-butoxycarbonylamino]butyl]-(4aS,8aS)-isoquinoline-3(S)-carboxamide, which

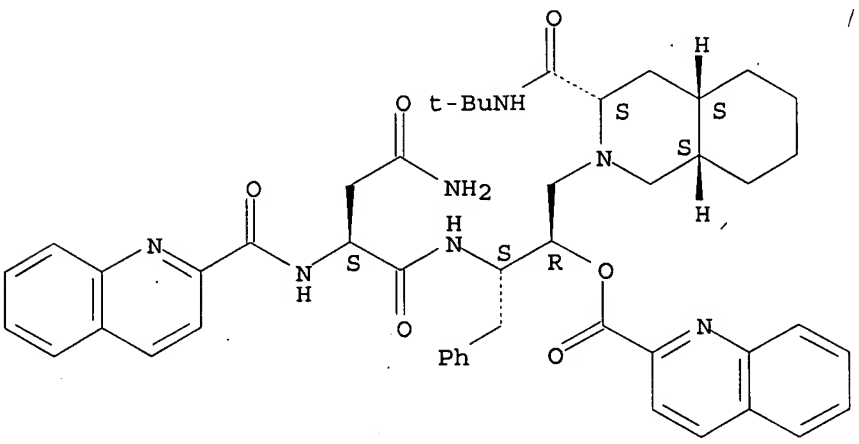
was treated with HCl in dioxane to give N-tert-butyldecahydro-2-[2(R)-hydroxy-4-phenyl-3(S)-aminobutyl]-(4aS,8aS)-isoquinoline-3(S)-carboxamide.HCl, which was reacted with Z-Asn-O-PNP (PNP = p-nitrophenyl) in DMF containing N-methylmorpholine and N-ethyldiisopropylamine at room temperature for 4 h to give N-tert-butyldecahydro-2-[2(R)-hydroxy-4-phenyl-3(S)-[[N-benzyloxycarbonyl-L-asparaginyl]amino]butyl]-(4aS,8aS)-isoquinoline-3(S)-carboxamide, which was hydrogenolyzed over Pd/C at room temperature for 5 h to give N-tert-butyldecahydro-2-[2(R)-hydroxy-4-phenyl-3(S)-(L-asparaginylaminobutyl)](4aS,8aS)-isoquinoline-3(S)-carboxamide, which was condensed with quinaldic acid in DMF containing N-methylmorpholine, HOBt, and 1H-benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate to give N-tert-butyldecahydro-2-[2(R)-hydroxy-4-phenyl-3(S)-[[N-(2-quinolinylcarbonyl)-L-asparaginyl]amino]butyl]-(4aS,8aS)-isoquinoline-3(S)-carboxamide, which was acetylated with Ac2O to give I [R1 = Ac]. Formulations containing I are described.

IT 158220-47-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiretroviral)

RN 158220-47-4 CA

CN 2-Quinolinecarboxylic acid, 2-[[4-amino-1,4-dioxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-1-[[3-[[(1,1-dimethylethyl)amino]carbonyl]octahydro-2(1H)-isoquinolinyl]methyl]-3-phenylpropyl ester, [3S-[2[1S*,2R*(R*)],3α,4aβ,8aβ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

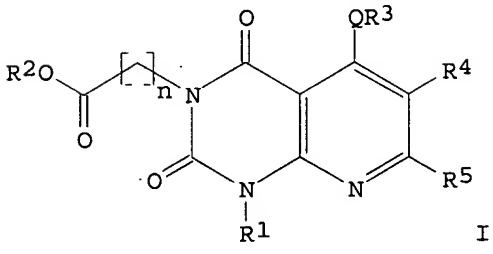


L23 ANSWER 49 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 121:205395 CA
TITLE: Pyrido[2,3-d]pyrimidines and their use as endothelin antagonists
INVENTOR(S): Furuya, Shuichi; Ohtaki, Tetsuya
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 79 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 608565	A1	19940803	EP 1993-121004	19931228 <--
EP 608565	B1	20020313		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2112425	A1	19940630	CA 1993-2112425	19931224 <--
JP 07173161	A	19950711	JP 1993-333146	19931227 <--
JP 3481984	B2	20031222		
FI 9305897	A	19940630	FI 1993-5897	19931228 <--
NO 9304866	A	19940630	NO 1993-4866	19931228 <--
HU 66159	A2	19940928	HU 1993-3774	19931228 <--
HU 218782	B	20001228		
RU 2127734	C1	19990320	RU 1993-56846	19931228 <--
AT 214391	T	20020315	AT 1993-121004	19931228 <--
CN 1094045	A	19941026	CN 1993-121506	19931229 <--
CN 1041090	B	19981209		
US 5654309	A	19970805	US 1995-480862	19950607 <--

PRIORITY APPLN. INFO.:
JP 1992-360384 A 19921229
JP 1993-277136 A 19931105
US 1993-175107 B1 19931229

OTHER SOURCE(S): MARPAT 121:205395
GI



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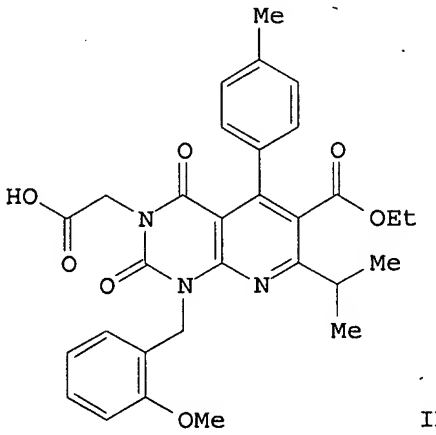


II

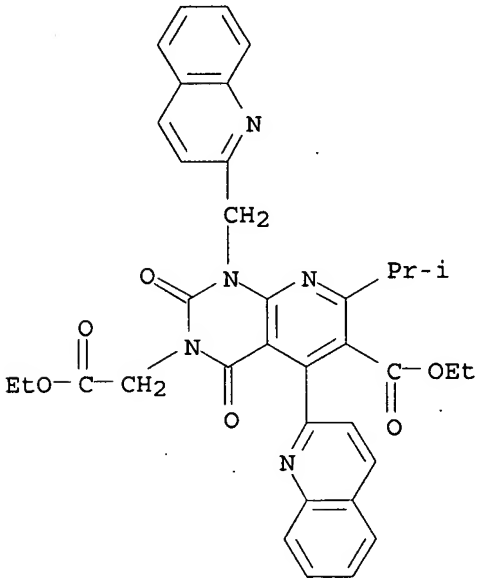
AB Pyrido[2,3-d]pyrimidines I (R1, R2 = H, alkyl,e tc.; R3 = cyclic group; R4, R5 = H, alkyl, etc.; Q = alkanediyl; oxygen, SO, etc; n = integer) were disclosed. I are endothelin receptor antagonists. An endothelin receptor antagonists consisting of I are useful for the treatment of acute renal insufficiency, myocardial infarction, hypertension, cerebral

infarction, angina pectoris, arteriosclerosis, hepatopathy, pulmonary hypertension, bronchial asthma, organ hyperfunction occurring during operation or transplantation or organs. A specifically claimed example compound is the pyrido[2,3-d]pyrimidine-3-acetic acid II.

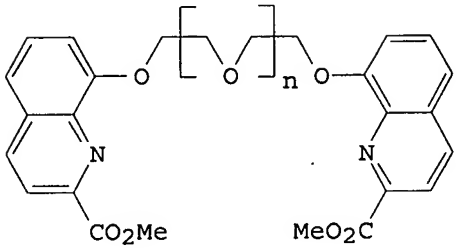
IT 157926-17-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as endothelin antagonist)

RN 157926-17-5 CA

CN Pyrido[2,3-d]pyrimidine-3(2H)-acetic acid, 6-(ethoxycarbonyl)-1,4-dihydro-7-(1-methylethyl)-2,4-dioxo-5-(2-quinolinyl)-1-(2-quinolinylmethyl)-, ethyl ester (CA INDEX NAME)

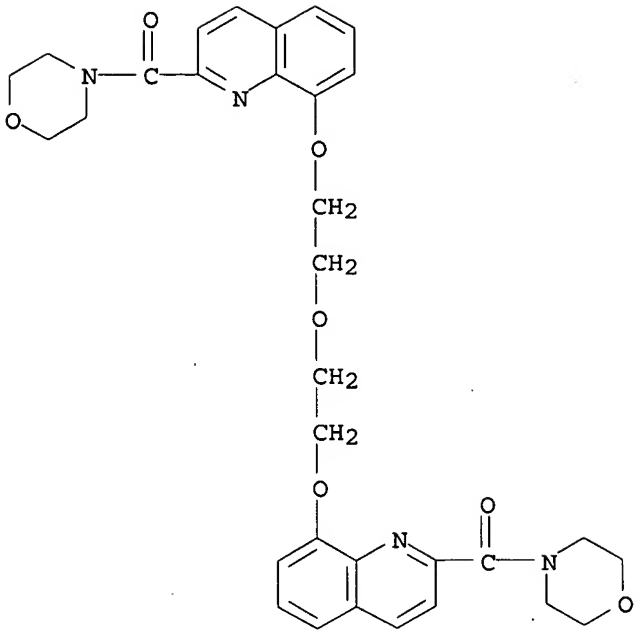


L23 ANSWER 50 OF 128 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 121:9130 CA
TITLE: Complexation of acyclic ligands having two terminal quinoline units with alkali metal cations
AUTHOR(S): Sugimoto, Masakatsu; Fujiwara, Kazuhiko; Wakita, Ryuhei; Kida, Toshiyuki; Masuyama, Araki; Nakatsuji, Yohji; Okahara, Mitsuo
CORPORATE SOURCE: Fac. Eng., Osaka Univ., Suita, 565, Japan
SOURCE: Supramolecular Chemistry (1993), 2(2-3), 145-51
CODEN: SCHEER; ISSN: 1061-0278
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Acyclic multidentate ligands [I, n = 1, 2, 3, 4] consisting of an oligooxyethylene chain (di-, tri-, tetra-, and penta-) and two terminal rigid quinaldate end groups were newly prepared and their complexation properties with alkali metal cations were estimated by the solvent extraction method to indicate a better affinity for K+. Among them, the tetraethylene glycol derivative showed the highest K+ binding on about the same level as 18-crown-6. Their conformations in solution and in the solid state were examined by using 1H- and 13C-NMR spectroscopy and x-ray crystal analyses, resp. The better binding of K+ in comparison with the corresponding glymes of analogs having the same donor sites was reasonably explained by considering the effective coordination of the carbonyl oxygen of the ester groups and the parallel π-stacking interaction between two quinaldate surfaces.
IT 155527-44-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and extraction by, of alkali metal cations)
RN 155527-44-9 CA
CN Morpholine, 4,4'-[oxybis(2,1-ethanediyloxy-8,2-quinolinediylcarbonyl)]bis- (9CI) (CA INDEX NAME)



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L3        3265689 S 591/RID
L4                STRUCTURE UPLOADED
L5                STRUCTURE UPLOADED
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L7            738 S L1 FULL SUB=L3

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L13           200 S L10 NOT L12
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L15           198 S L13 NOT L14
L16            22 S L15 AND PHARM?
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L19             5 S L17 AND DRUG?
L20           171 S L17 NOT L19
L21            12 S L20 AND HELICA?
L22           159 S L20 NOT L21
L23           128 S L22 AND PY<2003

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---Logging off of STN---


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